Access DB# 37306

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Frank Choi Examiner # : 76753 Date: 3/8/01
Art Unit: 1616 Phone Number 308-0067 Serial Number: 09/387,135
Mail Box and Bldg/Room Location: 2D16 2D15 - Mail Results Format Preferred (circle): (PAPER) DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Method of modulating processes mediated by excitatory amino acid receptors

Inventors (please provide full names): Nicholas D. P. Cosford, Ian A. McDonald, Stephen D. Hess, Mark A. Varney

Earliest Priority Filing Date: 8/31/99

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**

Please do structure search of claims

Point of Contact:
Susan Hanley
Technical Info. Specialist
CM1 12C14 Tel: 305-4053

(1) need done 1st - structure search of claims not including language in [...]

(2) No time limit - structure search of claims including all language including language in [...]

Example 1 compound attached

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STAFF USE ONLY		Type of Search		Vendors and cost where applicable	
Searcher:	Hanley	NA Sequence (#)		STN	
Searcher Phone #:		AA Sequence (#)		Dialog	
Searcher Location:		Structure (#)	1	Questel/Orbit	
Date Searcher Picked Up:	3/15	Bibliographic		Dr.Link	
Date Completed:	3/19	Litigation		Lexis/Nexis	
Searcher Prep & Review Time:		Fulltext		Sequence Systems	
Clerical Prep Time:		Patent Family		WWW/Internet	
Online Time:		Other		Other (specify)	

PTO-1590 (1-2000)

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=> d his

     (FILE 'HOME' ENTERED AT 10:19:06 ON 19 MAR 2001)

     FILE 'HCAPLUS' ENTERED AT 10:19:12 ON 19 MAR 2001
L1            34 S COSFORD N?/AU
L2           477 S MCDONALD I?/AU
L3           312 S HESS S?/AU
L4           106 S VARNEY M?/AU
L5             1 S L1 AND L2 AND L3 AND L4
L6           899 S L1-4
L7        457261 S AMINO ACID
L8            68 S L6 AND L7
L9             6 S L8 AND EXCIT?
L10            2 S L9 AND MODULAT?
L11         7907 S L7(4A)RECEPTOR
L12            6 S L11 AND L6
L13            7 S L10 OR L12
               SELECT RN L13 1-7

     FILE 'REGISTRY' ENTERED AT 10:22:59 ON 19 MAR 2001
L14           42 S E1-42

     FILE 'HCAPLUS' ENTERED AT 10:23:11 ON 19 MAR 2001
L15            6 S L13 AND L14
L16            1 S L13 NOT L15
L17           21 S L11(L)HETEROCYCL?
L18      1008715 S ?THIO? OR ?SULFUR? OR ?MERCAPT?
L19            4 S L17 AND L18
L20            4 S L19 NOT L13
L21         1676 S EAA
L22            7 S L21(L)HETEROCYCL?
L23            1 S L22 AND L18
L24            5 S L20 OR L23
               SELECT RN L24 1-5

     FILE 'REGISTRY' ENTERED AT 10:32:48 ON 19 MAR 2001
L25          201 S E43-243
L26          200 S E244-443
L27          200 S E444-643
L28           95 S E644-738
L29          260 S L25-28 AND 5/SZ
L30       874344 S (C N S)/RELF
L31            0 S L29 AND L30
L32           19 S L29 AND S/ELS
L33            0 S L25-28 AND L30

     FILE 'HCAPLUS' ENTERED AT 10:41:59 ON 19 MAR 2001
L34            3 S L32 AND L24
L35            2 S L24 NOT L34

     FILE 'REGISTRY' ENTERED AT 10:44:24 ON 19 MAR 2001
L36      3186645 S 5/SZ
L37             STR
L38       273864 S L36 AND (C S)/RELF
L39       435537 S L36 AND L30
L40       689538 S L38-39
L41            8 S L37 SSS SAM SUB=L40
L42       469760 S L40 AND C6/ESS
L43             SCREEN 1839 AND 2021
L44            8 S L43 AND L37 SSS SAM SUB=L42
L45            STR L37
L46           45 S L45 AND L43 SSS SAM SUB=L42
L47         1108 S L45 AND L43 SSS FUL SUB=L42
L48          441 S L47 AND L30
L49          688 S L47 AND L38

     FILE 'HCAPLUS' ENTERED AT 11:21:15 ON 19 MAR 2001
L50           34 S L48
L51          320 S L49
L52            0 S L50(L)(L11 OR L21)
L53            0 S L50 AND (L11 OR L21)
L54            8 S L50(L)THU/RL
L55        12278 S GLUTAMATE(4A)RECEPTOR
L56            0 S L50 AND L55
L57           26 S L50 NOT L54
```

- inventor search
- 42 cpds displayed
- text searching
- selecting reg #'s for all cpds in cites 1-5 for L24
- searching the cpds in L24
- only 260 have a 5-membered ring
- no cpds have C, N & S all in the same ring
- compounds that have sulfur in a ring
- all cpds have a ring w/ only C, N & S as ring members
- 6 cites w/
- 3 cites
- 2 cites
- all of these cpds contain a 5-member ring
- these cpds have a ring w/ only C & S in ring
- cpds w/ 5 member ring & C, N & S in ring
- parent set for STR search
- 1108 cpds from parent search
- 441 cpds w/ C, N, S in a 5-mem RING
- 688 cpds w/ only C & S in a 5-member RING
- 8 cites for cpds w/ C, N & S in 5-mem ring for a medical treatment

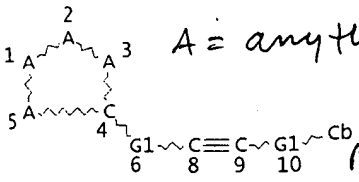
* none of the cpds from L48 & L49 are in citations relating to amino acid receptors

L58	0	S L51(L)(L11 OR L21) *
L59	0	S L51 AND (L11 OR L21) *
L60	0	S L51 AND L55 *
L61	33	S L51(L)THU/RL
L62	29	S L61 NOT L54
L63	16	S L62 AND PY>1999 cites 12-16 only (1-11 have bad dates)
L64	13	S L62 NOT L63 13 cites

→ cpds w/ ^c & S in the 5-member ring used for a medical treatment only

```
=> d que l50

L30          874344 SEA FILE=REGISTRY ABB=ON   PLU=ON   (C N S)/RELF
L36         3186645 SEA FILE=REGISTRY ABB=ON   PLU=ON   5/SZ
L38          273864 SEA FILE=REGISTRY ABB=ON   PLU=ON   L36 AND (C S)/RELF
L39          435537 SEA FILE=REGISTRY ABB=ON   PLU=ON   L36 AND L30
L40          689538 SEA FILE=REGISTRY ABB=ON   PLU=ON   (L38 OR L39)
L42          469760 SEA FILE=REGISTRY ABB=ON   PLU=ON   L40 AND C6/ESS
L43                 SCR 1839 AND 2021
L45                 STR
```



A = anything but "H"

arene

parent set; the STR for L45 was searched against cpds w/ C, S in a 5-member ring OR C, N, S in a 5-member ring

```
REP G1=(0-20) C
NODE ATTRIBUTES:
CONNECT IS E3  RC AT   4
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  UNS  AT   7
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E6 C  AT   7

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  10

STEREO ATTRIBUTES: NONE
L47          1108 SEA FILE=REGISTRY SUB=L42 SSS FUL L45 AND L43
L48           441 SEA FILE=REGISTRY ABB=ON  PLU=ON  L47 AND L30
L50            34 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L48
```

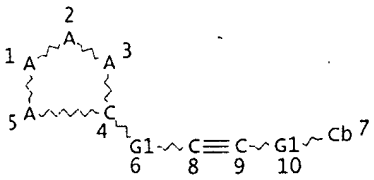
1108 cpds from search

cpds in L47 having rings w/ C, N & S (441 cpds)

```
=> d que l51

L30       874344 SEA FILE=REGISTRY ABB=ON  PLU=ON  (C N S)/RELF
L36      3186645 SEA FILE=REGISTRY ABB=ON  PLU=ON  5/SZ
L38       273864 SEA FILE=REGISTRY ABB=ON  PLU=ON  L36 AND (C S)/RELF
L39       435537 SEA FILE=REGISTRY ABB=ON  PLU=ON  L36 AND L30
L40       689538 SEA FILE=REGISTRY ABB=ON  PLU=ON  (L38 OR L39)
L42       469760 SEA FILE=REGISTRY ABB=ON  PLU=ON  L40 AND C6/ESS
L43              SCR 1839 AND 2021
L45              STR
```

parent set (same as p 3)




```
REP G1=(0-20) C
NODE ATTRIBUTES:
CONNECT IS E3  RC AT   4
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  UNS  AT   7
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E6 C  AT   7

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  10

STEREO ATTRIBUTES: NONE
L47         1108 SEA FILE=REGISTRY SUB=L42 SSS FUL L45 AND L43
L49          688 SEA FILE=REGISTRY ABB=ON  PLU=ON  L47 AND L38
L51          320 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L49
```

688 cpds in L47 have a 5-membered ring w/ only C & S as ring atoms

L37 ANSWER 1 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:207117 CAPLUS
DOCUMENT NUMBER: 136:369645
TITLE: Regiocontrolled Synthesis of Substituted Thiazoles
AUTHOR(S): Hodgetts, Kevin J.; Kershaw, Mark T.
CORPORATE SOURCE: Neurogen Corporation, Branford, CT, 06405, USA
SOURCE: Organic Letters (2002), 4(8), 1363-1365
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
CLASSIFICATION: 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))

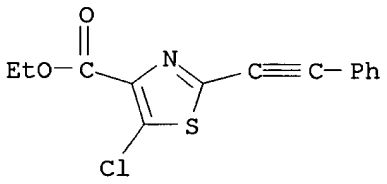
ABSTRACT:
The regiocontrolled synthesis of 2,5-disubstituted and 2,4,5-trisubstituted thiazoles from Et 2-bromo-5-chloro-4-thiazolecarboxylate using sequential palladium-catalyzed coupling reactions is described.

SUPPL. TERM: regiocontrolled synthesis thiazole coupling reaction
INDEX TERM: Coupling reaction
(Negishi; regiocontrolled synthesis of substituted thiazoles via Suzuki, Stille, and Negishi reactions)
INDEX TERM: Regiochemistry
Stille coupling reaction
Suzuki coupling reaction
(regiocontrolled synthesis of substituted thiazoles via Suzuki, Stille, and Negishi reactions)
INDEX TERM: 98-80-6, Phenylboronic acid 536-74-3, Phenylacetylene 5398-36-7 5720-06-9, 2-Methoxyphenylboronic acid 5720-07-0, 4-Methoxyphenylboronic acid 7486-35-3, Tributylvinyltin 218777-23-2, 2-Pyridylzinc bromide
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(regiocontrolled synthesis of substituted thiazoles)
INDEX TERM: 161198-41-0P 425392-44-5P 425392-45-6P 425392-46-7P 425392-48-9P 425392-55-8P 425392-56-9P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(regiocontrolled synthesis of substituted thiazoles)
INDEX TERM: 2104-11-2P 3704-40-3P 130161-15-8P 425392-47-8P 425392-49-0P 425392-50-3P **425392-51-4P** 425392-52-5P 425392-53-6P **425392-54-7P** 425392-57-0P 425392-58-1P **425392-59-2P**
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(regiocontrolled synthesis of substituted thiazoles)
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD.
REFERENCE(S):
(1) Bach, T; Tetrahedron Lett 2000, V41, P1707 CAPLUS
(2) Carter, J; Biorg Med Chem Lett 1999, V9, P1167 CAPLUS
(3) Cassar, L; J Organomet Chem 1975, V93, P253 CAPLUS
(4) Collins, I; J Chem Soc, Perkin Trans 1 2000, P2845 CAPLUS
(5) Dieck, H; J Organomet Chem 1975, V93, P259 CAPLUS
(6) Hantzch; Ber Dtsch Chem Ges 1888, V21, P942
(7) Johnson, R; Chem Rev 1956, V56, P219 CAPLUS
(8) Kim, H; J Heterocycl Chem 1995, V32, P937 CAPLUS

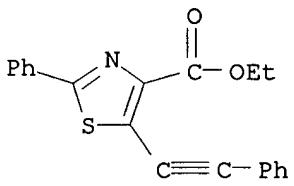
(9) Kiryanov, A; J Org Chem 2001, V66, P7925 CAPLUS
(10) Lewis, J; Nat Prod Rep 1996, V13, P435 CAPLUS
(11) Li, J; Palladium in Heterocyclic Chemistry, Chapter 7 2000, P297 CAPLUS
(12) Metzger, J; Comprehensive Heterocyclic Chemistry 1984, V6, P235
(13) Metzger, J; Thiazole and its Derivatives 1979
(14) Miyaura, N; Chem Rev 1995, V95, P2457 CAPLUS
(15) Negishi, E; J Org Chem 1977, V42, P1821 CAPLUS
(16) Plouvier, B; J Heterocycl Chem 1989, V26, P1643 CAPLUS
(17) Sakamoto, T; Chem Pharm Bull 1987, V35, P823 CAPLUS
(18) Snieckus, V; Med Res Rev 1999, V19, P342 MEDLINE
(19) Sonogashira, K; Tetrahedron Lett 1975, P4467 CAPLUS
(20) South, M; J Heterocycl Chem 1991, V28, P1003 CAPLUS
(21) Stille, J; Angew Chem 1986, V98, P504 CAPLUS
(22) Stille, J; Pure Appl Chem 1985, V57, P1771 CAPLUS
(23) Suzuki, A; J Organomet Chem 1999, V576, P147 CAPLUS
(24) Takahashi, S; Synthesis 1980, P627 CAPLUS
(25) Wiley, R; Organic Reactions 1951, V6, P367

IT **425392-51-4P 425392-54-7P 425392-59-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(regiocontrolled synthesis of substituted thiazoles)

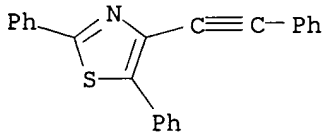
RN 425392-51-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 5-chloro-2-(phenylethynyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 425392-54-7 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-phenyl-5-(phenylethynyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 425392-59-2 CAPLUS
CN Thiazole, 2,5-diphenyl-4-(phenylethynyl)- (9CI) (CA INDEX NAME)

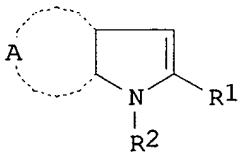


L37 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:628707 CAPLUS
DOCUMENT NUMBER: 135:195572
TITLE: Method for preparation of indole-type compounds
INVENTOR(S): Henkelmann, Jochem; Arndt, Jonderko
PATENT ASSIGNEE(S): Basf A.-G., Germany
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
INT. PATENT CLASSIF.:
MAIN: C07D209-08
SECONDARY: C07D209-12; C07D209-40; C07D409-04; C07D417-04; C07B061-00
CLASSIFICATION: 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

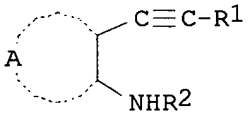
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001233855	A2	20010828	JP 2001-49221	20010223
DE 10009000	A1	20010830	DE 2000-10009000	20000225
US 2001037031	A1	20011101	US 2001-782310	20010214
US 6384235	B2	20020507		
EP 1127874	A2	20010829	EP 2001-103687	20010223

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: DE 2000-10009000 A 20000225
OTHER SOURCE(S): CASREACT 135:195572; MARPAT 135:195572
GRAPHIC IMAGE:



I



II

ABSTRACT:
The title compds. [I; A = hydrocarbon group which forms, together with the carbon atoms to which they are bonded, (un)substituted mono- or polycyclic arom. group optionally possessing .gtoreq.1 heteroatoms consisting of N, O, and

S; R1, R2 = H, linear or branched satd. aliph. C1-20 hydrocarbon group, linear or branched alkyl unsatd. C2-20 hydrocarbon group, optionally alkyl-substituted
(un)satd. alicyclic C3-20 hydrocarbon group, or C5-20 arom. hydrocarbon group alkyl, each of which is optionally substituted and possesses .gtoreq.1 heteroatoms consisting of halo, N, P, O, S, Sn, and B in the mol. skeleton] are
prepd. by cyclization of alkynylaniline or .alpha.-amino-.beta.-alkynylheterocycles (II; R1, R2 = same as above; R1, R2, or a is optionally bonded to an org. or inorg. carrier) using a Na, K, Rb, or Cs compd. in a polar
aprotic solvent. This process gives substituted indoles by a simple method in high yields. Thus, a soln. of 97 mg 2-phenylethynylaniline in N-methylpyrrolidone was added to 1.05 mmol potassium tert-butoxide in 4 mL N-methylpyrrolidone and vigorously stirred at 25.degree. for 4 h to give 79% 2-phenylindole. Similarly prepd. were pyrrolopyridine, pyrrolopyrimidine, pyrroloquinoline, etc.

SUPPL. TERM: substituted indole prepn; alkynylaniline cyclization; alkynylaminoheterocycle cyclization; pyrrolopyridine pyrrolopyrimidine pyrroloquinoline prepn

INDEX TERM: Cyclization catalysts
(alkali metal compds.; prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in
polar aprotic solvent)

INDEX TERM: Metal alkoxides
ROLE: CAT (Catalyst use); USES (Uses)
(alkali metal; prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in
polar aprotic solvent)

INDEX TERM: Alkali metal compounds
ROLE: CAT (Catalyst use); USES (Uses)
(alkoxides; prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in
polar aprotic solvent)

INDEX TERM: Polar solvents
(aprotic; prepn. of indole-type compds. by cyclization of
alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in
polar aprotic solvent)

INDEX TERM: Cyclization
Solid phase synthesis
(prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in
polar aprotic solvent)

INDEX TERM: Alkali metal hydrides
Alkali metal hydroxides
ROLE: CAT (Catalyst use); USES (Uses)
(prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in
polar aprotic solvent)

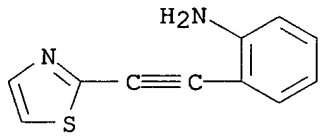
INDEX TERM: 141-52-6, Sodium ethoxide 865-47-4 7646-69-7, Sodium hydride 7693-26-7, Potassium hydride 21351-79-1, Cesium hydroxide 23207-55-8, Calcium di-tert-butoxide
ROLE: CAT (Catalyst use); USES (Uses)
(prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in
polar aprotic solvent)

INDEX TERM: 615-43-0, 2-Iodoaniline 1066-54-2, Trimethylsilylacetylene
3956-07-8D, 4-Iodobenzamide, MBHA resin-bound 13141-38-3, 2-(Phenylethynyl)aniline 52670-38-9, 2-Ethynylaniline 103529-16-4, 2-(Trimethylsilylethynyl)aniline 116491-50-0 124643-51-2 131298-21-0 209967-15-7 288254-64-8 288254-65-9 **288254-66-0** 288254-67-1 288254-68-2 288254-69-3 288254-70-6 288254-71-7 288254-72-8 288254-73-9 288254-74-0 288254-75-1 324528-81-6D, MBHA resin-bound
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in
polar aprotic solvent)

INDEX TERM: 90347-86-7DP, MBHA resin-bound 357219-39-7DP, MBHA resin-bound 357219-46-6DP, MBHA resin-bound
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in
polar aprotic solvent)

INDEX TERM: 120-72-9P, Indole, preparation 948-65-2P, 2-Phenylindole 13228-37-0P, 2-Butylindole 25797-03-9P 32566-01-1P 52098-05-2P, 1H-Indole-2-ethanol 55463-72-4P 55968-16-6P, 2-(2-Thienyl)indole 78329-40-5P 90901-83-0P 258336-43-5P 288254-76-2P 288254-77-3P 288254-78-4P 288254-79-5P 288254-80-8P 288254-81-9P 288254-82-0P 357219-39-7P 357219-43-3P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in

polar aprotic solvent)
INDEX TERM: 60-29-7, Diethyl ether, uses 67-68-5, Dimethyl sulfoxide, uses 68-12-2, N,N-Dimethylformamide, uses 75-21-8, Ethylene oxide, uses 75-56-9, Propylene oxide, uses 109-99-9, Tetrahydrofuran, uses 126-33-0, Sulfolane 680-31-9, uses 872-50-4, N-Methylpyrrolidone, uses 3470-98-2, N-Butylpyrrolidone 7226-23-5
ROLE: NUU (Other use, unclassified); USES (Uses)
(reaction solvent; prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in
polar aprotic solvent)
IT **288254-66-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in polar aprotic solvent)
RN 288254-66-0 CAPLUS
CN Benzenamine, 2-(2-thiazolylethynyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 8 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:44710 CAPLUS
DOCUMENT NUMBER: 134:237462
TITLE: Remarkable Synthesis of 2-(Z)-6-(E)-4H-[1,4]-Thiazepin-5-ones by Zwitterionic Rhodium-Catalyzed Chemo- and Regioselective Cyclohydrocarbonylative Ring Expansion of Acetylenic Thiazoles
AUTHOR(S): Van den Hoven, Bernard G.; Alper, Howard
CORPORATE SOURCE: Centre for Catalysis Research and Innovation Department of Chemistry, University of Ottawa, Ottawa, ON, K1N 6N5, Can.
SOURCE: Journal of the American Chemical Society (2001), 123(6), 1017-1022
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
CLASSIFICATION: 28-22 (Heterocyclic Compounds (More Than One Hetero Atom))
OTHER SOURCE(S): CASREACT 134:237462
ABSTRACT:
Cyclohydrocarbonylative ring expansion of acetylenic thiazoles in the presence of CO, H2, and catalytic quantities of the zwitterionic rhodium complex

(.eta.6-C6H5BPh3)-Rh+(1,5-COD) and tri-Ph phosphite affords thiazepinones in 61
to 90% yields. This novel transformation of a 5- to a 7-membered heterocycle is readily applied to acetylenic thiazoles contg. hydro, alkyl, alkyl halide, vinyl, and benzo substitutents in positions 4 and 5 of the thiazole ring in addn. to alkyl-, ether-, ester-, vinyl-, and aryl-substituted alkynes at position 2.

SUPPL. TERM: cyclohydrocarbonylative ring expansion acetylenic thiazole; thiazepinone prepn

INDEX TERM: Chemoselectivity
Regiochemistry
(of zwitterionic rhodium-catalyzed cyclohydrocarbonylative ring expansion of acetylenic thiazoles)

INDEX TERM: Carbonylation
Carbonylation catalysts
Ring enlargement
Ring enlargement catalysts
(zwitterionic rhodium-catalyzed cyclohydrocarbonylative ring expansion of acetylenic thiazoles)

INDEX TERM: 101-02-0, Triphenyl phosphite 148538-95-8
ROLE: CAT (Catalyst use); USES (Uses)
(zwitterionic rhodium-catalyzed cyclohydrocarbonylative ring expansion of acetylenic thiazoles)

INDEX TERM: 78-80-8 95-16-9, Benzothiazole 107-19-7, Propargyl alcohol 137-00-8 536-74-3, Ethynylbenzene 627-41-8, Methyl propargyl ether 693-02-7, 1-Hexyne 693-95-8 766-97-2, 4-Ethynyltoluene 917-92-0, tert-Butylacetylene 1759-28-0 3034-53-5 3581-91-7 121356-98-7
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(zwitterionic rhodium-catalyzed cyclohydrocarbonylative ring expansion of acetylenic thiazoles)

INDEX TERM: 533-45-9P 1123-99-5P 29947-25-9P 34203-25-3P **35070-01-0P** 174184-05-5P 329202-28-0P 329202-31-5P 330436-68-5P 330436-69-6P **330436-71-0P** 330436-72-1P 330436-73-2P 330436-74-3P 330436-75-4P 330436-76-5P 330436-77-6P 330436-78-7P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(zwitterionic rhodium-catalyzed cyclohydrocarbonylative ring expansion of acetylenic thiazoles)

INDEX TERM: 330436-79-8P 330436-80-1P 330436-81-2P 330436-82-3P 330436-83-4P 330436-84-5P 330436-85-6P 330436-86-7P 330436-87-8P 330436-89-0P 330436-90-3P 330436-91-4P 330436-92-5P 330436-93-6P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(zwitterionic rhodium-catalyzed cyclohydrocarbonylative ring expansion of acetylenic thiazoles)

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD.

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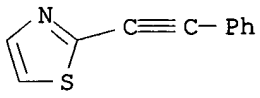
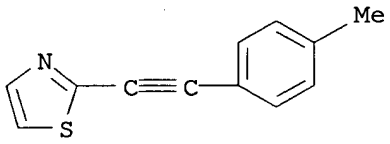
IT **35070-01-0P 330436-71-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(zwitterionic rhodium-catalyzed cyclohydrocarbonylative ring expansion of acetylenic thiazoles)

RN 35070-01-0 CAPLUS
CN Thiazole, 2-(phenylethynyl)- (9CI) (CA INDEX NAME)



RN 330436-71-0 CAPLUS
CN Thiazole, 2-[(4-methylphenyl)ethynyl]- (9CI) (CA INDEX NAME)



L37 ANSWER 10 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:836580 CAPLUS
DOCUMENT NUMBER: 134:140937
TITLE: Towards rational synthesis of polar solids. Synthesis and x-ray structures of cadmium(II) meta-pyridinecarboxylate coordination polymers
AUTHOR(S): Evans, Owen R.; Lin, Wenbin
CORPORATE SOURCE: Department of Chemistry, Brandeis University, Waltham, MA, 02454, USA
SOURCE: Dalton (2000), (21), 3949-3954
CODEN: DALTFG
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
CLASSIFICATION: 78-7 (Inorganic Chemicals and Reactions)
Section cross-reference(s): 75

ABSTRACT:
Two cadmium(II) coordination polymers, Cd2L14(.mu.-H2O) (1) and Cd2L24(.mu.-H2O) (2) [L1 = (E)-4-(2-thiazolyl)ethenylbenzoate, L2 = (E)-4-(3-pyridyl)ethenylbenzoate] based on meta-pyridinecarboxylate bridging ligands, were synthesized by the reactions of cadmium perchlorate and the corresponding benzonitrile precursor ligands under hydro(solvo)thermal conditions. Although both compds. 1 and 2 are constructed from the Cd2Ln4(.mu.-H2O) building block, x-ray single crystal structure detns. show that 1 adopts a 2-dimensional polymeric network structure while 2 exhibits a 3-dimensional framework structure as a result of the slightly different configurations of the bridging pyridinecarboxylate ligands. Owing to the presence of the bridging water mols., both 1 and 2 crystallize in centrosym. space groups.

SUPPL. TERM: crystal structure cadmium thiazolylethenylbenzoato pyridylethenylbenzoato polymer; cadmium thiazolylethenylbenzoate pyridylethenylbenzoate polymer prepn structure; ethenylbenzoate thiazolyl pyridyl cadmium polymer prepn structure; pyridinecarboxylate cadmium polymer prepn structure; benzoate thiazolylethenyl pyridylethenyl

cadmium polymer prepn structure

INDEX TERM: Crystal structure
Molecular structure
Supramolecular structure
(of cadmium(II) (thiazolyl)ethenylbenzoato two-dimensional and (pyridyl)ethenylbenzoato three-dimensional aqua polymeric complexes)

INDEX TERM: 321696-80-4P 321696-81-5P
ROLE: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and crystal and mol. structure of polymeric)

INDEX TERM: 500-22-1, 3-Pyridinecarbaldehyde 26104-68-7, (4-Cyanobenzyl)triphenylphosphonium bromide
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(reactant for prepn. of cadmium(II) (pyridyl)ethenylbenzoato aqua three-dimensional polymeric complex)

INDEX TERM: 128587-95-1P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reactant for prepn. of cadmium(II) (pyridyl)ethenylbenzoato aqua three-dimensional polymeric complex)

INDEX TERM: 3032-92-6, 4-Ethynylbenzonitrile 3034-53-5, 2-Bromothiazole
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(reactant for prepn. of cadmium(II) (thiazolyl)ethenylbenzoato two-dimensional polymeric complex)

INDEX TERM: 321696-82-6P **321696-83-7P**
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reactant for prepn. of cadmium(II) (thiazolyl)ethenylbenzoato two-dimensional polymeric complex)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD.

REFERENCE(S):
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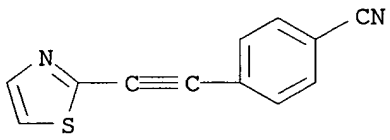
IT **321696-83-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reactant for prepn. of cadmium(II) (thiazolyl)ethenylbenzoato two-dimensional polymeric complex)
RN 321696-83-7 CAPLUS
CN Benzonitrile, 4-(2-thiazolylethynyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 12 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:514911 CAPLUS
DOCUMENT NUMBER: 133:252337
TITLE: Versatile indole synthesis by a 5-endo-dig cyclization
mediated by potassium or cesium bases
AUTHOR(S): Rodriguez, Alain Louis; Koradin, Christopher; Dohle, Wolfgang; Knochel, Paul
CORPORATE SOURCE: Department Chemie, Universitat Munchen, Munchen, 81377, Germany
SOURCE: Angewandte Chemie, International Edition (2000), 39(14), 2488-2490
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
CLASSIFICATION: 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
OTHER SOURCE(S): CASREACT 133:252337
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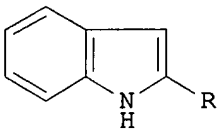

I

ABSTRACT:
The combination of KOCMe3, KH, or CsOCMe3 with the polar solvent NMP allows a smooth prepn. of carious indoles and azaindoles by a 5-endo-dig cyclization. Thus, cyclization of 2-H2NC6H4C.tplbond.CR (R = Ph, Bu, 2-thienyl, etc.) gave indoles I in good yields.

SUPPL. TERM: indole synthesis; cyclization endo dig aniline alkyne; azaindole synthesis

INDEX TERM: Cyclization
(5-endo-dig; endo-dig cyclization of alkynylanilines and derivs. to indoles and azaindoles mediated by potassium and cesium bases)

INDEX TERM: Aromatic hydrocarbons, reactions
Aromatic hydrocarbons, reactions
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(aryl alkynes; endo-dig cyclization of alkynylanilines and derivs. to indoles and azaindoles mediated by potassium and cesium bases)

INDEX TERM: Alkynes
Alkynes
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(aryl; endo-dig cyclization of alkynylanilines and derivs. to indoles and azaindoles mediated by potassium and cesium bases)

INDEX TERM: 865-47-4 3934-09-6, Cesium tert-butoxide 7693-26-7, Potassium hydride 13141-38-3 21351-79-1, Cesium hydroxide 52670-38-9 116491-50-0 124643-51-2 131298-21-0 209967-15-7 288254-64-8 288254-65-9 **288254-66-0** 288254-67-1 288254-68-2 288254-69-3 288254-70-6 288254-71-7 288254-72-8 288254-73-9 288254-74-0 288254-75-1
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(endo-dig cyclization of alkynylanilines and derivs. to indoles and azaindoles mediated by potassium and cesium bases)

INDEX TERM: 120-72-9P, 1H-Indole, preparation 948-65-2P 13228-37-0P 25797-03-9P 32566-01-1P 40748-44-5P 52098-05-2P, 1H-Indole-2-ethanol 55463-72-4P 55968-16-6P 78329-40-5P 258336-43-5P 288254-76-2P 288254-77-3P 288254-78-4P 288254-79-5P 288254-80-8P 288254-81-9P 288254-82-0P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(endo-dig cyclization of alkynylanilines and derivs. to indoles and azaindoles mediated by potassium and cesium bases)

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD.

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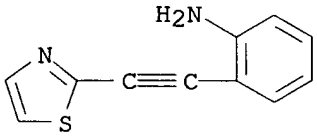
IT **288254-66-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(endo-dig cyclization of alkynylanilines and derivs. to indoles and azaindoles mediated by potassium and cesium bases)

RN 288254-66-0 CAPLUS
CN Benzenamine, 2-(2-thiazolylethynyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 16 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:733991 CAPLUS
DOCUMENT NUMBER: 132:22906
TITLE: 2-Substituted 5-acetyl-4-thiazolyl triflates as useful building blocks for the preparation of functionalized thiazoles
AUTHOR(S): Arcadi, Antonio; Attanasi, Orazio A.; Guidi, Barbara; Rossi, Elisabetta; Santeusanio, Stefania
CORPORATE SOURCE: Dipartimento Chimica, Ingegneria Chimica Materiali, Univ. L'Aquila, L'Aguila, I-67100, Italy
SOURCE: European Journal of Organic Chemistry (1999), (11), 3117-3126
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
CLASSIFICATION: 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
OTHER SOURCE(S): CASREACT 132:22906
ABSTRACT:
Readily available 2-substituted 5-acetyl-4-thiazolyl triflates (I) are useful building blocks for the prepn. of functionalized thiazoles by Pd-catalyzed cross-coupling reactions with organometallic reagents and alkoxycarbonylation and deoxygenation reactions. The combination of Pd-catalyzed coupling of I together with 1-alkynes/6-endo-dig annulation reactions in the presence of NH3 leads to functionalized pyrido[3,4-c]thiazoles in satisfactory yields. The utilization of uncatalyzed displacement reactions of the triflate group represents a very simple method for the synthesis of 4-N-, 4-O-, and 4-S-substituted thiazoles.

SUPPL. TERM: thiazole prepn; thiazolyl triflate palladium catalyzed cross coupling
INDEX TERM: 77-75-8, 3-Methyl-1-pentyn-3-ol 78-27-3, 1-Ethynylcyclohexanol 98-80-6, Phenylboronic acid 100-63-0, Phenylhydrazine 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 536-74-3, Phenylacetylene 629-05-0, 1-Octyne 6258-60-2, 4-Methoxybenzenemethanethiol 7486-35-3, Tributylvinylstannane 10160-87-9, 3,3-Diethoxy-1-propyne 54663-78-4, 2-(Tributylstannyl)thiophene 87199-16-4, 3-Formylphenylboronic acid 207397-53-3 207397-54-4 207397-55-5 207397-56-6 207397-57-7 207397-58-8 207397-59-9
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of thiazoles via acetylthiazolyl triflates)
INDEX TERM: 220449-50-3P 220449-51-4P 220449-52-5P 220449-53-6P 220449-54-7P 220449-55-8P 220449-56-9P **220449-57-0P** 220449-59-2P 220449-60-5P **220449-61-6P** **220449-62-7P** **220449-63-8P** 220449-64-9P 220449-65-0P **220449-66-1P** **220449-67-2P**

ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of thiazoles via acetylthiazolyl triflates)

INDEX TERM: 10045-50-8P 57560-99-3P 96497-50-6P 220449-68-3P
220449-69-4P 220449-70-7P 220449-71-8P 220449-72-9P
220449-73-0P 220449-74-1P 220449-75-2P 220449-76-3P
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252013-21-1P 252013-22-2P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of thiazoles via acetylthiazolyl triflates)

REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD.

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IT **220449-57-0P 220449-61-6P 220449-62-7P**
220449-63-8P 220449-66-1P 220449-67-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of thiazoles via acetylthiazolyl triflates)

RN 220449-57-0 CAPLUS
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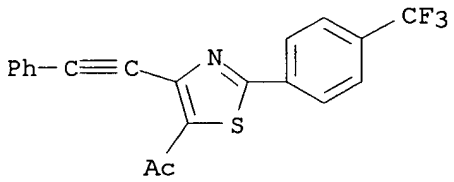
1-[4-(phenylethynyl)-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-
(9CI) (CA INDEX NAME)



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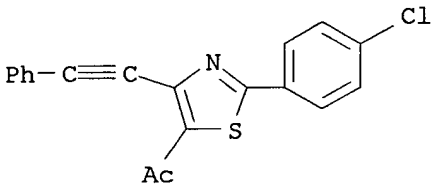
INDEX NAME)



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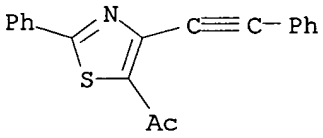

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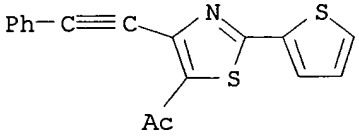

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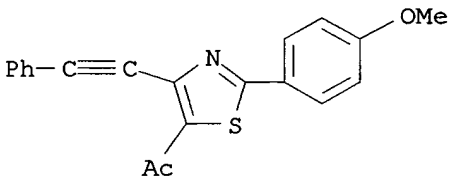

RN 220449-67-2 CAPLUS
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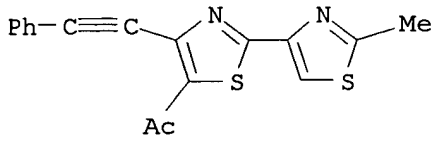
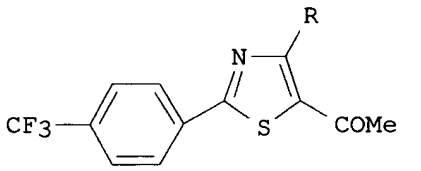
INDEX NAME)



L37 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:41776 CAPLUS
DOCUMENT NUMBER: 130:168290
TITLE: Pyrido[3,4-c]thiazoles through combined palladium-catalyzed coupling of 2-substituted 5-acetyl-4-thiazolyl triflates with alkynes/annulation reactions
AUTHOR(S): Arcadi, Antonio; Attanasi, Orazio A.; Guidi, Barbara; Rossi, Elisabetta; Santeusanio, Stefania
CORPORATE SOURCE: Dipartimento di Chimica Ingegneria Chimica e Materiali della Fac olta di Scienze, Universita de L'Aquila, L'Aquila, I-67100, Italy
SOURCE: Chemistry Letters (1999), (1), 59-60
CODEN: CMLTAG; ISSN: 0366-7022
PUBLISHER: Chemical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
CLASSIFICATION: 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
GRAPHIC IMAGE:



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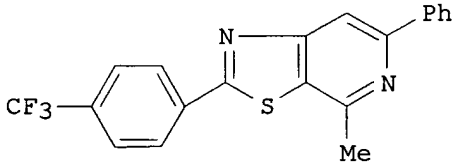

II

ABSTRACT:
2-Substituted 5-acetyl-4-thiazolyl triflates give in good yields functionalized pyrido[3,4-c]thiazoles through combined palladium-catalyzed coupling with 1-alkynes/6-endo-dig annulation reactions in the presence of ammonia. E.g., I (R = OTf) is converted in 62% yield to I (R = C.tplbond.CPh), which is converted to II in 82% yield.

SUPPL. TERM: pyridothiazole prepn; alkyne coupling annulation acetylthiazolyl triflate palladium; thiazolyl triflate coupling annulation alkyne palladium
INDEX TERM: Coupling reaction
Coupling reaction catalysts
Cyclization

(pyrido[3,4-c]thiazoles via palladium-catalyzed coupling of 5-acetyl-4-thiazolyl triflates with alkynes followed by cyclization)

INDEX TERM: Alkynes
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(pyrido[3,4-c]thiazoles via palladium-catalyzed coupling of 5-acetyl-4-thiazolyl triflates with alkynes followed by cyclization)

INDEX TERM: 14221-01-3, Tetrakis(triphenylphosphine)palladium
ROLE: CAT (Catalyst use); USES (Uses)
(pyrido[3,4-c]thiazoles via palladium-catalyzed coupling of 5-acetyl-4-thiazolyl triflates with alkynes followed by cyclization)

INDEX TERM: 207397-53-3 207397-54-4 207397-55-5 207397-56-6
207397-57-7 207397-58-8 207397-59-9
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(pyrido[3,4-c]thiazoles via palladium-catalyzed coupling of 5-acetyl-4-thiazolyl triflates with alkynes followed by cyclization)

INDEX TERM: 220449-50-3P 220449-51-4P 220449-52-5P 220449-53-6P
220449-54-7P 220449-55-8P 220449-56-9P
220449-57-0P 220449-59-2P 220449-60-5P
220449-61-6P 220449-62-7P
220449-63-8P 220449-64-9P 220449-65-0P
220449-66-1P 220449-67-2P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pyrido[3,4-c]thiazoles via palladium-catalyzed coupling of 5-acetyl-4-thiazolyl triflates with alkynes followed by cyclization)

INDEX TERM: 220449-68-3P 220449-69-4P 220449-70-7P 220449-71-8P
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220449-76-3P 220449-77-4P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(pyrido[3,4-c]thiazoles via palladium-catalyzed coupling of 5-acetyl-4-thiazolyl triflates with alkynes followed by cyclization)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD.

REFERENCE(S):

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(9) Cacchi, S; Synlett 1997, P1400 CAPLUS
(10) Draper, T; Synlett 1995, P157 CAPLUS
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(17) Sakamoto, T; Heterocycles 1986, V24, P2311 CAPLUS
(18) Sakamoto, T; Heterocycles 1988, V27, P2225 CAPLUS
(19) Sakamoto, T; Synthesis 1992, P552 CAPLUS
(20) Schmitz, W; Tetrahedron Lett 1996, V37, P4857 CAPLUS
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IT **220449-57-0P 220449-61-6P 220449-62-7P**
220449-63-8P 220449-66-1P 220449-67-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pyrido[3,4-c]thiazoles via palladium-catalyzed coupling of 5-acetyl-4-thiazolyl triflates with alkynes followed by cyclization)

RN 220449-57-0 CAPLUS
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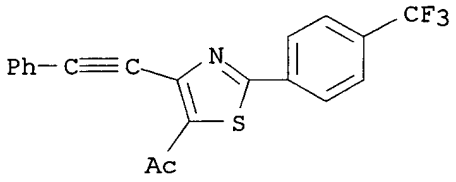

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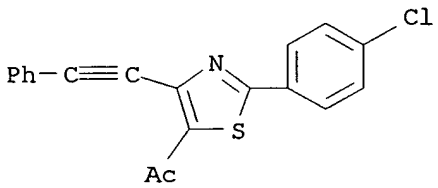

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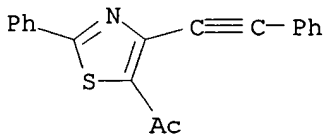

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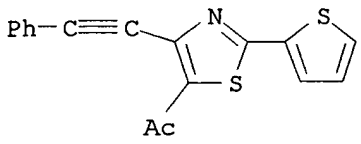

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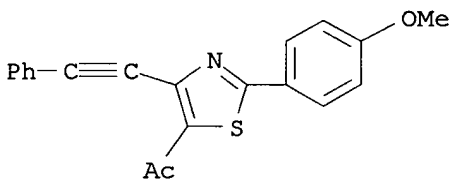

RN 220449-67-2 CAPLUS
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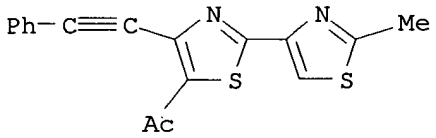
INDEX NAME)



L37 ANSWER 22 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:272663 CAPLUS
DOCUMENT NUMBER: 128:321593
TITLE: Alkynylisothiazoles
AUTHOR(S): Zlotin, S. G.; Kislitsin, P. G.; Luk'yanov, O. A.
CORPORATE SOURCE: N. D. Zelinsky Institute of Organic Chemistry, Russian
Academy of Sciences, Moscow, 117913, Russia
SOURCE: Russian Chemical Bulletin (Translation of Izvestiya Akademii Nauk, Seriya Khimicheskaya) (1998), 47(3), 519-523
CODEN: RCBUEY; ISSN: 1066-5285
PUBLISHER: Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English
CLASSIFICATION: 28-7 (Heterocyclic Compounds (More Than One Hetero

Atom))

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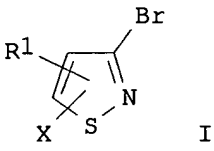

I

ABSTRACT:
A new synthesis of mono- and dialkynylisothiazoles I (R1 = 4-Br, 4-iodo, 5-H, 5-PhC.tplbond.C, X = C.tplbond.CR2, R2 = Ph, n-hexyl, CH2OH, CH2OMe) by cross-coupling of bromine- and iodine-contg. isothiazoles with terminal acetylene moieties in the PdCl2(PPh3)2-CuI-NEt3 catalytic system has been developed.

SUPPL. TERM: coupling haloisothiazole acetylene palladium; alkynylisothiazole prepn palladium catalyst; isothiazole alkynyl prepn palladium catalyst

INDEX TERM: 107-19-7, Propargyl alcohol 536-74-3, Phenylacetylene 627-41-8 629-05-0, 1-Octyne 71091-87-7 202287-55-6 202287-56-7
ROLE: RCT (Reactant); RACT (Reactant or reagent)
(palladium-catalyzed cross-coupling of haloisothiazoles with acetylenes)

INDEX TERM: 202287-54-5P 207000-19-9P **207000-21-3P**
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(palladium-catalyzed cross-coupling of haloisothiazoles with acetylenes)

INDEX TERM: 886-66-8P **207000-17-7P** 207000-18-8P 207000-20-2P 207000-22-4P **207000-23-5P** **207000-24-6P** 207000-25-7P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(palladium-catalyzed cross-coupling of haloisothiazoles with acetylenes)

IT **207000-21-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(palladium-catalyzed cross-coupling of haloisothiazoles with acetylenes)

RN 207000-21-3 CAPLUS

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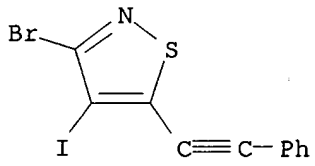

IT **207000-17-7P 207000-23-5P 207000-24-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(palladium-catalyzed cross-coupling of haloisothiazoles with acetylenes)
RN 207000-17-7 CAPLUS
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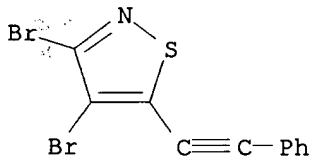

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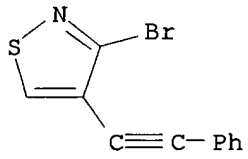
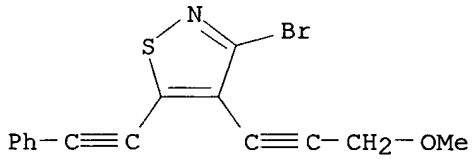


RN 207000-24-6 CAPLUS
CN Isothiazole, 3-bromo-4-(3-methoxy-1-propynyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:507907 CAPLUS
DOCUMENT NUMBER: 121:107907
TITLE: Substituted Azole Derivatives as Nonlinear Optical Chromophores

AUTHOR(S): Miller, Robert D.; Lee, Victor Y.; Moylan, Christopher R.
CORPORATE SOURCE: Almaden Research Center, IBM, San Jose, CA, 95120-6099, USA
SOURCE: Chem. Mater. (1994), 6(7), 1023-32
CODEN: CMATEX; ISSN: 0897-4756
DOCUMENT TYPE: Journal
LANGUAGE: English
CLASSIFICATION: 22-13 (Physical Organic Chemistry)
Section cross-reference(s): 73
OTHER SOURCE(S): CASREACT 121:107907
ABSTRACT:
A series of nonlinear optical chromophores contg. a singly substituted thiazole ring has been synthesized and characterized. Selected imidazole, oxazole, and Ph analogs have also been prepd. and characterized for comparison. All exptl. hyperpolarizabilities have been extrapolated to zero frequency for purposes of comparison using the well-established two-level model. The thiazoles are superior to oxazoles and imidazoles from a nonlinear point of view. The reasons for their superiority and the implications for their use in optoelectronic devices are discussed.

SUPPL. TERM: thiazole deriv nonlinear optical chromophore; azole deriv nonlinear optical chromophore; hyperpolarizability azole deriv

INDEX TERM: Chromophores and Chromophoric systems
(nonlinear optical, azoles, prepn. of)

INDEX TERM: Ultraviolet and visible spectra
(of azoles)

INDEX TERM: Molecular structure-property relationship
(hyperpolarizability, of azoles)

INDEX TERM: Optical nonlinear property
(hyperpolarizability, of thiazole derivs.)

INDEX TERM: Heterocyclic compounds
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(nitrogen, five-membered, prepn. of, as nonlinear optical chromophores)

INDEX TERM: 4181-05-9, p-(Diphenylamino)benzaldehyde
ROLE: RCT (Reactant)
(Wittig reaction of, with (bromomethyl)phosphonium reagent)

INDEX TERM: 103-69-5, N-Ethylaniline
ROLE: RCT (Reactant)
(alkylation of, with bromohexanol)

INDEX TERM: 4286-55-9, 6-Bromo-1-hexanol
ROLE: PRP (Properties)
(alkylation with, of ethylaniline)

INDEX TERM: 616-47-7, N-Methylimidazole
ROLE: RCT (Reactant)
(bromination of)

INDEX TERM: 136517-25-4, p-(Perfluorohexylsulfonyl)bromobenzene
ROLE: RCT (Reactant)
(coupling of, with (methoxyphenyl)acetylene)

INDEX TERM: 156780-54-0, p-(Perfluorobutylsulfonyl)bromobenzene
ROLE: RCT (Reactant)
(coupling of, with bromoanisole)
INDEX TERM: 768-60-5, (p-Methoxyphenyl)acetylene
ROLE: RCT (Reactant)
(coupling of, with bromoazoles)
INDEX TERM: 2516-37-2, 2-Bromo-6-nitrobenzothiazole 3034-48-8, 2-Bromo-5-nitrothiazole 58534-03-5, 2-Bromo-5-(methanesulfonyl)thiazole 101349-79-5, .beta.-Iodostyrene
ROLE: RCT (Reactant)
(coupling reaction of)
INDEX TERM: 540-37-4, p-Iodoaniline
ROLE: RCT (Reactant)
(coupling reaction of, with (trimethylsilyl)acetylene)
INDEX TERM: 536-74-3, Phenylacetylene 591-51-5, Phenyllithium 6303-59-9, 4-Methoxy-.beta.-bromostyrene 119950-15-1
ROLE: RCT (Reactant)
(coupling reaction of, with bromoazole)
INDEX TERM: 3034-53-5, 2-Bromothiazole
ROLE: RCT (Reactant)
(coupling reaction of, with p-bromoanisole)
INDEX TERM: 104-92-7, p-Bromoanisole
ROLE: RCT (Reactant)
(coupling reactions of, with bromoazoles)
INDEX TERM: 123-11-5, p-Methoxybenzaldehyde, reactions 7664-41-7, Ammonia, reactions 13171-63-6, Tartaric acid dinitrate
ROLE: RCT (Reactant)
(cyclization of, to (methoxyphenyl)imidazole)
INDEX TERM: 121-66-4, 2-Amino-5-nitrothiazole 6285-57-0, 2-Amino-6-benzothiazole
ROLE: RCT (Reactant)
(diazo coupling reactions of)
INDEX TERM: 603-34-9, Triphenylamine
ROLE: PRP (Properties)
(diazo coupling with aminoazole)
INDEX TERM: 100-66-3, Anisole, reactions
ROLE: RCT (Reactant)
(diazo coupling with aminoazole)
INDEX TERM: 7727-37-9P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(heterocyclic compounds, nitrogen, five-membered, prepn. of, as nonlinear optical chromophores)
INDEX TERM: 375-72-4, Perfluorobutylsulfonyl fluoride
ROLE: PRP (Properties)
(perfluorobutylsulfonylation with, of (methoxyphenyl)azoles)
INDEX TERM: 156780-53-9P, 2-(p-Methoxyphenyl)-N-methylimidazole
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and bromination of)
INDEX TERM: 156780-51-7P, 2-(Triethylstannyl)oxazole
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and bromodestannylation of)
INDEX TERM: 14235-81-5P, 4-Amino-1-ethynylbenzene 156780-40-4P 156780-42-6P 156780-43-7P

ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and coupling reaction of, with bromoazole)

INDEX TERM: 16681-59-7P, 2-Bromo-N-methylimidazole 125533-82-6P, 2-Bromooxazole
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and coupling reaction of, with p-bromoanisole)

INDEX TERM: 156780-48-2P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and diazo coupling with aminoazole)

INDEX TERM: 20751-78-4P 53715-66-5P 151986-01-5P 151986-03-7P
156780-23-3P 156780-24-4P 156780-25-5P
156780-29-9P 156780-30-2P 156780-31-3P
156780-32-4P 156780-33-5P 156780-34-6P
156780-35-7P **156780-36-8P**
156780-37-9P **156780-38-0P** 156780-39-1P
156780-41-5P 156780-44-8P 156780-45-9P 156780-46-0P
156780-47-1P 156780-49-3P 156780-50-6P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and linear and nonlinear optical properties of)

INDEX TERM: 52091-37-9P, 2-(p-Methoxyphenyl)imidazole
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and methylation of)

INDEX TERM: 27088-84-2P, 2-(p-Methoxyphenyl)thiazole 156780-22-2P
156780-26-6P 156780-27-7P 156780-28-8P
156780-52-8P, 2-(p-Methoxyphenyl)oxazole
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and perfluorobutylsulfonylation of)

INDEX TERM: 100-10-7, p-(Dimethylamino)benzaldehyde
ROLE: RCT (Reactant)
(stereoselective Wittig reaction of, with (bromomethyl)phosphonium reagent)

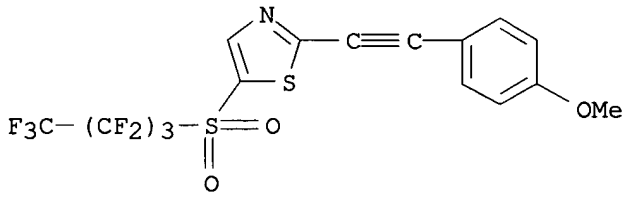
INDEX TERM: 1034-49-7, (Bromomethyl)triphenylphosphonium bromide
ROLE: RCT (Reactant)
(stereoselective Wittig reaction of, with (dimethylamino)benzaldehyde)

INDEX TERM: 288-42-6, Oxazole
ROLE: PRP (Properties)
(triethylstannylation of)

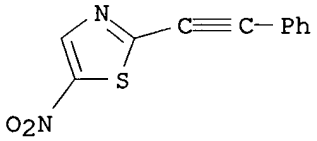
IT **156780-29-9P** **156780-35-7P** **156780-36-8P**
156780-37-9P **156780-38-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and linear and nonlinear optical properties of)

RN 156780-29-9 CAPLUS

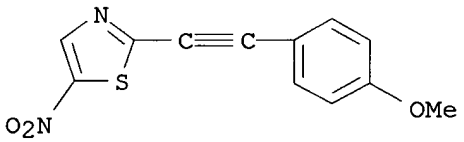
CN Thiazole, 2-[(4-methoxyphenyl)ethynyl]-5-[(nonafluorobutyl)sulfonyl]- (9CI) (CA INDEX NAME)



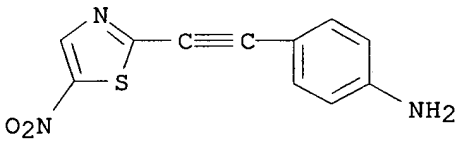
RN 156780-35-7 CAPLUS
CN Thiazole, 5-nitro-2-(phenylethynyl)- (9CI) (CA INDEX NAME)



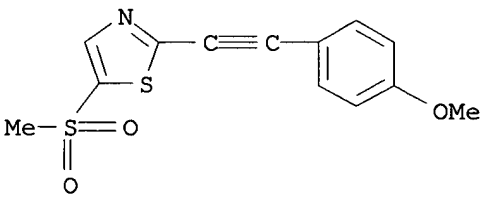
RN 156780-36-8 CAPLUS
CN Thiazole, 2-[(4-methoxyphenyl)ethynyl]-5-nitro- (9CI) (CA INDEX NAME)



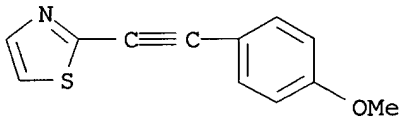
RN 156780-37-9 CAPLUS
CN Benzenamine, 4-[(5-nitro-2-thiazolyl)ethynyl]- (9CI) (CA INDEX NAME)



RN 156780-38-0 CAPLUS
CN Thiazole, 2-[(4-methoxyphenyl)ethynyl]-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)



IT **156780-26-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and perfluorobutylsulfonylation of)
RN 156780-26-6 CAPLUS
CN Thiazole, 2-[(4-methoxyphenyl)ethynyl]- (9CI) (CA INDEX NAME)



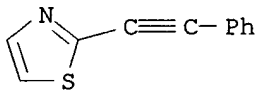
L37 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:214333 CAPLUS
DOCUMENT NUMBER: 116:214333
TITLE: Preparation of (arylethynyl)heteroaromatics as acaricides and insecticides
INVENTOR(S): Rentzea, Costin; Kardorff, Uwe; Kuenast, Christoph; Theobald, Hans; Kuekenhoener, Thomas
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
INT. PATENT CLASSIF.:
MAIN: C07D333-08
SECONDARY: C07D333-12; C07D333-16; C07D333-28; C07D277-22; A01N043-10; A01N043-78
CLASSIFICATION: 27-8 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 5
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 469411	A1	19920205	EP 1991-112154	19910720
EP 469411	B1	19970611		
R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL				
DE 4024281	A1	19920206	DE 1990-4024281	19900731
ES 2103759	T3	19971001	ES 1991-112154	19910720
JP 04234381	A2	19920824	JP 1991-187421	19910726
CA 2048159	AA	19920201	CA 1991-2048159	19910730
US 5389656	A	19950214	US 1992-911386	19920713
PRIORITY APPLN. INFO.:			DE 1990-4024281	19900731
			US 1991-737866	19910730

OTHER SOURCE(S): MARPAT 116:214333
ABSTRACT:
R1C.tplbond.CR2 [R1 = (substituted) heteroaryl; R2 = (substituted) (polycyclic)
aryl] were prepd. Thus, 2-bromothiophene was condensed with PhC.tplbond.CH to give 1-(2-thienyl)-2-phenylacetylene.
1-(3-Chloro-2-thienyl)-2-phenylacetylene
gave 80-100% kill of Heliothis virescens larvae on bean leaves wetted with a

400-ppm prepn.

SUPPL. TERM: heteroarom arylethynyl prepn acaricide insecticide
INDEX TERM: Acaricides
Insecticides
((arylethynyl)heteroaroms.)
INDEX TERM: 4805-17-8P **35070-01-0P** 131423-29-5P
140918-60-1P 140918-61-2P 140918-62-3P 140918-63-4P
140918-64-5P 140918-65-6P 140918-66-7P 140918-67-8P
ROLE: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation);
BIOL
(Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as acaricide and insecticide)
INDEX TERM: 536-74-3, Phenylacetylene 1003-09-4, 2-Bromothiophene
ROLE: RCT (Reactant)
(reaction of, in prepn. of acaricides and insecticides)
IT **35070-01-0P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as acaricide and insecticide)
RN 35070-01-0 CAPLUS
CN Thiazole, 2-(phenylethynyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:235292 CAPLUS
DOCUMENT NUMBER: 112:235292
TITLE: Photo-activated miticidal and insecticidal 5-ethynylthiazoles
INVENTOR(S): Lutomski, Kathryn A.; Roush, David M.; Phillips, Richard B.
PATENT ASSIGNEE(S): FMC Corp., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
INT. PATENT CLASSIF.:
MAIN: A01N043-78
SECONDARY: C07D417-06; C07D277-22
US PATENT CLASSIF.: 514365000
CLASSIFICATION: 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 5
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 4889867	A	19891226	US 1988-271809	19881115

OTHER SOURCE(S): CASREACT 112:235292; MARPAT 112:235292

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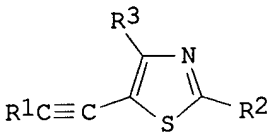


I

ABSTRACT:

The title compds. [I; R1 = naphthyl, Ph optionally substituted with .gtoreq.1 C1-4 alkyl, C1-4 (halo)alkoxy, halo, NO2, cyano, PhS, etc.; R2 = naphthyl, Ph optionally substituted with .gtoreq.1 C1-4 (halo)alkyl, OCF2O bridging vicinal C atoms on the Ph ring, C1-4 (halo)alkoxy, C1-4 (halo)alkylthio, No2, cyano, etc.; R3 = H, C1-4 alkyl, Ph, MeC6H4], photodynamic insecticides and acaricides, were prepd. by ethynylation of 5-iodothiazoles. Thus, a stirred mixt. of 2-(4-chlorophenyl)-5-iodothiazole (3-stop prepn. given) 0.6, PhC.tplbond.CH 0.21, (Ph3P)2PdCl2 0.013, CuI 09013 g, Et3N 20 mL and MeCN 10 mL
was refluxed 2 days to give 0.4 g I (R1 = Ph, R2 = 4-ClC6H4, R3 = H) which at 50 ppm gave 20% kill of Trichoplusia ni and 100% kill of Tetranychus urticae when exposed to the UV light.

SUPPL. TERM: ethynylthiazole prepn miticide insecticide; thiazole ethynyl
prepn acaricide; ethynylation thiazole

INDEX TERM: Acaricides
Insecticides
((phenylethynyl)thiazoles)

INDEX TERM: 536-74-3, Phenylacetylene
ROLE: RCT (Reactant)
(coupling of, with (chlorophenyl)iodothiazole, in prepn. of insecticide and acaricide)

INDEX TERM: 7252-83-7, Bromoacetaldehyde dimethyl acetal
ROLE: RCT (Reactant)
(cyclocondensation of, with (chlorophenyl)thiocarboxamide
, in prepn. of insecticide and acaricide)

INDEX TERM: 17157-48-1, Bromoacetaldehyde
ROLE: RCT (Reactant)
(cyclocondensation of, with phenylthiocarboxamide deriv.,
in prepn. of insecticide and acaricide)

INDEX TERM: 1066-54-2, Trimethylsilylacetylene
ROLE: RCT (Reactant)
(ethynylation by, of (trifluoromethylphenyl)iodothiazole,
in prepn. of insecticide and acaricide)

INDEX TERM: 119514-22-6P, 2-(4-Chlorophenyl)-5-iodothiazole
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation)
(prepn. and coupling of, with phenylethyne, in prepn. of insecticide and acaricide)

INDEX TERM: 119514-26-0P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and coupling reaction of, with (trifluoromethylphenyl)iodothiazole, in prepn. of insecticide and acaricide)

INDEX TERM: 2521-24-6P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclocondensation of, with bromoacetaldehyde di-Me acetal, in prepn. of insecticide and acaricide)

INDEX TERM: 72505-21-6P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclocondensation of, with bromoacetaldehyde, in prepn. of insecticide and acaricide)

INDEX TERM: 119514-25-9P, 2-(4-Trifluoromethylphenyl)-5-iodothiazole
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and ethynylation of, in prepn. of insecticide and acaricide)

INDEX TERM: 27149-26-4P, 2-(4-Chlorophenyl)thiazole
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and iodination of, in prepn. of insecticide and acaricide)

INDEX TERM: 119514-24-8P, 2-(4-Trifluoromethylphenyl)thiazole
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and iodination of, in prepn. of insecticide and scaricides)

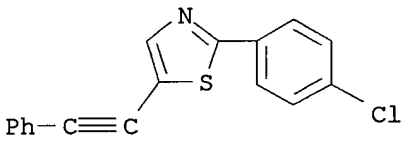
INDEX TERM: **119514-35-1P** 119514-60-2P
ROLE: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as insecticide and acaricide)

INDEX TERM: 7783-06-4P, Hydrogen sulfide, preparation
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(sulfuration by, of trifluoromethylbenzonitrile, in prepn. of insecticide and acaricide)

INDEX TERM: 619-56-7, 4-Chlorobenzamide
ROLE: RCT (Reactant)
(sulfuration of, by Lawessons's reagent, in prepn. of insecticide and acaricide)

INDEX TERM: 455-18-5, 4-(Trifluoromethyl)benzonitrile
ROLE: RCT (Reactant)
(sulfuration of, by hydrogen sulfide, in prepn. of insecticide and acaricide)

IT **119514-35-1P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as insecticide and acaricide)
RN 119514-35-1 CAPLUS
CN Thiazole, 2-(4-chlorophenyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 37 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:198365 CAPLUS
DOCUMENT NUMBER: 112:198365
TITLE: Preparation of heterazole dialkanoic acids as leukotriene antagonists
INVENTOR(S): Young, Robert N.; Atkinson, Joseph G.
PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.
SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
INT. PATENT CLASSIF.:
MAIN: C07D233-64
SECONDARY: C07D263-32; C07D277-22; A61K031-415; A61K031-42; A61K031-425
CLASSIFICATION: 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 318085	A2	19890531	EP 1988-202566	19881116
EP 318085	A3	19900314		
R: CH, DE, FR, GB, IT, LI, NL				
US 4962117	A	19901009	US 1988-265972	19881102
CA 1333801	A1	19950103	CA 1988-583725	19881122
JP 02111759	A2	19900424	JP 1988-296382	19881125

PRIORITY APPLN. INFO.: US 1987-125622 19871125
OTHER SOURCE(S): MARPAT 112:198365
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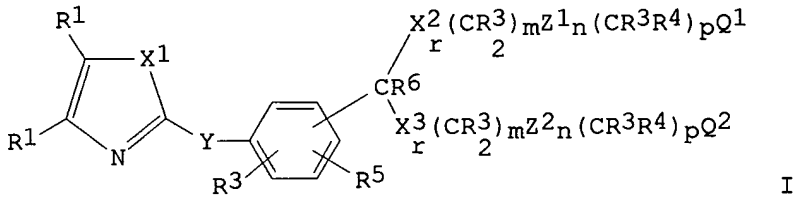


I

ABSTRACT:
The title compds. I [R1 = H, halo, alkyl, alkenyl, alkynyl, CF3, SR2, SOR2, SO2R2, NR32, etc.; R2 = alkyl, alkenyl, alkynyl, (un)substituted Ph, etc.; R3 = H, R2; R4 = H, halo, NO2, CN, etc.; R5 = H, halo, NO2, N3, CN, etc.; R6 = H, alkyl; X1 = NR3, O, S; X2, X3 = O, S, SO, SO2, etc.; Y = CR3;CR3, C.tplbond.C, etc.; Q1, Q2 = CO2R3, CHO, tetrazolyl, etc.; Z1, Z2 = CONR3, phenylene, pyridenylene, thiophenylene, etc.; m, p = Q, 1-8; n,n = 0, 1] are prepd. as leukotriene biosynthesis inhibitors (no data) usable as antiasthmatic, antiallergic, antiinflammatory and cytoprotective agents. A mixt. of 4-(1-methylethyl)-2-methylthiazole, isophthalaldehyde and Ac2O was refluxed to give 3-[2-[4-(1-methylethyl)-2-thiazolyl]ethenylbenzaldehyde, which was treated
with Me 3-mercaptopropanoate, N,N-dimethyl-3-mercaptopropanamide, and BF3.Et2O,
in CH2Cl2, to give N,N,N',N'-tetramethyl-3,3'-[[[3-[2-[4-(1-methylethyl)-2-thiazolyl]ethenyl]phenyl]methylene]bis(thio)]bispropanamide.

SUPPL. TERM: leukotriene heterazole dialkanoate prepn; antiinflammatory heterazole dialkanoate; antiasthmatic heterazole dialkanoate; antiallergic heterazole dialkanoate

INDEX TERM: Leukotrienes
ROLE: RCT (Reactant)
(antagonists, heterazole dialkanoic acid drugs as)

INDEX TERM: Allergy inhibitors
Inflammation inhibitors
(heterazole dialkanoic acid, as leukotriene inhibitors)

INDEX TERM: Bronchodilators
(antiasthmatics, heterazole dialkanoic acid, as leukotriene inhibitors)

INDEX TERM: 126173-18-0P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with mercapto propanoates)

INDEX TERM: 126173-19-1P 126173-20-4P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

INDEX TERM: 126173-17-9P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as leukotriene biosynthesis-inhibiting drugs)

INDEX TERM: 126173-21-5P 126173-22-6P 126173-23-7P 126173-24-8P
126173-25-9P **126173-26-0P 126173-27-1P**
126173-28-2P 126173-29-3P 126173-30-6P
126173-31-7P 126199-19-7P

ROLE: BAC (Biological activity or effector, except adverse);
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as pharmaceutical)

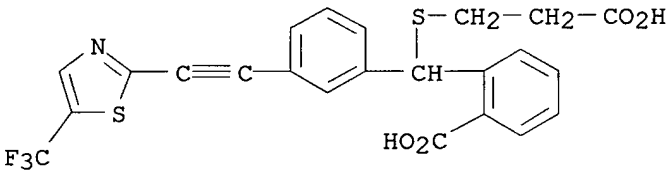
INDEX TERM: 32272-52-9
ROLE: RCT (Reactant)
(reaction of, with isoaldehyde)

INDEX TERM: 626-19-7, 1,3-Benzenedicarboxaldehyde
ROLE: RCT (Reactant)
(reaction of, with thiazole deriv.)

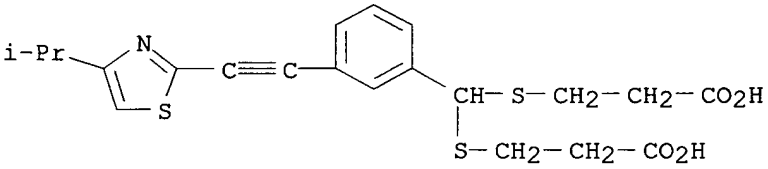
INDEX TERM: 2935-90-2, Methyl 3-mercaptopropanoate 92065-71-9
ROLE: RCT (Reactant)
(reaction of, with thiazolylethenylbenzaldehyde deriv.)

IT **126173-26-0P 126173-27-1P 126173-28-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as pharmaceutical)

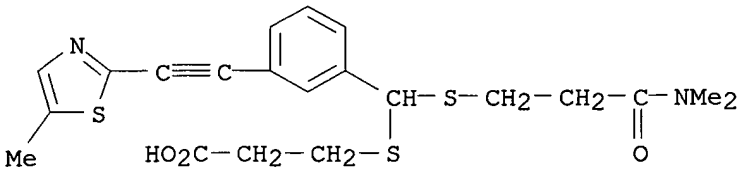
RN 126173-26-0 CAPLUS
CN Benzoic acid, 2-[[(2-carboxyethyl)thio][3-[[5-(trifluoromethyl)-2-thiazolyl]ethynyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 126173-27-1 CAPLUS
CN Propanoic acid, 3,3'-[[[3-[[4-(1-methylethyl)-2-thiazolyl]ethynyl]phenyl]methylene]bis(thio)]bis- (9CI) (CA INDEX NAME)



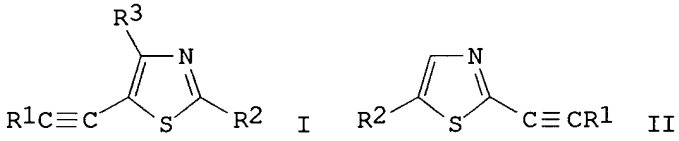
RN 126173-28-2 CAPLUS
CN Propanoic acid, 3-[[[[3-(dimethylamino)-3-oxopropyl]thio][3-[(5-methyl-2-thiazolyl)ethynyl]phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



L37 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:135230 CAPLUS
DOCUMENT NUMBER: 110:135230
TITLE: Preparation of ethynylthiazoles as photodynamic insecticides and acaricides
INVENTOR(S): Lutomski, Kathryn A.; Roush, David M.; Phillips, Richard B.
PATENT ASSIGNEE(S): FMC Corp., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
INT. PATENT CLASSIF.:
MAIN: C07D417-06
SECONDARY: C07D277-22; A01N043-78
US PATENT CLASSIF.: 514365000
CLASSIFICATION: 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 5
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4788207	A	19881129	US 1988-161867	19880229

OTHER SOURCE(S): CASREACT 110:135230; MARPAT 110:135230
GRAPHIC IMAGE:



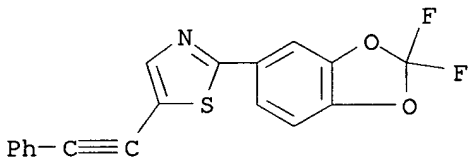
ABSTRACT:
Thirty-nine title 5-ethynylthiazoles I [R1 = C1-6 alkyl, methylthienyl, Me3Si, (un)substituted Ph; R2 = (un)substituted Ph, thienyl; R3 = H, C1-4 alkyl, Ph, MeC6H4] and nine isomeric 2-ethynylthiazoles II [R1 = Ph, alkylthienyl; R2 = (methyl)thienyl, trialkylstannyl, (un)substituted Ph] were prepd. as photoactivated miticides and insecticides. 4-ClC6H4CONH2 was sulfurated by refluxing 2 h in PhMe with Lawesson's reagent and cyclocondensed with BrCH2CH(OMe)2 by refluxing .apprx.3 days in EtOH in the presence of concd. HCl to give 2-(4-chlorophenyl)thiazole. The latter was metalated with BuLi at

-78.degree.C in Et2O and treated with 1,2-diiodoethane to give the corresponding 5-iodothiazole which was refluxed 2 days with PhC.tplbond.CH in MeCN in the presence of Et3N, [Ph3P] PdCl2, and CuI to give I (R1 = Ph, R2 = 4-ClC6H4; R3 = H) (III). At 50 ppm III gave 100% kill of Tetranychus urticae and 20% kill of Trichoplusia ni after 48 h UV light exposure.

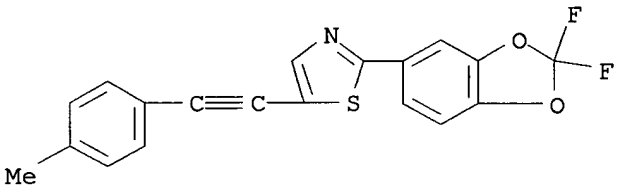
SUPPL. TERM: ethynylthiazole prepn miticide insecticide photosenzitized
INDEX TERM: Acaricides
Insecticides
(ethynylthiazoles)
INDEX TERM: 624-31-7P 2521-24-6P 3034-53-5P 27149-26-4P
42140-95-4P 72505-21-6P 119514-22-6P 119514-23-7P
119514-24-8P 119514-25-9P 119514-26-0P 119514-28-2P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of insecticides and acaricides)
INDEX TERM: 119514-10-2P **119514-11-3P 119514-12-4P**
119514-13-5P **119514-14-6P 119514-15-7P**
119514-16-8P 119514-17-9P
119514-18-0P 119514-19-1P 119514-20-4P
119514-21-5P 119514-28-2P **119514-29-3P**
119514-30-6P 119514-31-7P
119514-32-8P 119514-33-9P
119514-34-0P 119514-35-1P
119514-36-2P 119514-37-3P
119514-38-4P 119514-39-5P
119514-40-8P 119514-41-9P
119514-42-0P 119514-43-1P
119514-44-2P 119514-45-3P
119514-46-4P 119514-47-5P
119514-48-6P 119514-49-7P
119514-50-0P 119514-51-1P
119514-52-2P 119514-53-3P
119514-54-4P 119514-55-5P
119514-56-6P 119514-57-7P 119514-58-8P
119514-59-9P 119514-60-2P 119514-61-3P
119539-82-1P
ROLE: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as insecticide and acaricide)
INDEX TERM: 455-18-5, 4-(Trifluoromethyl)benzonitrile 536-74-3, Phenylacetylene 541-41-3, Ethyl chloroformate 619-56-7, 4-Chlorobenzamide 624-73-7, 1,2-Diiodoethane 1066-54-2, (Trimethylsilyl)acetylene 5813-89-8, 2-Thiophenecarboxamide 7252-83-7, Bromoacetaldehyde dimethyl
acetal 16494-36-3, 2-Iodo-5-methylthiophene 17157-48-1, Bromoacetaldehyde 119514-27-1, 2-[(5-Methyl-2-thienyl)ethynyl]thiazole
ROLE: RCT (Reactant)
(reaction of, in prepn. of insecticides and acaricides)
IT **119514-11-3P 119514-12-4P 119514-14-6P**

119514-15-7P 119514-16-8P 119514-17-9P
119514-18-0P 119514-29-3P 119514-30-6P
119514-31-7P 119514-32-8P 119514-33-9P
119514-34-0P 119514-35-1P 119514-36-2P
119514-37-3P 119514-38-4P 119514-39-5P
119514-40-8P 119514-41-9P 119514-42-0P
119514-43-1P 119514-44-2P 119514-45-3P
119514-46-4P 119514-47-5P 119514-48-6P
119514-49-7P 119514-50-0P 119514-51-1P
119514-52-2P 119514-53-3P 119514-54-4P
119514-55-5P 119514-56-6P 119514-57-7P
119539-82-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as insecticide and acaricide)

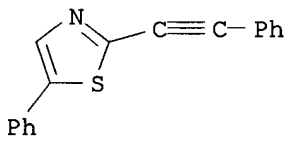
RN 119514-11-3 CAPLUS
CN Thiazole, 2-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



RN 119514-12-4 CAPLUS
CN Thiazole, 2-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-[(4-methylphenyl)ethynyl]- (9CI) (CA INDEX NAME)

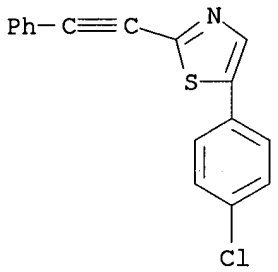


RN 119514-14-6 CAPLUS
CN Thiazole, 5-phenyl-2-(phenylethynyl)- (9CI) (CA INDEX NAME)

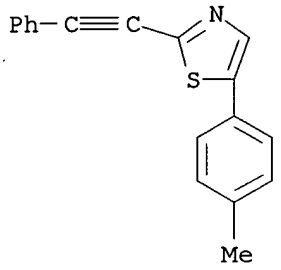


RN 119514-15-7 CAPLUS

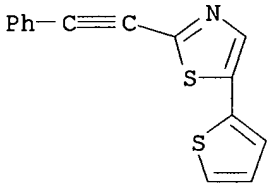
CN Thiazole, 5-(4-chlorophenyl)-2-(phenylethynyl)- (9CI) (CA INDEX NAME)



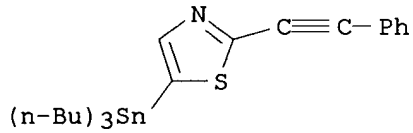
RN 119514-16-8 CAPLUS
CN Thiazole, 5-(4-methylphenyl)-2-(phenylethynyl)- (9CI) (CA INDEX NAME)



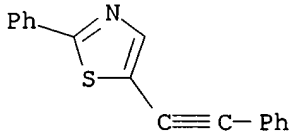
RN 119514-17-9 CAPLUS
CN Thiazole, 2-(phenylethynyl)-5-(2-thienyl)- (9CI) (CA INDEX NAME)



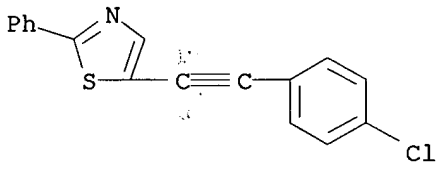
RN 119514-18-0 CAPLUS
CN Thiazole, 2-(phenylethynyl)-5-(tributylstannyl)- (9CI) (CA INDEX NAME)



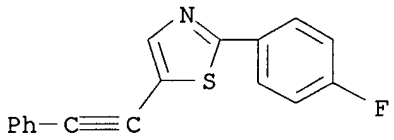
RN 119514-29-3 CAPLUS
CN Thiazole, 2-phenyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



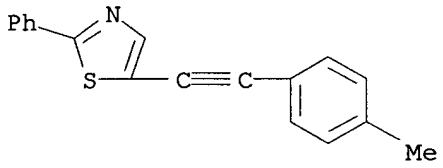
RN 119514-30-6 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2-phenyl- (9CI) (CA INDEX NAME)



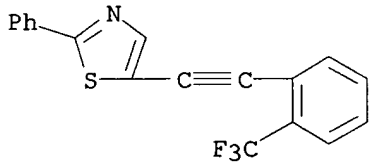
RN 119514-31-7 CAPLUS
CN Thiazole, 2-(4-fluorophenyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)

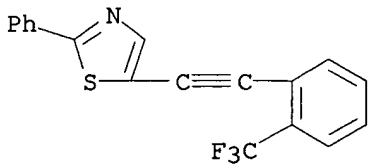


RN 119514-32-8 CAPLUS
CN Thiazole, 5-[(4-methylphenyl)ethynyl]-2-phenyl- (9CI) (CA INDEX NAME)

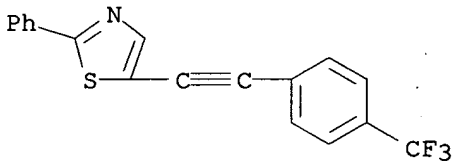


RN 119514-33-9 CAPLUS
CN Thiazole, 2-phenyl-5-[[2-(trifluoromethyl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)

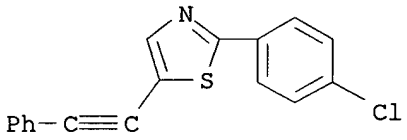




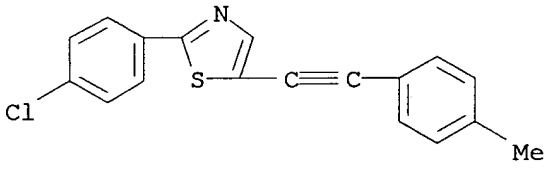
RN 119514-34-0 CAPLUS
CN Thiazole, 2-phenyl-5-[[4-(trifluoromethyl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)



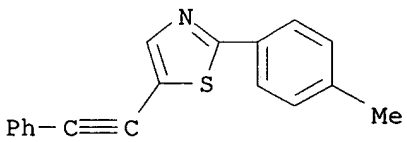
RN 119514-35-1 CAPLUS
CN Thiazole, 2-(4-chlorophenyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)

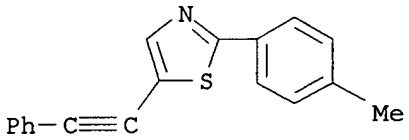


RN 119514-36-2 CAPLUS
CN Thiazole, 2-(4-chlorophenyl)-5-[(4-methylphenyl)ethynyl]- (9CI) (CA INDEX NAME)

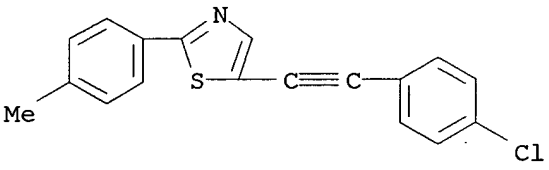


RN 119514-37-3 CAPLUS
CN Thiazole, 2-(4-methylphenyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)

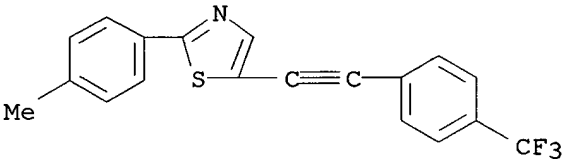




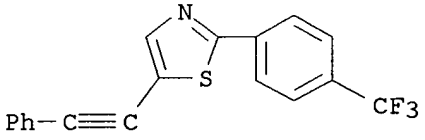
RN 119514-38-4 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2-(4-methylphenyl)- (9CI) (CA INDEX
NAME)



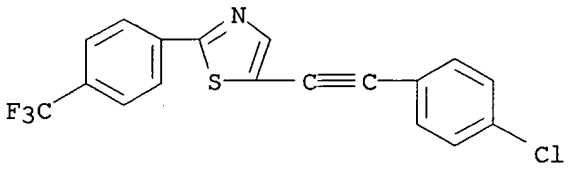
RN 119514-39-5 CAPLUS
CN Thiazole, 2-(4-methylphenyl)-5-[[4-(trifluoromethyl)phenyl]ethynyl]-(9CI)
(CA INDEX NAME)



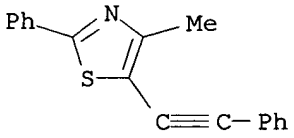
RN 119514-40-8 CAPLUS
CN Thiazole, 5-(phenylethynyl)-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



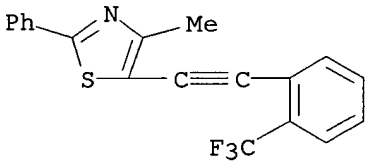
RN 119514-41-9 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2-[4-(trifluoromethyl)phenyl]-(9CI)
(CA INDEX NAME)



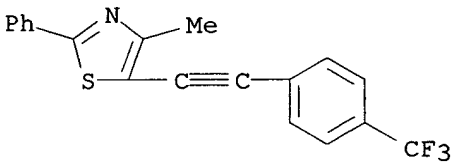
RN 119514-42-0 CAPLUS
CN Thiazole, 4-methyl-2-phenyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



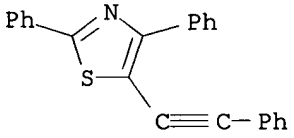
RN 119514-43-1 CAPLUS
CN Thiazole, 4-methyl-2-phenyl-5-[[2-(trifluoromethyl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)



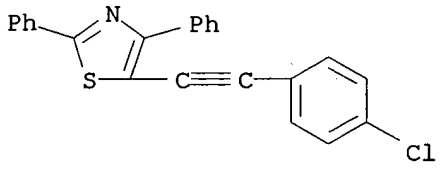
RN 119514-44-2 CAPLUS
CN Thiazole, 4-methyl-2-phenyl-5-[[4-(trifluoromethyl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)



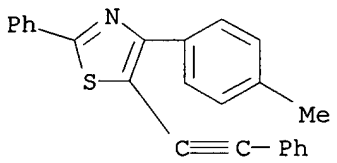
RN 119514-45-3 CAPLUS
CN Thiazole, 2,4-diphenyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



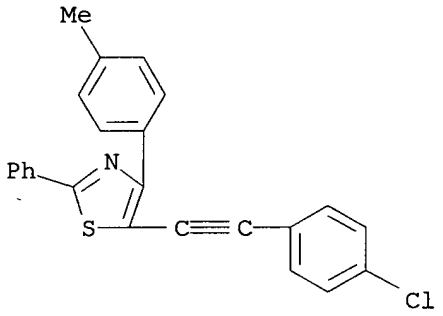
RN 119514-46-4 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2,4-diphenyl- (9CI) (CA INDEX NAME)



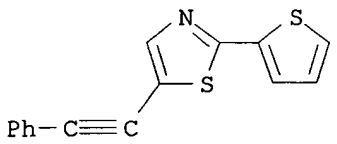
RN 119514-47-5 CAPLUS
CN Thiazole, 4-(4-methylphenyl)-2-phenyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



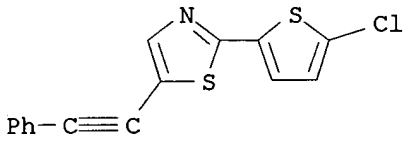
RN 119514-48-6 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-4-(4-methylphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



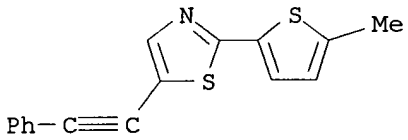
RN 119514-49-7 CAPLUS
CN Thiazole, 5-(phenylethynyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)



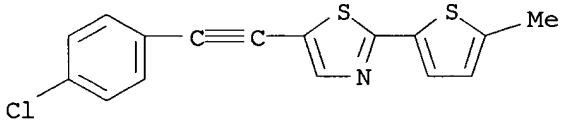
RN 119514-50-0 CAPLUS
CN Thiazole, 2-(5-chloro-2-thienyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



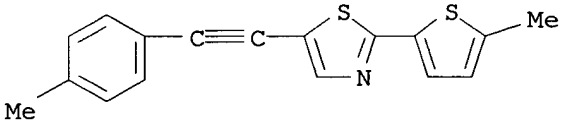
RN 119514-51-1 CAPLUS
CN Thiazole, 2-(5-methyl-2-thienyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



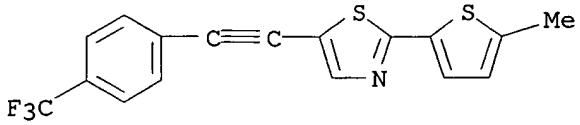
RN 119514-52-2 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2-(5-methyl-2-thienyl)- (9CI) (CA INDEX NAME)



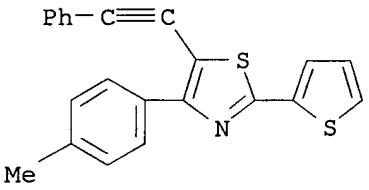
RN 119514-53-3 CAPLUS
CN Thiazole, 5-[(4-methylphenyl)ethynyl]-2-(5-methyl-2-thienyl)- (9CI) (CA INDEX NAME)



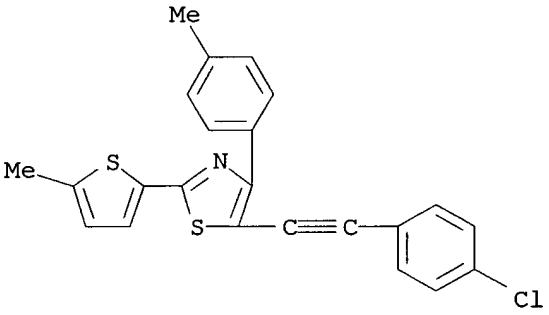
RN 119514-54-4 CAPLUS
CN Thiazole, 2-(5-methyl-2-thienyl)-5-[[4-(trifluoromethyl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)



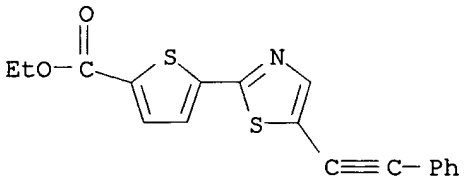
RN 119514-55-5 CAPLUS
CN Thiazole, 4-(4-methylphenyl)-5-(phenylethynyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 119514-56-6 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-4-(4-methylphenyl)-2-(5-methyl-2-thienyl)- (9CI) (CA INDEX NAME)

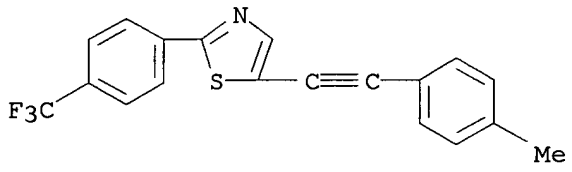


RN 119514-57-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[5-(phenylethynyl)-2-thiazolyl]-, ethyl ester (9CI) (CA INDEX NAME)

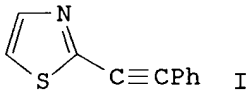


RN 119539-82-1 CAPLUS
CN Thiazole, 5-[(4-methylphenyl)ethynyl]-2-[4-(trifluoromethyl)phenyl]- (9CI)

(CA INDEX NAME)



L37 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:636598 CAPLUS
DOCUMENT NUMBER: 107:236598
TITLE: Palladium-catalyzed reactions of terminal acetylenes and olefins with halo-1,3-azoles
AUTHOR(S): Sakamoto, Takao; Nagata, Hideo; Kondo, Yoshinori; Shiraiwa, Masafumi; Yamanaka, Hiroshi
CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SOURCE: Chem. Pharm. Bull. (1987), 35(2), 823-8
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
CLASSIFICATION: 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
OTHER SOURCE(S): CASREACT 107:236598
GRAPHIC IMAGE:



I

ABSTRACT:
The Pd-catalyzed reactions of 4-bromo- and 5-bromothiazoles, as well as 4-bromo- and 5-bromooxazoles with terminal acetylenes gave ethynyl derivs., e.g. I, in 43-89% yields, whereas the reactions of 2-bromothiazoles and iodo-N-methylimidazoles afforded the products in poor yields. The reaction of the halo-1,3-azoles with terminal olefins was also examd.

SUPPL. TERM: thiazole alkynyl alkenyl; oxazole alkynyl alkenyl; imidazole alkynyl alkenyl; halothiazole alkynylation palladium catalyst; alkenylation haloazole palladium catalyst; heteroarom Heck arylation alkene alkyne
INDEX TERM: Alkenylation
Alkynylation
(of halooxazoles and halothiazoles)
INDEX TERM: Arylation
(Heck, of acetylenes and olefins with halooxazoles and

halothiazoles)

INDEX TERM: 7007-07-0 20662-93-5 28771-82-6 34259-99-9
37067-95-1 57516-16-2 71759-87-0 71759-88-1
ROLE: RCT (Reactant)
(alkynylation and alkenylation of, in the presence of a palladium catalyst)

INDEX TERM: 3034-53-5 111600-83-0
ROLE: RCT (Reactant)
(alkynylation of, in the presence of a palladium catalyst)

INDEX TERM: 140-88-5, Ethyl acrylate 1066-54-2, (Trimethylsilyl)acetylene
ROLE: RCT (Reactant)
(arylation of, with bromothiazoles and -oxazoles, palladium catalyzed)

INDEX TERM: 536-74-3, Phenyl acetylene
ROLE: RCT (Reactant)
(arylation of, with halothiazoles and -oxazoles, palladium catalyzed)

INDEX TERM: 1826-12-6P 1826-17-1P 4072-63-3P **35070-01-0P**
37570-94-8P 55384-94-6P 67879-31-6P 71759-92-7P
83247-14-7P 108905-60-8P **111600-84-1P**
111600-85-2P 111600-86-3P 111600-87-4P
111600-88-5P 111600-89-6P 111600-90-9P
111600-91-0P **111600-92-1P** 111600-93-2P
111600-94-3P 111600-95-4P 111600-96-5P 111600-97-6P
111600-98-7P 111600-99-8P 111601-00-4P 111601-01-5P
111601-02-6P 111601-03-7P 111601-04-8P 111601-05-9P
111601-06-0P 111601-07-1P 111601-08-2P 111601-09-3P
111601-10-6P 111601-11-7P 111601-12-8P 111601-13-9P
111601-14-0P **111601-15-1P** 111601-16-2P
111601-17-3P 111620-41-8P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

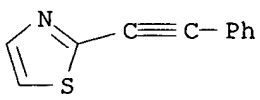
INDEX TERM: 107-13-1, Acrylonitrile, reactions
ROLE: RCT (Reactant)
(reaction of, with bromothiazoles and -oxazoles, palladium catalyzed)

INDEX TERM: 100-42-5, Styrene, reactions
ROLE: RCT (Reactant)
(reaction of, with halothiazoles and -oxazoles, palladium
catalyzed)

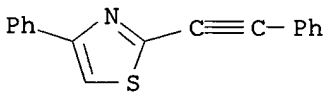
IT **35070-01-0P 111600-84-1P 111600-88-5P**
111600-92-1P 111601-15-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 35070-01-0 CAPLUS

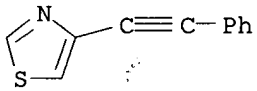
CN Thiazole, 2-(phenylethynyl)- (9CI) (CA INDEX NAME)



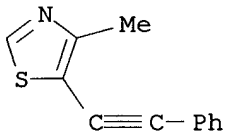
RN 111600-84-1 CAPLUS
CN Thiazole, 4-phenyl-2-(phenylethynyl)- (9CI) (CA INDEX NAME)



RN 111600-88-5 CAPLUS
CN Thiazole, 4-(phenylethynyl)- (9CI) (CA INDEX NAME)



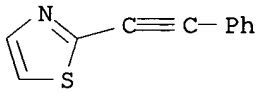
RN 111600-92-1 CAPLUS
CN Thiazole, 4-methyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



RN 111601-15-1 CAPLUS
CN Thiazole, 2-(phenylethynyl)-, compd. with 2,4,6-trinitrophenol (1:1) (9CI)
(CA INDEX NAME)

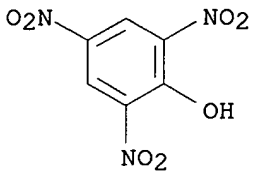
CM 1

CRN 35070-01-0
CMF C11 H7 N S



CM 2

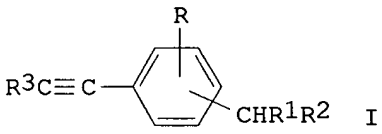
CRN 88-89-1
CMF C6 H3 N3 O7



L37 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:591680 CAPLUS
DOCUMENT NUMBER: 101:191680
TITLE: [(Heterocyclylethynyl)phenyl]acetic acid derivatives
PATENT ASSIGNEE(S): Mitsubishi Petrochemical Co., Ltd., Japan; Mitsubishi Yuka Pharmaceutical Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
INT. PATENT CLASSIF.: C07D207-337; C07D209-18; C07D213-26; C07D213-55; C07D213-61; C07D277-22; C07D277-64; C07D307-54; C07D333-24; C07D333-28
ADDITIONAL: A61K031-34; A61K031-40; A61K031-425; A61K031-44
CLASSIFICATION: 27-8 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59112963	A2	19840629	JP 1982-223259	19821220

GRAPHIC IMAGE:



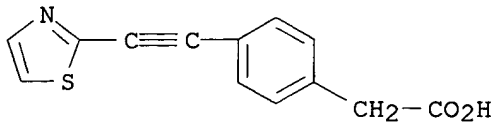
I

ABSTRACT:
The title compds. I [R = H, halo, CF3, alkyl, alkoxy; R1 = H, Me, Et; R2 = cyano, CO2H, alkoxy carbonyl, etc.; R3 = (substituted) heterocyclyl], useful as antiinflammatory agents (carrageenan test in mice at 50 mg/kg p.o. given), were prepd. Thus, refluxing a mixt. of 650 mg CuC.tplbond.CC6H4CH2CO2Et-p, 654 mg 2-iodothiophene, and 30 mL pyridine for 3.5 h gave 420 mg I (R = H, CHR1R2 = p-CH2CO2Et, R3 = 2-thienyl).

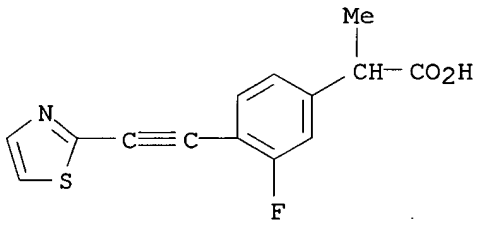
SUPPL. TERM: antiinflammatory ethynylphenylacetate
INDEX TERM: Inflammation inhibitors and Antiarthritics
Inflammation inhibitors and Antiarthritics

((ethynylphenyl)acetic acid derivs.)
INDEX TERM: 4298-52-6 51551-95-2 92676-15-8 92708-45-7
ROLE: RCT (Reactant)
(ethynylation by, of (iodophenyl)acetonitrile derivs.)
INDEX TERM: 87356-22-7
ROLE: RCT (Reactant)
(ethynylation by, of haloheterocycle)
INDEX TERM: 87356-23-8 92676-21-6 92676-22-7
ROLE: RCT (Reactant)
(ethynylation by, of haloheterocycles)
INDEX TERM: 3437-95-4 5029-67-4
ROLE: RCT (Reactant)
(ethynylation of)
INDEX TERM: 87-32-1 3034-53-5 54829-48-0
ROLE: RCT (Reactant)
(ethynylation of, by (ethynylphenyl)acetate derivs.)
INDEX TERM: 92676-20-5
ROLE: RCT (Reactant)
(ethynylation of, by ethynylheterocycles)
INDEX TERM: 87356-21-6 92676-16-9 92676-17-0 92676-18-1
ROLE: RCT (Reactant)
(ethynylation of, with heterocycylethynylcopper)
INDEX TERM: 92676-19-2
ROLE: RCT (Reactant)
(hydrolysis of)
INDEX TERM: 92675-80-4P 92675-81-5P 92675-82-6P 92675-83-7P
92675-84-8P 92675-85-9P **92675-86-0P**
92675-87-1P 92675-88-2P 92675-89-3P 92675-90-6P
92675-91-7P 92675-92-8P 92675-93-9P 92675-94-0P
ROLE: BAC (Biological activity or effector, except adverse);
SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiinflammatory activity of)
INDEX TERM: 92675-95-1P 92675-96-2P 92675-97-3P 92675-98-4P
92675-99-5P **92676-00-1P** 92676-01-2P
92676-02-3P 92676-03-4P 92676-04-5P 92676-05-6P
92676-06-7P 92676-07-8P **92676-08-9P**
92676-09-0P **92676-10-3P** 92676-11-4P
92676-12-5P 92676-13-6P 92676-14-7P 92676-19-2P
92731-56-1P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

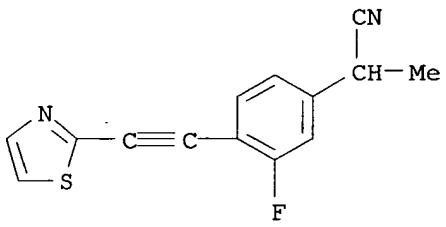
IT **92675-86-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiinflammatory activity of)
RN 92675-86-0 CAPLUS
CN Benzeneacetic acid, 4-(2-thiazolylethynyl)- (9CI) (CA INDEX NAME)



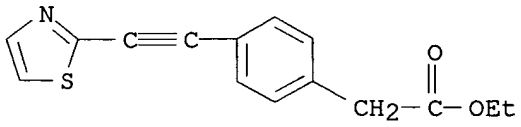
IT **92676-00-1P 92676-08-9P 92676-10-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 92676-00-1 CAPLUS
CN Benzeneacetic acid, 3-fluoro-.alpha.-methyl-4-(2-thiazolylethynyl)- (9CI) (CA INDEX NAME)



RN 92676-08-9 CAPLUS
CN Benzeneacetonitrile, 3-fluoro-.alpha.-methyl-4-(2-thiazolylethynyl)- (9CI)
(CA INDEX NAME)



RN 92676-10-3 CAPLUS
CN Benzeneacetic acid, 4-(2-thiazolylethynyl)-, ethyl ester (9CI) (CA INDEX NAME)

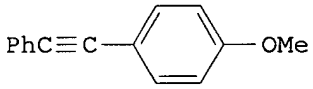


L37 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1982:117583 CAPLUS
DOCUMENT NUMBER: 96:117583
TITLE: Soil disinfectant composition
PATENT ASSIGNEE(S): Kanesho Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
INT. PATENT CLASSIF.: A01N027-00; A01N029-00; A01N031-14; A01N043-06; A01N043-40; A01N043-78
CLASSIFICATION: 5-2 (Agrochemical Bioregulators)
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56154401	A2	19811130	JP 1980-58501	19800501
JP 59028522	B4	19840713		

GRAPHIC IMAGE:



II

ABSTRACT:
The compds. R1C.tplbond.CR2 (I; R1 and R2 = alkenyl, alkynyl, or arom.) are soil disinfectants. The synthesis of I is given. Thus, 200 ppm of II [7380-78-1] at 3 L/m3 soil controlled Pythium aphanidermatum on cucumber.

SUPPL. TERM: soil disinfectant compn
INDEX TERM: Bactericides, Disinfectants and Antiseptics
Bactericides, Disinfectants, and Antiseptics
(prepn. and activity of)
INDEX TERM: Fungicides and Fungistats
(soil disinfectant, prepn. and activity of)
INDEX TERM: 886-66-8P 1206-02-6P 1463-04-3P 3287-02-3P
3725-09-5P 4805-17-8P 5701-81-5P 7380-78-1P
13141-42-9P 13295-94-8P 13295-97-1P 13456-84-3P
13633-26-6P 23975-15-7P 28790-65-0P **35070-01-0P**
35133-77-8P 49836-17-1P 49836-18-2P 50559-45-0P
55110-61-7P 65406-81-7P 80221-12-1P 80221-14-3P
80221-15-4P 80221-19-8P 80221-20-1P 80746-49-2P
ROLE: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation);
BIOL
(Biological study); PREP (Preparation); USES (Uses)
(prepn. and microbicidal activity of)
INDEX TERM: 109-89-7, reactions
ROLE: RCT (Reactant)
(reaction of, with bromochlorohexane, in soil disinfectant manuf.)
INDEX TERM: 536-74-3

ROLE: RCT (Reactant)
(reaction of, with bromopropane, in soil disinfectant manuf.)

INDEX TERM: 696-62-8
ROLE: RCT (Reactant)
(reaction of, with phenylacetylene copper, in soil disinfectant manuf.)

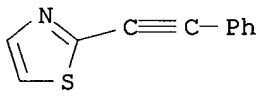
INDEX TERM: 106-94-5
ROLE: RCT (Reactant)
(reaction of, with phenylacetylene, in soil disinfectant manuf.)

INDEX TERM: 1003-09-4
ROLE: RCT (Reactant)
(reaction of, with piperidine, in soil disinfectant manuf.)

IT **35070-01-0P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and microbicidal activity of)

RN 35070-01-0 CAPLUS

CN Thiazole, 2-(phenylethynyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 42 OF 46 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1982:16085 CAPLUS

DOCUMENT NUMBER: 96:16085

TITLE: Acetylene derivatives as nematocides

PATENT ASSIGNEE(S): Kanesho Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

INT. PATENT CLASSIF.: A01N027-00; A01N031-04; A01N031-08; A01N033-06; A01N033-18; A01N035-04; A01N037-18; A01N037-34; A01N043-06; A01N043-40; A01N043-78

CLASSIFICATION: 5-4 (Agrochemical Bioregulators)

FAMILY ACC. NUM. COUNT: 1

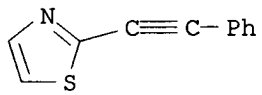
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56123903	A2	19810929	JP 1980-27155	19800304
JP 59028521	B4	19840713		

ABSTRACT:
Acetylene derivs. are nematocides. The syntheses of such compds. are given. Thus, 500 .mu.M MeO2CCH:CH.cntdot.C.tplbond.C.cntdot.CH:CHCO2Me [28813-55-0] controlled Pratylenchus coffeae by 99.2% in 48 h.

SUPPL. TERM: acetylene nematocide
INDEX TERM: Nematocides
(acetylenes)
INDEX TERM: 886-66-8 959-88-6 1206-02-6 1223-47-8 1463-04-3
1820-42-4 1849-25-8 1849-26-9 1849-27-0 1942-30-9
2735-14-0 2789-88-0 2789-89-1 3287-02-3 3725-09-5
4805-17-8 5216-36-4 5293-78-7 5701-81-5 6775-17-3
7380-78-1 13141-38-3 13141-40-7 13141-41-8
13141-42-9 13141-44-1 13141-45-2 13295-94-8
13295-97-1 13456-84-3 13633-26-6 22666-07-5
23975-15-7 25407-11-8 25739-23-5 28790-65-0
28813-55-0 29768-12-5 30405-77-7 35010-17-4
35070-01-0 35133-77-8 41398-67-8 42296-34-4
49836-17-1 49836-18-2 49836-19-3 49836-21-7
50559-45-0 51118-06-0 54075-56-8 55110-61-7
55384-98-0 57341-98-7 59647-77-7 59672-51-4
61440-87-7 65406-81-7 74149-28-3 79135-69-6
80220-64-0 80220-65-1 80220-66-2 80221-08-5
80221-09-6 80221-10-9 80221-11-0 80221-12-1
80221-13-2 80221-14-3 80221-15-4 80221-16-5
80221-17-6 80221-18-7 80221-19-8 80221-20-1
80221-21-2 80221-22-3 80221-23-4 80221-24-5
80238-88-6
ROLE: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BIOL (Biological study); USES (Uses)
(nematocide)
INDEX TERM: 74-86-2D, derivs.
ROLE: BIOL (Biological study)
(nematocides)

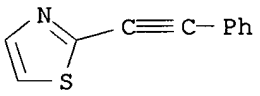
IT **35070-01-0**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BIOL (Biological study); USES (Uses)
(nematocide)
RN 35070-01-0 CAPLUS
CN Thiazole, 2-(phenylethynyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:59397 CAPLUS
DOCUMENT NUMBER: 76:59397
TITLE: Photochemical syntheses. V. Photoaddition of heterocyclic diarylacetylenes to naphthalene and 1-methylnaphthalene
AUTHOR(S): Teitei, T.; Collin, P. J.; Sasse, W. H. F.
CORPORATE SOURCE: Div. Appl. Chem., CSIRO, North Ryde, Aust.
SOURCE: Aust. J. Chem. (1972), 25(1), 171-82
CODEN: AJCHAS
DOCUMENT TYPE: Journal

LANGUAGE: English
CLASSIFICATION: 27 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 24, 26
GRAPHIC IMAGE: For diagram(s), see printed CA Issue.
ABSTRACT:
Eight heterocyclic diarylacetylenes derived from pyridine, furan, thiophene, and thiazole were irradiated in the presence of naphthalene. Phenyl(4-pyridyl)-acetylene gave I and II, phenyl(3-pyridyl)acetylene gave III and IV, and phenyl(2-pyridyl)acetylene gave V and VI. From 1-methylnaphthalene and phenyl(3-pyridyl)acetylene the adducts VII and VIII were isolated and 2 adducts which formed by addn. to the unsubstituted ring were detected. From pheny(2-thiazolyl)acetylene and naphthalene probably only one isomeric adduct IX was isolated. The methiodides of all the new adducts except IX were prepd. The structures proposed for these photo-adducts were based on their PMR, mass, and uv absorption spectra. Factors influencing the isomer distribution and overall yields were discussed.

SUPPL. TERM: photochem aryl acetylene naphthalene
INDEX TERM: Cycloaddition reaction
(photochem. of diarylacetylenes to naphthalene and methylnaphthalene)
INDEX TERM: 90-12-0 91-20-3, reactions
ROLE: RCT (Reactant)
(photoaddn. reactions with diarylacetylenes)
INDEX TERM: **35070-01-0P** 35133-61-0P 35133-62-1P
35133-63-2P 35133-64-3P 35133-65-4P 35133-66-5P
35133-67-6P 35133-68-7P 35133-69-8P 35133-70-1P
35133-72-3P 35133-73-4P 35133-74-5P 35133-75-6P
35133-76-7P 35133-77-8P 35182-92-4P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
IT **35070-01-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 35070-01-0 CAPLUS
CN Thiazole, 2-(phenylethynyl)- (9CI) (CA INDEX NAME)



L37 ANSWER 44 OF 46 USPATFULL
ACCESSION NUMBER: 2001:194516 USPATFULL
TITLE: Preparation of substituted indoles
INVENTOR(S): Henkelmann, Jochem, Mannheim, Germany, Federal Republic
of
Arndt, Jan-Dirk, Mannheim, Germany, Federal Republic of

NUMBER KIND DATE

PATENT INFORMATION:	US 2001037031	A1	20011101
	US 6384235	B2	20020507
APPLICATION INFO.:	US 2001-782310	A1	20010214 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2000-10009000	20000225

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Messrs. Keil & Weinkauf, 1101 Connecticut Ave., N. W., Washington, DC, 20036
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
ABSTRACT:

The present application describes a process for preparing compounds of the indole type of the formula ##STR1##

in which A is a hydrocarbon radical which, together with the carbons to which it is attached, forms a substituted or unsubstituted mono- or polycyclic aromatic system which may contain one or more heteroatoms from the group consisting of N, O and S, and

R.sup.1, R.sup.2 independently of one another are H, a saturated, linear or branched aliphatic C.sub.1-C.sub.20-hydrocarbon radical, an unsaturated, linear
or branched aliphatic C.sub.2-C.sub.20-hydrocarbon radical, a saturated or unsaturated, unsubstituted or alkyl-substituted cycloaliphatic C.sub.3-C.sub.20-hydrocarbon radical or an aromatic C.sub.5-C.sub.20-hydrocarbon radical, where these radicals may contain in their molecular skeleton one or more heteroatoms from the group consisting of the halogens, N, P, O, S, Si, Sn and B and may be substituted or unsubstituted,

by cyclization of alkynylaminoaromatics of the formula ##STR2##

in which R.sup.1 and R.sup.2 are as defined in formula (I) and R.sup.1, R.sup.2
or A may be attached to an organic or inorganic carrier,

which comprises carrying out the reaction in a polar aprotic ion-solvating solvent in the presence of a suitable compound of Na, K, Rb or Cs.

Using this process, it is possible to prepare substituted indoles in a simple manner and in high yields.

[0001] The present invention relates to a process for preparing substituted indoles of the formula (I), in which substituted 2-alkynylanilines are cyclized
in a polar aprotic solvent with the aid of a suitable alkali metal compound.

[0002] Simple, low-cost indole syntheses are of great general interest, since the indole structure is found in numerous natural products and in particular in
pharmacologically active substances. To date, there are a number of principal

routes leading to the desired indole derivatives.

[0003] Intramolecular addition of amino groups to a carbon-carbon triple bond with formation of indole derivatives is a reaction known from the literature. The reaction can be catalyzed, for example, by palladium complexes. This is described, inter alia, in the publication by K. Utimoto et al. in Tetrahedron Letters 29 (1992), 3915 ff.

[0004] Other publications disclose the use of molybdenum(0) compounds in these cyclization reactions. This can be found in the publications by F. E. McDonald et al. in Tetrahedron Letters 38 (1997), 7687 ff. and in Chem. Eur. J. 5 (1999), 3103 ff.

[0005] In a variant described in a plurality of publications by S. Cacchi et al., for example in Tetrahedron Letters 33 (1992), 3915 ff., Synlett 1997, 1393
ff., Tetrahedron Letters 50 (1994), 437 ff., it is possible to use, instead of the alkynylanilines, the corresponding trifluoroacetamides, the catalysts used here again being palladium complexes.

[0006] The synthesis of substituted indoles is furthermore described by Yamanaka et al. in Heterocycles 24 (1986), 31/32. Here, alkynylcarbanilates are
cyclized in the presence of Na ethoxylate, and the N-bonded C(O)O-alkyl unit is
removed by hydrolysis. This cyclization reaction does not work if the starting material used is a 2-alkynylaniline.

[0007] However, in all of these reactions it is frequently necessary to heat the reaction mixture to relatively high temperatures, and frequently, long reaction times are additionally required to achieve acceptable yields. These harsh reaction conditions, which are generally required, strongly restrict, inter alia, the range of the various functionalities which can be present in the 2-alkynylanilines.

[0008] It is an object of the present invention to provide a process which allows a simple preparation of the substituted indoles, with good yields and using short reaction times and low reaction temperatures. The process should furthermore permit the synthesis of substituted indoles having a large number of different substituents.

[0009] We have found that this object is achieved by a process for preparing compounds of the indole type of the formula ##STR3##

[0010] in which A is a hydrocarbon radical which, together with the carbons to which it is attached, forms a substituted or unsubstituted mono- or polycyclic aromatic system which may contain one or more heteroatoms from the group consisting of N, O and S, and

[0011] R.sup.1, R.sup.2 independently of one another are H, a saturated, linear
or branched aliphatic C.sub.1-C.sub.20-hydrocarbon radical, an unsaturated, linear or branched aliphatic C.sub.2-C.sub.20-hydrocarbon radical, a saturated or unsaturated, unsubstituted or alkyl-substituted cycloaliphatic C.sub.3-C.sub.20-hydrocarbon radical or an aromatic C.sub.5-C.sub.20-

hydrocarbon radical, where these radicals may contain in their molecular skeleton one or more heteroatoms from the group consisting of the halogens, N, P, O, S, Si, Sn and B and may be substituted or unsubstituted,

[0012] by cyclization of alkynylaminoaromatics of the formula ##STR4##

[0013] in which R.sup.1 and R.sup.2 are as defined in formula (I) and R.sup.1, R.sup.2 or A may be attached to an organic or inorganic carrier,

[0014] which comprises carrying out the reaction in a polar aprotic ion-solvating solvent in the presence of a suitable compound of Na, K, Rb or Cs.

[0015] We have found that the process according to the invention permits access to a large number of compounds of the indole type of a range which has not been possible with the processes of the prior art. By using the alkali metal compounds which are employed in the process according to the invention, it is possible to prepare indole derivatives which may have virtually any customary substituents R.sup.1, R.sup.2. In the individual case, the accessibility of certain substituted indole derivatives depends on the influence of certain parameters. These are, for example, steric interactions between the individual substituents present, and possibly also the aromatic system of the indole derivative. The range of the different substituents R.sup.1 and R.sup.2 and of the substituents which may be present on the aromatic system of the formula (I) is enormously wide and comprises virtually all compound classes and functional groups which are included in the definition given above.

[0016] In a preferred embodiment of the present invention, the substituents R.sup.1 and R.sup.2 independently of one another are selected from the group consisting of H, linear and branched C.sub.1-C.sub.12-alkyl groups, linear and branched C.sub.2-C.sub.12-alkenyl groups, C.sub.3-C.sub.8-cycloalkyl groups, C.sub.3-C.sub.8-cycloalkenyl groups, C.sub.5- and C.sub.6-heterocycles having one or more ring atoms selected from the group consisting of N, O and S and mono- or bicyclic aromatics having one or more ring atoms selected from the group consisting of N, O and S.

[0017] Both in the preferred and the not preferred embodiments, the substituents R.sup.1 and R.sup.2 may have one or more substituents in their molecular skeleton.

[0018] Examples of preferred substituents are amino and nitro groups, halogens, hydroxyl and ether groups, thiol groups, thioether groups, amide and ester groups, sulfaryl groups and sulfoxide groups.

[0019] The aromatic system in the compounds of the formula (I) can be a mono- or polycyclic aromatic which comprises exclusively carbon and hydrogen or which may have one or more heteroatoms selected from the group consisting of N, O and S.

[0020] The aromatic system is preferably a mono- or bicyclic aromatic. More preferably, the aromatic system is a C.sub.5-heterocycle or a benzene or naphthalene derivative which may contain one or more of the heteroatoms N, O and S mentioned, examples being benzene and naphthalene, aza-, diaza- and triazabenzene, aza-, diaza- and triazanaphthalene, thiophene and furan.

[0021] In the most preferred embodiment of the present invention, the aromatic system in the formula (I) is selected from the group consisting of benzene, naphthalene, pyridine, pyrazine, pyrimidine, quinoline, thiophene and furan.

[0022] Both in the preferred and the not preferred embodiments, the aromatic system may have one or more substituents which, similarly to the substituents R.sup.1 and R.sup.2, may vary extremely. Non-limiting examples of such substituents are alkanes and alkenes which are either unsubstituted or may carry customary substituents, for example halogens, amines, nitro groups, ether
and hydroxyl groups or thiol- and thioether groups. Further examples of substituents on the aromatic system are amino and nitro groups, halogens, hydroxyl and ether groups, thiol groups, sulfaryl groups, sulfoxide groups, thioether groups, amide and ester groups.

[0023] In one embodiment of the present invention, the synthesis of the indole derivatives (I) can be carried out by attaching the alkynylaminoaromatic (II) used as starting material to an organic or inorganic carrier and immobilizing it. These carriers are known to the person skilled in the art and correspond to
the customary carrier materials used, for example, for solid-phase peptide synthesis or for fixing transition metal catalyst systems. Examples are Merrifield resin, 4-(2',4'-dimethoxyphenyl-Fmoc-aminomethyl)-phenoxyacetamido-norleucyl- methylbenzhydrylamino-(divinylbenzene-crosslinked polystyrene) resin, also known under the name Rink-MBHA resin, which is generally used after
deprotection by removal of the 9-fluorenylmethoxycarbonyl-(Fmoc) group, and the
carrier resin which is commercially available under the name trityl chloride resin, if appropriate after appropriate modification.

[0024] These carriers are either bonded to the aromatic system of the starting materials (II), or the substituent R.sup.1 is attached to the carrier. If appropriate, the carrier may have suitable spacer groups which are attached to the starting material. Following the synthesis of the corresponding indole compound, the bond between the carrier and the target molecule is broken in a customary manner known to the person skilled in the art, for example by cleaving the bond between carrier and spacer group using trifluoroacetamide.

[0025] A further embodiment of the present invention allows the synthesis of compounds (I) in which the aromatic system, which is fused with the pyrroline unit, forming a bicyclic indole unit, is fused with a further pyrroline unit, forming a tricyclic bifunctional indole. To this end, the starting material (II) used is a compound which does not only have one acetylene function and one
amino function in a vicinal position, but in each case two of these functions.

[0026] The synthesis element according to the invention can also be widened such that the resulting indole does not only contain 2 pyrroline units, but 3

or even more of these units. To this end, a starting material (II) is used which contains 3 or even more vicinal acetylene and amino functions.

[0027] The synthesis according to the invention is carried out using a suitable
compound of Na, K, Rb or Cs, which is employed in combination with a polar aprotic solvent having ion-solvating properties. By using these solvents, it is
possible to increase the electrophilicity of the ions of the metals mentioned such that the cyclization according to the invention can be carried out under mild conditions.

[0028] These polar aprotic ion-solvating solvents are known to the person skilled in the art, examples being N-methylpyrrolidone (NMP), N-butylpyrrolidone (NBP), dimethyl sulfoxide (DMSO), sulfolane (tetrahydrothiophene 1,1-dioxide), dimethylformamide (DMF), tetrahydrofuran (THF), ethers, hexamethylphosphoric triamide (HMPT) and N,N'-dimethylpropyleneurea.

[0029] Examples of suitable ethers are ethers which can be obtained from ethylene oxide and/or propylene oxide. This can be ethylene glycol and propylene glycol ethers, oligo- or polyethylene glycol, oligo- and polypropylene glycol and ethylene glycol/propylene glycol copolymers or block copolymers, such as, for example, the compounds known under the names glyme, diglyme, triglyme or the names Pluronic.RTM. and Pluriol.RTM. (from BASF AG). It is also possible to use ethers which are prepared by condensing ethylene oxide and/or propylene oxide with polyvalent amines, for example the products available under the names Tetronic.RTM. and Lutensol.RTM. (in each case from BASF AG).

[0030] It is also possible to use the respective ethers, for example the methyl
ethers, of all of the abovementioned ethylene glycol and propylene glycol ethers, which, for example in the case of Pluronic.RTM., Pluriol.RTM. and Tetronic.RTM., may still have free terminal hydroxyl groups. Suitable ethers are also crown ethers and cryptands.

[0031] These solvents can be used on their own, as a mixture or as additive to other solvents. They have to be added in an amount which is sufficient to achieve the desired ion solvation and thus the required reactivity.

[0032] The compounds of Na, K, Rb and Cs which are employed are those which dissociate well in the solvents mentioned and whose ions are sufficiently solvated by these solvents. Examples of suitable compounds of the alkali metals
quoted are hydroxides, hydrides, alkoxides, amides and aminopropylamides.

[0033] Particularly suitable compounds are hydroxides and alkoxides.

[0034] Among the abovementioned metals Na, K, Rb and Cs, the best reactivities are obtained when K and Cs are used, and their use is preferred. The alkali metal compounds used according to the invention can be employed in stoichiometric or superstoichiometric amounts, but also in catalytic amounts. The minimum amount of alkali metal compounds is about 5 mol %; however, it is also possible to use amounts of up to 250 mol %, based on the substrate. The

reaction temperatures required for the process according to the invention are about <100.degree. C. The process according to the invention is preferably carried out at temperatures of about 20-60.degree. C., most preferably of about
20-40.degree. C. The reaction times are from about 2 to 20 hours, preferably from 2 to 8 hours. The starting materials (II) are obtained by the Sonogashira reaction (see K. Sonogashira et al., Synthesis 1980, 627 ff., K. Sakomoto, Synthesis 1983, 312 ff., G. C. Fu et al., Angew. Chem. Int. Ed. Engl. 38 (1999), 2411 ff.) from the corresponding 2-iodo- or 2-bromoanilines by reaction
with 1 -alkynes. If the process according to the invention is carried out on a carrier, in solid phase, the corresponding iodo- or bromoaniline is, prior to the Sonogashira coupling, fixed to the carrier using methods known to the person skilled in the art. The invention is now illustrated by the examples below.

EXAMPLE 1

[0035] Synthesis of 2-phenylindole using different alkali metal compounds

[0036] A solution of 1.05 mmol of the alkali metal compound in question was dissolved or suspended under argon in 4 ml of NMP. 97 mg (0.5 mmol) of 2-phenylethynylaniline in 1 ml of NMP were then added. The solution was then stirred vigorously at the temperatures given in Table 1, for the stated reaction times. 1 ml of water and 50 ml of dichloromethane were then added, and
the resulting solution was washed with a saturated NaCl solution. The solution was dried over MgSO.sub.4, the residue was filtered off, the solution was concentrated under reduced pressure and the resulting residue was then purified
chromatographically on a silica gel column using a CH.sub.2Cl.sub.2/pentane mixture. Removal of the solvent gave the pure product.

[0037] The results are shown in Table 1. The stated yields are based on analytically pure end product.
TABLE 1

Experiment	Base	Temp. [.degree. C.]	Time [h]	Yield [%]
A	NaH	60	8	>5
B	NaOEt	80	15	66
C	KOt-Bu	25	4	79
D	KH	25	5	72
E	CsOH	90	5	68
F	CsOt-Bu	25	5	71

EXAMPLE 2

[0038] Synthesis of different indole and azaindole derivatives

[0039] Method A

[0040] Under argon, 0.5 mmol of the starting material in question is added to a

stirred solution of 42 mg (1.05 mmol) of KH in 4 ml of NMP. After 3 to -12 hours at room temperature, the reaction solution was worked up as in Example 1.
The results are shown in Table 2.

[0041] Method B

[0042] The reaction was carried out as described under A, using the alkali metal compound KOt-Bu. The reaction time was 4 hours at room temperature. Work-up, too, was carried out as described in Example 1. The results are also shown in Table 2.
TABLE 2

Example Method	Aniline used	Yield [%]	Indole derivative obtained
1	##STR5##		##STR6##
	1a:R = Ph		2a:R = Ph
A(B)	72(79)		
2	1b:R = Bu		2b:R = Bu
A(B)	76(78)		
3	1c:R = 1-cyclo-		2c:R =
A	67		
	hexenyl		1-cyclohexenyl
4	1d:R = H		2d:R = H
B	62		
5	1e:R = (CH.sub.2).sub.2OH		2e:R =
(CH.sub.2).sub.2OH		A	61
6	1f:R = CH(OEt).sub.2		2f:R = CH(Oet).sub.2
B	81		
7	1g:R2-thienyl		2g:R = 2-thienyl
A	70		
8	1h:R = 2-thiazole		2h:R = 2-thiazole
A	61		
9	1i:R3-chloropropyl		2i:R = 3-cyclo-
A	75		
			propyl
10	1j:R =		2j:R = 2-amino-
A	82		
	2-aminophenyl		phenyl
11	##STR7##		##STR8##
A	80		
12	##STR9##		##STR10##
B	77		
13	##STR11##		##STR12##
A	72		

14	##STR13##		##STR14##
A	74		
15	##STR15##		##STR16##
B	78		
16	##STR17##		##STR18##
B	61.sup.a)		
17	##STR19##		##STR20##
B	80.sup.b)		
18	##STR21##		##STR22##
B	90.sup.b)		

.sup.a) 60.degree. C./5 h
.sup.b) 80.degree. C./6 h

EXAMPLE 3

[0043] Solid-phase synthesis of substituted indoles ##STR23##

[0044] a) preparation of starting material 3

[0045] Deprotected Rink-MBHA resin (2 g; 0.64 mmol/g, obtainable by reaction of Rink-MBHA resin with piperidine, was, in a shaker glass fitted with septum and filled with argon, swollen for about 10 min in dichloromethane (15 ml) and then admixed with 4-iodobenzoic acid (478 mg; 1.92 mmol) and N,N'-diisopropylcarbodiimide (DIC) (240 mg; 1.92 mmol). The suspension was shaken at room temperature for 20 h. The polymer was filtered and washed alternately with dichloromethane, methanol, THF and DMF (in each case about 15 ml). The washing procedure was repeated three times. The polymer was then washed four times with dichloromethane (in each case about 15 ml) and dried at 55.degree. C. for about 16 h.

[0046] b) The resulting product was then subjected to two successive Sonogashira couplings, the cyclization according to the invention and cleavage from the polymer resin.

[0047] To this end, in the first coupling, polymer-bound 4-iodobenzamide (500 mg; 0.25 mmol), Pd(PPh.sub.3).sub.2Cl.sub.2 (17.4 mg; 0.025 mmol) and CuI (11.8 mg; 0.062 mmol) were initially charged in a Schlenk tube, fitted with septum and filled with argon, and swollen in toluene (5 ml) for about 10 min. Diethylamine (5 ml) was then added, the mixture was cooled to 0.degree. C. and trimethylsilylacetylene (245 mg; 2.5 mmol) was added. The mixture was stirred at room temperature for 12 h and the polymer was then filtered and washed with DMF, methanol and THF (in each case about 10 ml). The washing procedure was repeated four times. The polymer was then washed four times with

dichloromethane (in each case about 10 ml) and then admixed with TBAF (5 ml; 0.25 M in THF) and shaken for about 15 min. The resin was washed as described above and dried at 55.degree. C. for about 16 h.

[0048] The second coupling was carried out like the first coupling, including washing procedure and drying.

[0049] The following amounts were used:

[0050] Resin-bonded 4-ethynylbenzamide (400 mg; 0.22 mmol); Pd(PPh.sub.3).sub.2Cl.sub.2 (15.4 mg; 0.022 mmol); CuI (10.5 mg; 0.055 mmol); toluene (4 ml); diethylamine (4 ml); 2-iodoaniline (450 mg; 2.2 mmol).

[0051] The resulting resin-bound amino-substituted diphenylacetylene can also be obtained in one step from the resin-bound 4-iodobenzamide and 2-trimethylsilylethynylaniline. This process is carried out exactly like the first coupling, including washing procedure and drying, the only modification being that here the terminal alkyne is generated beforehand by deprotection with tetrabutylammonium fluoride (TBAF) in THF and added after substantial removal of the solvent under high vacuum.

[0052] The following amounts were used:

[0053] Resin-bound 4-iodobenzamide (500 mg; 0.25 mmol); Pd(PPh.sub.3).sub.2Cl.sub.2 (17.5 mg; 0.025 mmol); CuI (11.9 mg; 0.063 mmol); toluene (5 ml); diethylamine (5 ml); 2-trimethylsilylethynylaniline (475 mg; 2.5 mmol); TBAF (11.0 ml, 2.75 mmol).

[0054] The resulting intermediate was then cyclized to give the indole, as follows:

[0055] The polymer-bound starting material (200 mg; 0.09 mmol) was initially charged in a Schlenk tube, fitted with septum and filled with argon, and swollen in NMP (4 ml) for about 5 min. The mixture was then cooled to 0.degree.
C., and a solution of KOtBu (150 mg; 1.35 mmol) in NMP (12 ml) was added dropwise. The mixture was then stirred at room temperature for 24 h, and the resin was filtered and washed with dichloromethane, THF, methanol, DMF (in each
case about 5 ml). The washing procedure was repeated about ten to twelve times.
The polymer was then washed four times with dichloromethane (in each case about
5 ml) and dried at 55.degree. C. for about 16 h.

[0056] The resulting resin was then cleaved using TFA/dichloromethane 1:1.
##STR24##

[0057] The Sonogashira coupling was carried out as described above under A, but
at 80.degree. C. over the course of 18 h, and the starting material used was resin-bound 2-bromo-4-methylaniline. 50 mol % of CuI were used. The reaction with KOt-Bu was then carried out by method A, as was the cleavage of the resin.

What is claimed is:

1. A process for preparing compounds of the indole type of the formula ##STR25## in which A is a hydrocarbon radical which, together with the carbons to which it is attached, forms a substituted or unsubstituted mono- or polycyclic aromatic system which may contain one or more heteroatoms from the group consisting of N, O and S, and R.sup.1, R.sup.2 independently of one another are H, a saturated, linear or branched aliphatic C.sub.1-C.sub.20-hydrocarbon radical, an unsaturated, linear or branched aliphatic C.sub.2-C.sub.20-hydrocarbon radical, a saturated or unsaturated, unsubstituted
or alkyl-substituted cycloaliphatic C.sub.3-C.sub.20-hydrocarbon radical or an aromatic C.sub.5-C.sub.20-hydrocarbon radical, where these radicals may contain
in their molecular skeleton one or more heteroatoms from the group consisting of the halogens, N, P, O, S, Si, Sn and B and may be substituted or unsubstituted, by cyclization of alkynylaminoaromatics of the formula ##STR26## in which R.sup.1 and R.sup.2 are as defined in formula (I) and R.sup.1, R.sup.2 or A may be attached to an organic or inorganic carrier, which comprises carrying out the reaction in a polar aprotic ion-solvating solvent in the presence of a suitable compound of Na, K, Rb or Cs, preferably K
or Cs.

2. A process as claimed in claim 1, wherein the substituents R.sup.1 and R.sup.2 independently of one another are selected from the group consisting of H, linear and branched C.sub.1-C.sub.12-alkyl groups, linear and branched C.sub.2-C.sub.12-alkenyl groups, C.sub.3-C.sub.8-cycloalkyl groups, C.sub.3-C.sub.8-cycloalkenyl groups, C.sub.5- and C.sub.6-heterocycles having one or more ring atoms selected from the group consisting of N, O and S and mono- or bicyclic aromatics having one or more ring atoms selected from the group consisting of N, O and S.

3. A process as claimed in claim 1, wherein the hydrocarbon groups defined for R.sup.1 and R.sup.2 carry one or more substituents selected from the group consisting of amino and nitro groups, halogens, hydroxyl and ether groups, thiol groups, thioether groups, amide and ester groups, sulfaryl groups and sulfoxide groups.

4. A process as claimed in claim 1, wherein a hydride, hydroxide, alkoxide, amide or aminopropylamide of Na, K, Rb or Cs is used.

5. A process as claimed in claim 1, wherein the solvent used is N-methylpyrrolidone, N-butylpyrrolidone, dimethyl sulfoxide, sulfolane, dimethylformamide, tetrahydrofuran, hexamethylphosphoric triamide, N,N'-dimethylpropyleneurea or an ether, in particular an ether prepared from ethylene oxide and/or propylene oxide or from ethylene oxide and propylene oxide and a polyvalent amine, or a mixture of these solvents.

6. A process as claimed in claim 1, wherein the aromatic system in the compound
of the formula (I) is a substituted or unsubstituted mono- or bicyclic aromatic, preferably a substituted or unsubstituted aromatic selected from the group consisting of C.sub.5-heterocycles, benzene and naphthalene derivatives, most preferably from the group consisting of benzene, naphthalene, pyridine, pyrazine, pyrimidine, quinoline, thiophene and furan.

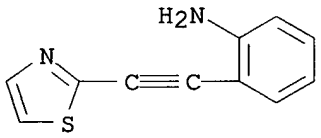
7. A process as claimed in claim 1, wherein the alkali metal compound is employed in an amount of from 5 mol % to 150 mol %, based on the substrate.

8. A process as claimed in claim 1, wherein the process is carried out at temperatures <100.degree. C., preferably at 20-60.degree. C., most preferably at 20-40.degree. C.

9. A process as claimed in claim 1, wherein the reaction time is from 2 to 20 hours, preferably from 2 to 8 hours.

10. A process as claimed in claim 1, wherein the alkynylaminoaromatic (II) used
as starting material is attached to an organic or inorganic carrier, preferably
selected from the group consisting of Merrifield resin, rink-MHBA resin and trityl chloride resin, if appropriate after appropriate modification, and immobilized, and the bond between carrier material and target molecule is broken in a manner known per se when the synthesis has ended.

ISSUE U.S. PATENT CLASSIF.:
  MAIN: 548/508.000
  SECONDARY: 548/427.000
CURRENT U.S. PATENT CLASSIF.:
  MAIN: 548/494.000
  SECONDARY: 548/495.000
INT. PATENT CLASSIF.: [7]
  MAIN: C07D209-56
  SECONDARY: C07D209-04
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **288254-66-0**
(prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in polar aprotic solvent)
RN 288254-66-0 USPATFULL
CN Benzenamine, 2-(2-thiazolylethynyl)- (9CI) (CA INDEX NAME)



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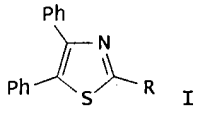
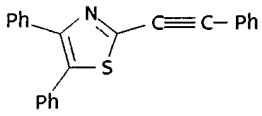
=> d bib abs hitstr l54 7

L54 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2001 ACS
AN 1990:515155 HCAPLUS
DN 113:115155
TI Synthesis of 4,5-diarylthiazole derivatives as blood platelet aggregation inhibitors
AU Konno, Shoetsu; Amano, Masaki; Sagi, Mataichi; Yamanaka, Hiroshi
CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SO Yakugaku Zasshi (1990), 110(2), 105-14
CODEN: YKKZAJ; ISSN: 0031-6903
DT Journal
LA Japanese
OS CASREACT 113:115155
GI



I

AB A no. of 2-substituted 4,5-diphenylthiazoles I [R = OMe, OEt, OCHMe2, OBu, OCHMeEt, OPh, NHNH2, NHBu, piperidino, 4-methylpiperazino, CH2COPh, C(CN)CO2Et, C(CO2Et)2, CH2CO2Me] were synthesized by the nucleophilic substitution of I (R = SO2Me) with various sodium alkoxides, amines, and carbanions of active methylene compds. 2-Ethynyl-4,5-diphenylthiazoles I (R = C.tplbond.CR1; R1 = Me, Ph, Bu, SiMe3) were synthesized by palladium cross-coupling reaction of I (R = iodo) with monosubstituted acetylene. I (R = alkoxy, 4-methylpiperazino) have potent inhibitory activity.
IT 129206-42-4P, 4,5-Diphenyl-2-phenylethynylthiazole
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as blood platelet aggregation inhibitor)
RN 129206-42-4 HCAPLUS
CN Thiazole, 4,5-diphenyl-2-(phenylethynyl)- (9CI) (CA INDEX NAME)



=> d bib abs hitstr 135 1

L35 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:416781 HCAPLUS
DN 127:34399
TI Preparation of thiamorphinans with neuroprotective activity
IN Lemaire, Simon
PA Biochem Pharma Inc., Can.; Lemaire, Simon
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9716183	A1	19970509	WO 1996-CA728	19961030
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG				
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	AU 9672745	A1	19970522	AU 1996-72745	19961030
	AU 701346	B2	19990128		
	EP 858333	A1	19980819	EP 1996-934278	19961030
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	CN 1205633	A	19990120	CN 1996-199227	19961030
	JP 11514383	T2	19991207	JP 1996-516948	19961030
	NO 9801939	A	19980429	NO 1998-1939	19980429
	US 5955493	A	19990921	US 1998-66453	19980430
PRAI	GB 1995-22176	19951030			
	WO 1996-CA728	19961030			

OS MARPAT 127:34399
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The thiamorphinan derivs. I (R = H, halo, OH, amino, CO2H, alkoxycarbonyl, acyl, acyloxy, alkoxy, mercapto, alkylthio, carbocyclic or heterocyclic ring; X = S, alkylthionium , SO, SO2; m = 0-3, n = 0-2) were prepd. as neuroprotectives against excitatory amino acid (EAA) cytotoxicity. In particular, morphinan derivs. of the invention act as antagonists at the ionotropic NMDA (N-methyl-(D)-aspartic acid) receptor and are useful as protective agents against peripheral and central nervous system NMDA-receptor mediated toxicity and convulsions. Thus, the phenanthrene deriv. II, prepd. in 8 steps from the tetrolone III via spiro deriv. IV, was cyclized to the deazathiamorphinan followed by demethylation and allylation to give the thionium deazathiamorphinan V. The CD50 of V for inhibition of NMDA induced convulsion was 0.07 nmol/mouse.

=> d bib abs hitstr 135 2

L35 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2001 ACS
AN 1993:485793 HCAPLUS
DN 119:85793
TI Heterocyclic modulators of the NMDA receptor
AU Pellicciari, Roberto; Natalini, Benedetto; Costantino, Gabriele; Garzon, Aaron; Luneia, Roberto; Mahmoud, Mahmoud R.; Marinozzi, Maura; Roberti, Marinella; Rosato, Giovanni C.; Shiba, Sayed A.
CS Ist. Chim. Farm. Tec. Farm., Univ. Perugia, Perugia, Italy
SO Farmaco (1993), 48(2), 151-7
CODEN: FRMCE8
DT Journal
LA English
AB The design of new heterocyclic derivs. as modulatory agents at excitatory amino acid receptors is described. In particular, the potent and selective activity at the NMDA receptor of trans-4-hydroxypipecolic acid-4-sulfate, as well as the neuroprotective properties of substituted thiokynurenates, a new class of competitive antagonists at the glycine site of the NMDA receptor complex, are reported.

=> d bib abs hitstr l34 1

L34 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:184245 HCAPLUS
DN 130:223301
TI Preparation of 6,7-asymmetrically disubstituted quinoxalinecarboxylic acid derivatives and addition salts thereof as selective antagonists of AMPA receptor
IN Takano, Yasuo; Shiga, Futoshi; Takadoi, Masanori; Uchiki, Hideharu; Asano, Jun; Anraku, Tsuyoshi; Fukuchi, Kazunori; Uda, Junichiro; Ando, Naoki
PA Kyorin Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9911632	A1	19990311	WO 1998-JP3832	19980828
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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	JP 2000080085	A2	20000321	JP 1998-291295	19980826
	AU 9888864	A1	19990322	AU 1998-88864	19980828
	EP 1020453	A1	20000719	EP 1998-940594	19980828
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9811739	A	20000919	BR 1998-11739	19980828
	NO 2000001046	A	20000502	NO 2000-1046	20000301
PRAI	JP 1997-251313		19970901		
	JP 1998-190108		19980706		
	JP 1998-190109		19980706		
	WO 1998-JP3832		19980828		

OS MARPAT 130:223301
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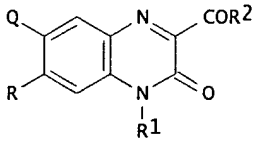

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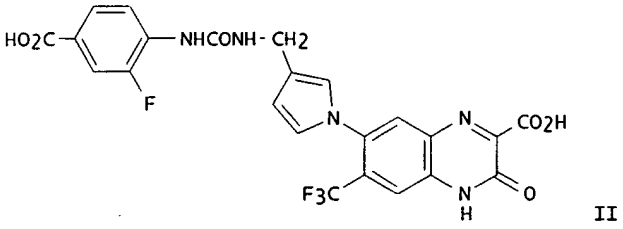


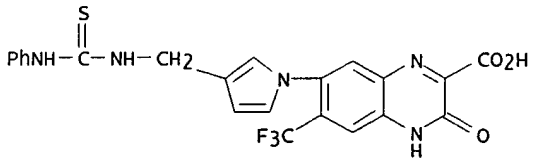
II

AB Claimed and prepd. are the disubstituted quinoxalinecarboxylic acid derivs. represented by formula [I; wherein Q is halogeno, optionally halogenated lower alkyl, Ar-P- (wherein Ar is Ph optionally substituted with one or more substituting groups, or naphthyl; and P is lower alkylene, lower alkenylene, lower alkynylene, oxygen or sulfur), etc.; R is nitro, trifluoromethyl, optionally substituted amino or a group of general formula NS(O)nNR10R11 (wherein R10 and R11 represent H, optionally halo-substituted alkyl, cycloalkyl, aralkyl, Ph, or optionally fused heterocyclyl; or NR10R11 forms a ring optionally contg. 1 or 2 heteroatoms; n is 1 or 2); R1 is aralkyl, Ph, naphthyl, a 5- or

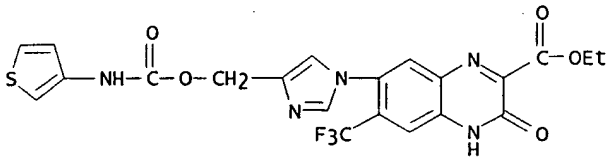
6-membered heterocycle or a fused ring thereof (which may have one or more substituting groups on the arom. ring or the heterocycle), hydrogen, optionally halogenated lower alkyl or cycloalkyl; and R2 is hydroxyl, lower alkoxy or a group of general formula NR8R9 (wherein R8 and R9 are aralkyl, Ph, optionally fused heterocyclyl, H, optionally halo-substituted alkyl, or cycloalkyl; or NR8R9 forms a ring optionally contg. 1 or 2 heteroatoms)]. Also claimed are antagonists of excitatory amino acid receptors comprising as the active ingredient 6,7-asym. disubstituted quinoxalinecarboxylic acid derivs. or addn. salts thereof, particularly compds. exhibiting antagonism against AMPA receptors (non-NMDA receptor) ; and processes for the prepn. of both. They are useful for the treatment of brain nerve cell disorders related to nerve cell death, so called excitotoxicity caused by excessive excitation of glutamic acid receptors. Thus, addn. reaction of Et 7-(3-(aminomethyl)pyrrol-1-yl)-3-oxo-1,2,3,4-tetrahydro-6-(trifluoromethyl)quinoxaline-2-carboxylate hydrochloride with Et 3-fluoro-4-isocyanatobenzoate followed by 2,3-dichloro-5,6-dicyanoquinone oxidn. and sapon. gave the title compd. (II). II in vitro showed the binding affinity to a synaptosome prepn. from rat cerebral cortex with Ki of 11.8 nM.

IT 221165-51-1P 221165-82-8P 221166-19-4P
221166-69-4P 221166-70-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of asym. disubstituted quinoxalinecarboxylic acid derivs. as selective antagonists of AMPA receptor for treatment of brain nerve cell disorders)

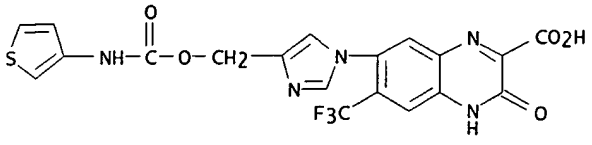
RN 221165-51-1 HCAPLUS
CN 2-Quinoxalinecarboxylic acid, 3,4-dihydro-3-oxo-7-[3-[[[(phenylamino)thioxomethyl]amino]methyl]-1H-pyrrol-1-yl]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 221165-82-8 HCAPLUS
CN 2-Quinoxalinecarboxylic acid, 3,4-dihydro-3-oxo-7-[4-[[[(3-thienylamino)carbonyl]oxy]methyl]-1H-imidazol-1-yl]-6-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)

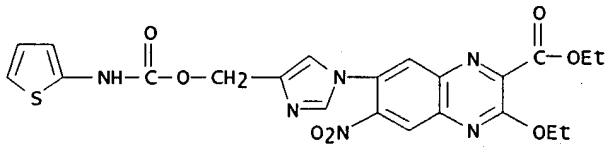


RN 221166-19-4 HCAPLUS
CN 2-Quinoxalinecarboxylic acid, 3,4-dihydro-3-oxo-7-[4-[[[(3-thienylamino)carbonyl]oxy]methyl]-1H-imidazol-1-yl]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

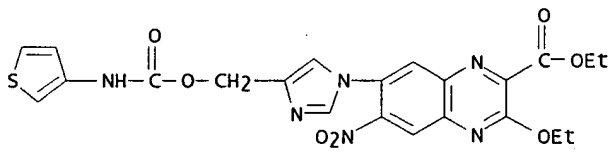


RN 221166-69-4 HCAPLUS

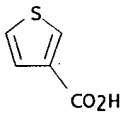
CN 2-Quinoxalinecarboxylic acid, 3-ethoxy-6-nitro-7-[4-[[[(2-thienylamino)carbonyl]oxy]methyl]-1H-imidazol-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 221166-70-7 HCAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-ethoxy-6-nitro-7-[4-[[[(3-thienylamino)carbonyl]oxy]methyl]-1H-imidazol-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)



IT 88-13-1, Thiophene-3-carboxylic acid
RL: RCT (Reactant)
(prepn. of asym. disubstituted quinoxalinecarboxylic acid derivs. as selective antagonists of AMPA receptor for treatment of brain nerve cell disorders)
RN 88-13-1 HCAPLUS
CN 3-Thiophenecarboxylic acid (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



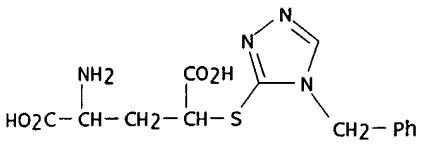
RE.CNT 17
RE
(1) Birch, P; European Journal of Pharmacology 1989, V163(1), P127 HCAPLUS
(2) Eli Lilly And Co; EP 10426 A HCAPLUS
(3) Eli Lilly And Co; EP 20836 A HCAPLUS
(4) Eli Lilly And Co; EP 29658 A HCAPLUS
(5) Eli Lilly And Co; US 4210647 A HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr l34 2

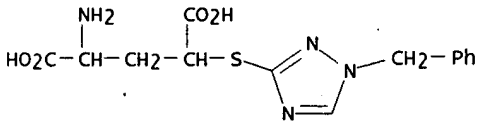
L34 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:15490 HCAPLUS
DN 126:60367
TI Preparation of aryloxy- and arylthioglutamic acids as excitatory amino acid receptor antagonists
IN Heinz, Lawrence J.; Lunn, William H. W.; Schoepp, Darryle D.
PA Eli Lilly and Company, USA
SO U.S., 31 pp. Cont.-in-part of U.S. Ser. No. 161,830,abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5576323	A	19961119	US 1994-322632	19941013
	ZA 9409405	A	19960528	ZA 1994-9405	19941128
	NO 9404578	A	19950606	NO 1994-4578	19941129
	AU 9479151	A1	19950608	AU 1994-79151	19941130
	AU 676781	B2	19970320		
	BR 9404809	A	19950801	BR 1994-4809	19941201
	FI 9405704	A	19950604	FI 1994-5704	19941202
	EP 658539	A1	19950621	EP 1994-308949	19941202
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	HU 69181	A2	19950828	HU 1994-3469	19941202
	CN 1108240	A	19950913	CN 1994-119360	19941202
	JP 07267908	A2	19951017	JP 1994-299390	19941202
	US 5843997	A	19981201	US 1996-626447	19960402
PRAI	US 1993-161830	19931203			
	US 1994-322632	19941013			

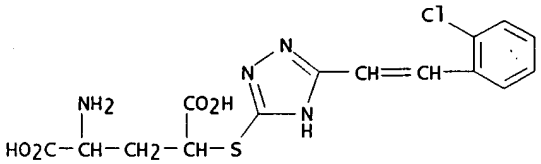
OS MARPAT 126:60367
AB Novel compds. R3pX3mX2sX1nCH(CO2R2)(CH2)rCH(NH2)CO2R1 [R1, R2 = H, protective group, R3, X2 = (un)substituted aryl or heterocyclyl group, X1 = NH2 or substituted amino, O, S, X3 = alkylene, alkenediyl, oxoalkylene, oxyalkylene, etc., m, n, s = 0, 1, p = 0-3, q = 0-6, r = 1, 2] or their pharmaceutically acceptable salts were prepd. as antagonists of excitatory amino acid receptors. Thus, Me 3-hydroxy-2-pyrrolidone-5-carboxylate was prepd. in 4 steps from cyclopentadiene and benzyl N-hydroxycarbamate and etherified with phenol and treated with LiOH in H2O-THF to afford 4-phenoxyglutamic acid. The latter at 10 .mu.M concn. gave 88.0% displacement of 3H-glutamate binding from rat brain cell membranes. Formulation contg. the title compds. are given.
IT 170012-43-8P 170012-44-9P 185320-03-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryloxy- and arylthioglutamic acids as excitatory amino acid receptor antagonists)
RN 170012-43-8 HCAPLUS
CN Glutamic acid, 4-[[4-(phenylmethyl)-4H-1,2,4-triazol-3-yl]thio]- (9CI) (CA INDEX NAME)



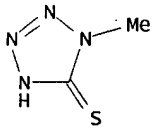
RN 170012-44-9 HCAPLUS
CN Glutamic acid, 4-[[1-(phenylmethyl)-1H-1,2,4-triazol-3-yl]thio]- (9CI) (CA INDEX NAME)



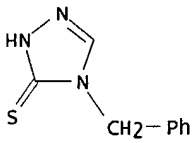
RN 185320-03-0 HCAPLUS
CN Glutamic acid, 4-[[5-[2-(2-chlorophenyl)ethenyl]-1H-1,2,4-triazol-3-yl]thio]- (9CI) (CA INDEX NAME)



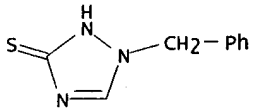
IT 13183-79-4, 1-Methyl-5-tetrazolethiol 23289-13-6
29983-25-3 185320-18-7
RL: RCT (Reactant)
(prepn. of aryloxy- and arylthioglutamic acids as excitatory amino acid receptor antagonists)
RN 13183-79-4 HCAPLUS
CN 5H-Tetrazole-5-thione, 1,2-dihydro-1-methyl- (9CI) (CA INDEX NAME)



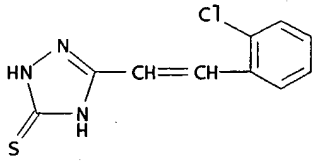
RN 23289-13-6 HCAPLUS
CN 3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



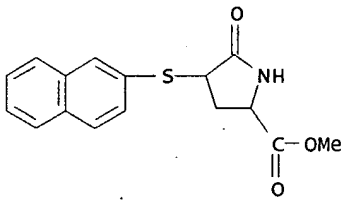
RN 29983-25-3 HCAPLUS
CN 3H-1,2,4-Triazole-3-thione, 1,2-dihydro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



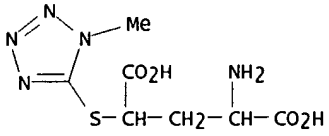
RN 185320-18-7 HCAPLUS
CN 3H-1,2,4-Triazole-3-thione, 5-[2-(2-chlorophenyl)ethenyl]-1,2-dihydro- (9CI) (CA INDEX NAME)



IT 170012-58-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of aryloxy- and arylthioglutamic acids as excitatory amino acid receptor antagonists)
RN 170012-58-5 HCAPLUS
CN Proline, 4-(2-naphthalenylthio)-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT 170012-47-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryloxy- and arylthioglutamic acids as excitatory amino acid receptor antagonists)
RN 170012-47-2 HCAPLUS
CN Glutamic acid, 4-[(1-methyl-1H-tetrazol-5-yl)thio]- (9CI) (CA INDEX NAME)

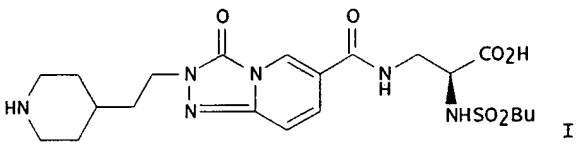


=> d bib abs hitstr l34 3

L34 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2001 ACS
AN 1995:563209 HCAPLUS
DN 122:315095
TI Preparation of .omega.-[(heterocyclylcarbonyl)amino]-.alpha.-amino acids and analogs as fibrinogen receptor antagonists
IN Claremon, David Alan; Baldwin, John J.; Liverton, Nigel; Askew, Ben
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9418981	A1	19940901	WO 1994-US1881	19940222
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2155123	AA	19940901	CA 1994-2155123	19940222
AU 9462465	A1	19940914	AU 1994-62465	19940222
AU 680240	B2	19970724		
EP 684823	A1	19951206	EP 1994-909745	19940222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 71796	A2	19960228	HU 1995-2028	19940222
CN 1118139	A	19960306	CN 1994-191248	19940222
JP 08507072	T2	19960730	JP 1994-519220	19940222
US 5821241	A	19981013	US 1995-495560	19950801
FI 9503916	A	19950821	FI 1995-3916	19950821
NO 9503270	A	19951019	NO 1995-3270	19950821
PRAI US 1993-20517		19930222		
WO 1994-US1881		19940222		

OS MARPAT 122:315095
GI



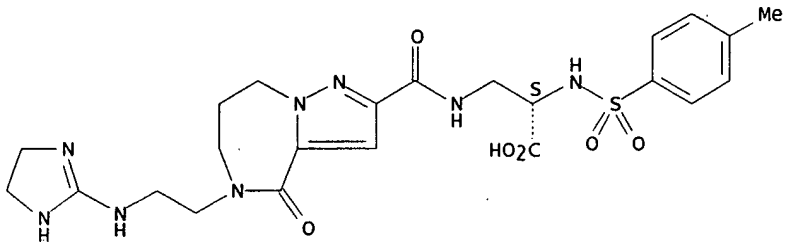
I

AB R(CH2)nZ1Z2CO2Z3Z4CR1R6R8 [R = C(:NH)NH2, NHC(:NH)NH2, (alkyl)amino, heterocyclyl, etc.; R1 = H, alkyl, (di)(alkyl)amino, NHSO2R7, etc.; R6 = CO2H, CH2OH, P(O)(OH)2, etc.; R7 = H, alk(en)yl, (hetero)aryl, etc.; R8 = H, alkyl; Z1 = bond, NR7CO; Z2 = bicyclic heterocyclylene; Z3 = bond, NR4; R4 = H, (cyclo)alkyl, alkenyl; Z4 = bond, CHR2(CH2)n; Z4 = CO(CH2)n when Z3 = NR4; R2 = H, alkyl, (alkyl)aryl; n = 0-7] were prepd. as fibrinogen receptor antagonists (no data). Thus, tert-Bu 2,3-dihydro-3-oxo-1,4-triazolo[4,3-a]pyridine-6-carboxylate (prepn. from tert-Bu 6-chloronicotinate given) was N-alkylated by 2-(N-benzyloxycarbonyl-4-piperidyl)ethyl iodide (prepn. given) and the sapond. product amidated by (S)-BuSO2NHCH(CH2NH2)CO2H (prepn. given) to give, after deprotection, title compd. I.

IT 163212-42-8P 163212-76-8P 163213-12-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .omega.-[(heterocyclylcarbonyl)amino]-.alpha.-amino acids and analogs as fibrinogen receptor antagonists)

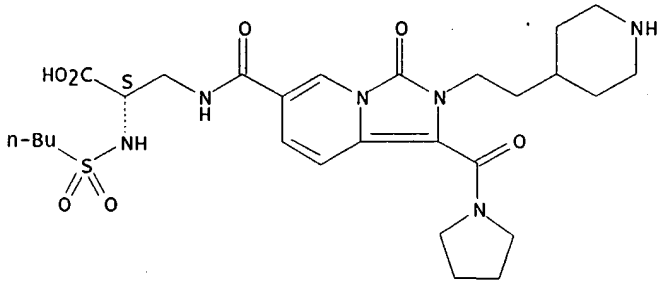
RN 163212-42-8 HCAPLUS
CN L-Alanine, 3-[[[5-[2-[(4,5-dihydro-1H-imidazol-2-yl)amino]ethyl]-5,6,7,8-tetrahydro-4-oxo-4H-pyrazolo[1,5-a][1,4]diazepin-2-yl]carbonyl]amino]-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



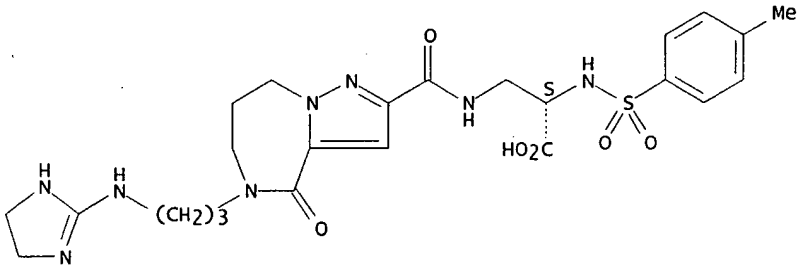
RN 163212-76-8 HCAPLUS
CN L-Alanine, N-(butylsulfonyl)-3-[[[2,3-dihydro-3-oxo-2-[2-(4-piperidinyl)ethyl]-1-(1-pyrrolidinylcarbonyl)imidazo[1,5-a]pyridin-6-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

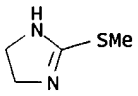


RN 163213-12-5 HCAPLUS
CN L-Alanine, 3-[[[5-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]-5,6,7,8-tetrahydro-4-oxo-4H-pyrazolo[1,5-a][1,4]diazepin-2-yl]carbonyl]amino]-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



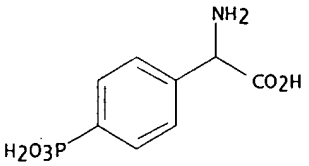
IT 40322-87-0, 2-Methylthio-2-imidazoline hydroiodide
RL: RCT (Reactant)
(prepn. of .omega.-[(heterocyclylcarbonyl)amino]-.alpha.-amino acids and analogs as fibrinogen receptor antagonists)
RN 40322-87-0 HCAPLUS
CN 1H-Imidazole, 4,5-dihydro-2-(methylthio)-, hydriodide (9CI) (CA INDEX NAME)



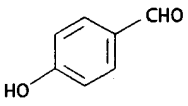
●x HI

=> d bib abs hitstr l15 1

L15 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:335459 HCAPLUS
DN 131:125342
TI (R,S)-4-phosphonophenylglycine, a potent and selective group III metabotropic glutamate receptor agonist, is anticonvulsive and neuroprotective in vivo
AU Gasparini, F.; Bruno, V.; Battaglia, G.; Lukic, S.; Leonhardt, T.; Inderbitzin, W.; Laurie, D.; Sommer, B.; Varney, M. A.; Hess, S. D.; Johnson, E. C.; Kuhn, R.; Urwyler, S.; Sauer, D.; Portet, C.; Schmutz, M.; Nicoletti, F.; Flor, P. J.
CS Nervous System Research, Novartis Pharma AG, Basel, Switz.
SO J. Pharmacol. Exp. Ther. (1999), 289(3), 1678-1687
CODEN: JPETAB; ISSN: 0022-3565
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB Group III metabotropic glutamate receptors (mGluRs) are thought to modulate neurotoxicity of excitatory amino acids, via mechanisms of presynaptic inhibition, such as regulation of neurotransmitter release. Here, we describe (R,S)-4-phosphonophenylglycine (PPG) as a novel, potent, and selective agonist for group III mGluRs. In recombinant cell lines expressing the human receptors hmGluR4a, hmGluR6, hmGluR7b, or hmGluR8a, EC50 values for (R,S)-PPG of 5.2.+-.0.7 .mu.M, 4.7.+-.0.9 .mu.M, 185.+-.42 .mu.M, and 0.2.+-.0.1 .mu.M, resp., were measured. The compd. showed EC50 and IC50 values of .gtoreq.200 .mu.M at group I and II hmGluRs and was inactive at cloned human N-methyl-D-aspartate, .alpha.-amino-3-hydroxy-5-methyl-isoxazole-4-propionate, and kainate receptors (>300 .mu.M). On the other hand, it showed micromolar affinity for a Ca2+/Cl--dependent L-glutamate binding site in rat brain, similar to other phosphono-substituted amino acids like L-2-amino-4-phosphonobutyrate. In cultured cortical neurons, (R,S)-PPG provided protection against a toxic pulse of N-methyl-D-aspartate (EC50 = 12 .mu.M), which was reversed by the group III mGluR antagonist (R,S)-.alpha.-methylserine-O-phosphate but not by the group II antagonist (2S)-.alpha.-ethylglutamate. Moreover, (R,S)-PPG protected against N-methyl-D-aspartate- and quinolinic acid-induced striatal lesions in rats and was anticonvulsive in the maximal electroshock model in mice. In contrast to the group III mGluR agonists L-2-amino-4-phosphonobutyrate and L-serine-O-phosphate, (R,S)-PPG showed no proconvulsive effects (2200 nmol i.c.v.). These data provide novel in vivo evidence for group III mGluRs as attractive targets for neuroprotective and anticonvulsive therapy. Also, (R,S)-PPG represents an attractive tool to analyze the roles of group III mGluRs in nervous system physiol. and pathol.
IT 120667-15-4P
RL: BAC (Biological activity or effector, except adverse); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
((R,S)-4-phosphonophenylglycine anticonvulsive and neuroprotective activity, and relation to group III metabotropic glutamate receptors)
RN 120667-15-4 HCAPLUS
CN Benzeneacetic acid, .alpha.-amino-4-phosphono- (9CI) (CA INDEX NAME)



IT 123-08-0, 4-Hydroxybenzaldehyde
RL: RCT (Reactant)
(reaction; (R,S)-4-phosphonophenylglycine anticonvulsive and neuroprotective activity, and relation to group III metabotropic glutamate receptors)
RN 123-08-0 HCAPLUS
CN Benzaldehyde, 4-hydroxy- (9CI) (CA INDEX NAME)



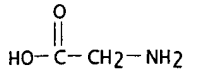
RE.CNT 41
RE
(1) Abdul-Ghani, A; Brain Res 1997, V755, P202 HCAPLUS
(2) Bedingfield, J; Eur J Pharmacol 1996, V309, P71 HCAPLUS
(3) Bigge, C; J Med Chem 1989, V32, P1580 HCAPLUS
(4) Bruno, V; Eur J Pharmacol 1996, V310, P61 HCAPLUS
(5) Colwell, C; Brain Res 1996, V726, P223 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr l15 2

L15 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2001 ACS
AN 1998:700733 HCAPLUS
DN 130:61231
TI The human N-methyl-D-aspartate receptor 2C subunit: genomic analysis, distribution in human brain, and functional expression
AU Daggett, L. P.; Johnson, E. C.; Varney, M. A.; Lin, F.-F.; Hess, S. D.; Deal, C. R.; Jachec, C.; Lu, C.-C.; Kerner, J. A.; Landwehrmeyer, G. B.; Standaert, D. G.; Young, A. B.; Harpold, M. M.; Velicelebi, G.
CS SIBIA Neurosciences, Inc., La Jolla, CA, 92037, USA
SO J. Neurochem. (1998), 71(5), 1953-1968
CODEN: JONRA9; ISSN: 0022-3042
PB Lippincott-Raven Publishers
DT Journal
LA English
AB The cDNAs encoding four isoforms of the human NMDA receptor (NMDAR) NMDAR2C (hNR2C-1, -2, -3, and -4) have been isolated and characterized. The overall identity of the deduced amino acid sequences of human and rat NR2C-1 is 89.0%. The sequences of the rat and human C-termini (Gly925-Val1,236) are encoded by different exons and are only 71.5% homologous. In situ hybridization in human brain revealed the expression of the NR2C mRNA in the pontine reticular formation and lack of expression in substantia nigra pars compacta in contrast to the distribution pattern obsd. previously in rodent brain. The pharmacol. properties of hNR1A/2C were detd. by measuring agonist-induced inward currents in Xenopus oocytes and compared with those of other human NMDAR subtypes. Glycine, glutamate, and NMDA each discriminated between hNR1A/2C-1 and at least one of hNR1A/2A, hNR1A/2B, or hNR1A/2D subtypes. Among the antagonists tested, CGS 19755 did not significantly discriminate between any of the four subtypes, whereas 5,7-dichlorokynurenic acid distinguished between hNR1A/2C and hNR1A/2D. Immunoblot anal. of membranes isolated from HEK293 cells transiently transfected with cDNAs encoding hNR1A and each of the four NR2C isoforms indicated the formation of heteromeric complexes between hNR1A and all four hNR2C isoforms. HEK293 cells expressing hNR1A/2C-3 or hNR1A/2C-4 did not display agonist responses. In contrast, the authors obsd. an agonist-induced elevation of intracellular free calcium and whole-cell currents in cells expressing hNR1A/2C-1 or hNR1A/2C-2. There were no detectable differences in the macroscopic biophys. properties of hNR1A/2C-1 or hNR1A/2C-2.
IT 7440-70-2, Calcium, biological studies
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(NMDA receptor 2C subunit sequencing and genomic anal., distribution in human brain, and functional expression)
RN 7440-70-2 HCAPLUS
CN Calcium (8CI, 9CI) (CA INDEX NAME)

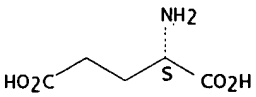
Ca

IT 56-40-6, Glycine, biological studies 56-86-0, L-Glutamic acid, biological studies 6384-92-5, NMDA 131123-76-7, 5,7-Dichlorokynurenic acid
RL: BPR (Biological process); BUU (Biological use, unclassified); BIOL (Biological study); PROC (Process); USES (Uses)
(NMDA receptor 2C subunit sequencing and genomic anal., distribution in human brain, and functional expression)
RN 56-40-6 HCAPLUS
CN Glycine (8CI, 9CI) (CA INDEX NAME)



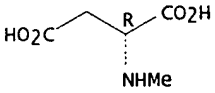
RN 56-86-0 HCAPLUS
CN L-Glutamic acid (9CI) (CA INDEX NAME)

Absolute stereochemistry.

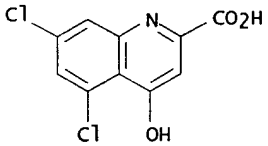


RN 6384-92-5 HCAPLUS
CN D-Aspartic acid, N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 131123-76-7 HCAPLUS
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-4-hydroxy- (9CI) (CA INDEX NAME)



IT 217638-85-2
RL: PRP (Properties)
(amino acid sequence; NMDA receptor 2C subunit sequencing and genomic anal., distribution in human brain, and functional expression)
RN 217638-85-2 HCAPLUS
CN NMDA receptor (human clone hNMDAR2C-1 NR2C-1-subunit precursor) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT 197348-40-6, GenBank U77782
RL: PRP (Properties)
(nucleotide sequence; NMDA receptor 2C subunit sequencing and genomic anal., distribution in human brain, and functional expression)
RN 197348-40-6 HCAPLUS
CN DNA (human clone hNMDAR2C-1 NMDA receptor NR2C-1-subunit cDNA plus flanks) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RE.CNT 43
RE .
(1) Adams, S; Biochim Biophys Acta 1995, V1260, P105 HCAPLUS
(2) Bliss, T; Nature 1993, V361, P31 HCAPLUS
(3) Buller, A; Mol Pharmacol 1995, V48, P717 HCAPLUS
(5) Counihan, T; J Comp Neurol 1998, V390, P91 HCAPLUS
(6) Daggett, L; Neuropharmacology 1995, V34, P871 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> d bib abs hitstr l15 3

L15  ANSWER 3 OF 6  HCAPLUS  COPYRIGHT 2001 ACS
AN   1995:452110  HCAPLUS
DN   122:257079
TI   Human metabotropic glutamate receptor subtypes and cDNAs encoding them and
     their use in the study of receptor agonists and antagonists
IN   Daggett, Lorrie; Ellis, Steven B.; Liaw, Chen; Pontsler, Aaron; Johnson,
     Edwin C.; Hess, Stephen D.
PA   Salk Institute Biotechnology/Industrial Associates, Inc., USA
SO   PCT Int. Appl., 126 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 3
     PATENT NO.         KIND  DATE          APPLICATION NO.  DATE
     ---------------    ----  --------      ---------------  --------
PI   WO 9429449         A1    19941222      WO 1994-US6273   19940603
         W:  AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE,
             HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ,
             PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
             BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
     US 5521297          A     19960528      US 1993-72574    19930604
     CA 2161811          AA    19941222      CA 1994-2161811  19940603
     AU 9470989          A1    19950103      AU 1994-70989    19940603
     AU 685471           B2    19980122
     GB 2286398          A1    19950816      GB 1995-3691     19940603
     GB 2286398          B2    19971015
     EP 701611           A1    19960320      EP 1994-920075   19940603
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
     JP 08511168         T2    19961126      JP 1994-501975   19940603
     US 5807689          A     19980915      US 1995-486270   19950606
PRAI US 1993-72574      19930604
     WO 1994-US6273     19940603
     US 1995-367264     19950109
AB   CDNAs encoding human metabotropic glutamate receptor subtypes mGluR1,
     mGluR3 and mGluR5 and the proteins encoded by them are characterized for
     use in the study of receptor biol.  In addn. to being useful for the
     manuf. of metabotropic glutamate receptor subtypes, the nucleic acids may
     also be used as probes to identify and isolate related human receptor
     subunits.  These receptors can also be used to identify agonists and
     antagonists of the receptor subtypes.  The cDNAs were cloned from human
     brain tissue cDNA libraries by screening with corresponding rat cDNAs; at
     least one of the cDNAs was obtained by assembling overlapping partial
     clones.  The mGluR5 cDNA sequence indicated the presence of alternative
     splicing variants.  Expression of the cDNAs was achieved in animal cell
     lines with transgenic cells showing receptor activity.
IT   162393-88-6 162393-89-7 162535-82-2
     162535-84-4 162535-85-5 162535-86-6
     162535-87-7 162535-88-8
     RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP
     (Properties); BIOL (Biological study); OCCU (Occurrence)
        (amino acid sequence; human metabotropic glutamate
      receptor subtypes and cDNAs encoding them and their use in
        study of receptor agonists and antagonists)
RN   162393-88-6  HCAPLUS
CN   Receptor, glutamatergic (human clone METAB58 gene GluR1) (9CI)  (CA INDEX
     NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN   162393-89-7  HCAPLUS
CN   Receptor, glutamatergic (human clone METAB40 gene GluR2 fragment) (9CI)
     (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN   162535-82-2  HCAPLUS
CN   Receptor, glutamatergic (human clone METAB45 gene GluR3) (9CI)  (CA INDEX
     NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN   162535-84-4  HCAPLUS
CN   Receptor, glutamatergic (human clone METAB1 gene GluR5 isoform R5a1) (9CI)
       (CA INDEX NAME)
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*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 162535-85-5 HCAPLUS
CN DNA (human clone METAB1 metabotropic glutamatergic receptor 5 isoform 5b cDNA plus flanks) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 162535-86-6 HCAPLUS
CN Receptor, glutamatergic (human clone METAB1 gene GluR5 isoform R5b) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 162535-87-7 HCAPLUS
CN DNA (human clone METAB1 metabotropic glutamatergic receptor 5 isoform 5c cDNA plus flanks) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 162535-88-8 HCAPLUS
CN Receptor, glutamatergic (human clone METAB1 gene GluR5 isoform R5c) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT 162078-65-1 162393-87-5 162393-90-0
162535-83-3
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); OCCU (Occurrence)
(nucleotide sequence; human metabotropic glutamate receptor subtypes and cDNAs encoding them and their use in study of receptor agonists and antagonists)
RN 162078-65-1 HCAPLUS
CN DNA (human clone METAB40 metabotropic glutamatergic receptor 2-specifying) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 162393-87-5 HCAPLUS
CN DNA (human clone METAB58 metabotropic glutamatergic receptor 1B cDNA plus flanks) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 162393-90-0 HCAPLUS
CN DNA (human clone METAB48 metabotropic glutamatergic receptor 3 cDNA plus flanks) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 162535-83-3 HCAPLUS
CN DNA (human clone METAB1 metabotropic glutamatergic receptor 5 isoform 5a1 cDNA plus flanks) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT 162535-89-9
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(nucleotide sequence; human metabotropic glutamate receptor subtypes and cDNAs encoding them and their use in study of receptor agonists and antagonists)
RN 162535-89-9 HCAPLUS
CN DNA (human clone METAB40 metabotropic glutamatergic receptor 2 gene 3'-flank) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

=> d bib abs hitstr l15 4

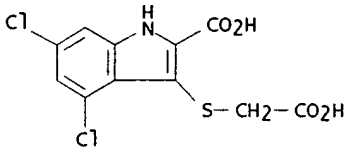
L15 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2001 ACS
AN 1993:531539 HCAPLUS
DN 119:131539
TI Potentiation of NMDA antagonists with probenecid
IN McDonald, Ian A.; Baron, Bruce M.
PA Merrell Dow Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9312780	A1	19930708	WO 1992-US10354	19921201
	W: AU, CA, JP, KR, NZ				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5318985	A	19940607	US 1991-811204	19911220
	AU 9331509	A1	19930728	AU 1993-31509	19921201
	AU 667333	B2	19960321		
	EP 617616	A1	19941005	EP 1992-925469	19921201
	EP 617616	B1	19991027		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 07504890	T2	19950601	JP 1992-511664	19921201
	AT 185969	E	19991115	AT 1992-925469	19921201
	US 5489579	A	19960206	US 1994-191996	19940204
PRAI	US 1991-811204	19911220			
	WO 1992-US10354	19921201			

OS MARPAT 119:131539
AB Probenecid potentiates the therapeutic activity of known antagonists of NMDA receptor-excitatory amino acids. The antagonists comprise 4 classes of compds. (Markush structures given), such as carboxyindoles, phosphonates and carboxypiperidines. The compns. comprising probenecid and the antagonists are useful for the treatment of epilepsy, neurodegenerative diseases, anxiety, etc. Probenecid potentiated the ability of (R)-4-oxo-5-phosphononorvaline to inhibit quinolinic acid-induced clonic seizures in mice.
IT 149890-43-7 149890-44-8
RL: BIOL (Biological study)
(antiepileptic)
RN 149890-43-7 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(carboxymethyl)thio]-4,6-dichloro-, mixt. with 4-[(dipropylamino)sulfonyl]benzoic acid (9CI) (CA INDEX NAME)

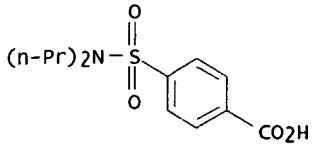
CM 1

CRN 137836-29-4
CMF C11 H7 Cl2 N O4 S



CM 2

CRN 57-66-9
CMF C13 H19 N O4 S

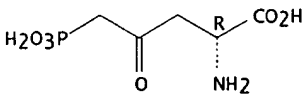


RN 149890-44-8 HCAPLUS
CN D-Norvaline, 4-oxo-5-phosphono-, mixt. with 4-[(dipropylamino)sulfonyl]benzoic acid (9CI) (CA INDEX NAME)

CM 1

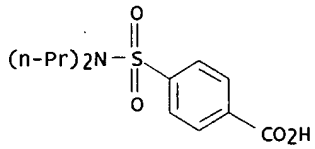
CRN 129938-34-7
CMF C5 H10 N O6 P
CDES 5:D

Absolute stereochemistry.

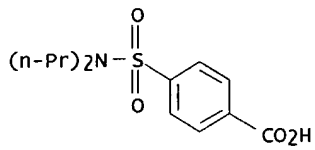


CM 2

CRN 57-66-9
CMF C13 H19 N O4 S



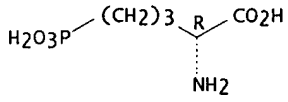
IT 57-66-9D, Probenecid, mixt. with antagonists of NMDA receptor-excitatory amino acids
RL: BIOL (Biological study)
(epilepsy and neurodegenerative diseases treatment by)
RN 57-66-9 HCAPLUS
CN Benzoic acid, 4-[(dipropylamino)sulfonyl]- (9CI) (CA INDEX NAME)



=> d bib abs hitstr l15 5

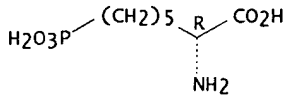
L15 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2001 ACS
AN 1992:227662 HCAPLUS
DN 116:227662
TI Modeling of competitive phosphono amino acid NMDA receptor antagonists
AU Whitten, Jeffrey P.; Harrison, Boyd L.; Weintraub, Herschel J. R.; McDonald, Ian A.
CS Marion Merrell Dow Res. Inst., Cincinnati, OH, 45215, USA
SO J. Med. Chem. (1992), 35(9), 1509-14
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB A pharmacophore for the phosphono amino acid antagonists of the NMDA receptor was developed using computer-based mol. modeling techniques. An important feature of this model is that a single binding site is proposed for the phosphonic acid moiety. All competitive antagonists examd. incorporating amino acid and phosphonate groups in their structure fit the pharmacophore in energetically accessible conformations.
IT 79055-68-8 81338-23-0 110347-85-8
113190-89-9 113190-92-4 113229-88-2
121524-85-4 121524-86-5 121524-87-6
121570-54-5 121570-55-6 121570-57-8
127910-31-0 131177-53-2 141039-92-1
RL: PROC (Process)
(binding of, to NMDA receptors, pharmacophore model for)
RN 79055-68-8 HCAPLUS
CN D-Norvaline, 5-phosphono- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



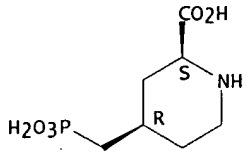
RN 81338-23-0 HCAPLUS
CN Heptanoic acid, 2-amino-7-phosphono-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



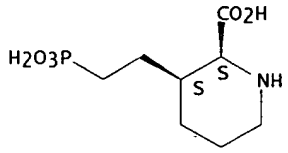
RN 110347-85-8 HCAPLUS
CN 2-Piperidinecarboxylic acid, 4-(phosphonomethyl)-, (2R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



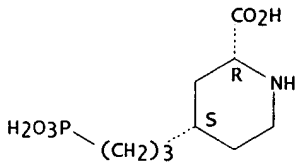
RN 113190-89-9 HCAPLUS
CN 2-Piperidinecarboxylic acid, 3-(2-phosphonoethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



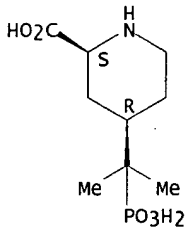
RN 113190-92-4 HCAPLUS
CN 2-Piperidinecarboxylic acid, 4-(3-phosphonopropyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

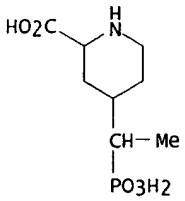


RN 113229-88-2 HCAPLUS
CN 2-Piperidinecarboxylic acid, 4-(1-methyl-1-phosphonoethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

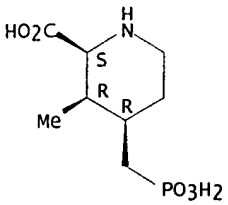


RN 121524-85-4 HCAPLUS
CN 2-Piperidinecarboxylic acid, 4-(1-phosphonoethyl)- (9CI) (CA INDEX NAME)



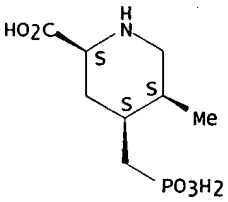
RN 121524-86-5 HCAPLUS
CN 2-Piperidinecarboxylic acid, 3-methyl-4-(phosphonomethyl)-, (2.alpha.,3.alpha.,4.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



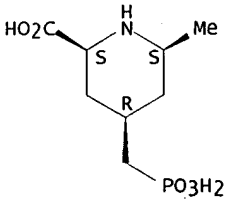
RN 121524-87-6 HCAPLUS
CN 2-Piperidinecarboxylic acid, 5-methyl-4-(phosphonomethyl)-, (2.alpha.,4.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



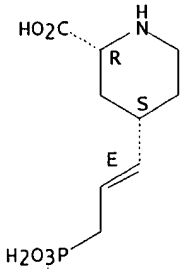
RN 121570-54-5 HCAPLUS
CN 2-Piperidinecarboxylic acid, 6-methyl-4-(phosphonomethyl)-, (2.alpha.,4.alpha.,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



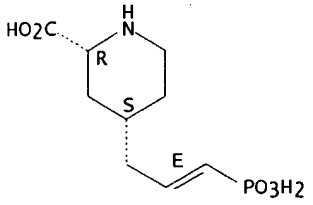
RN 121570-55-6 HCAPLUS
CN 2-Piperidinecarboxylic acid, 4-(3-phosphono-1-propenyl)-, [2.alpha.,4.alpha.(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



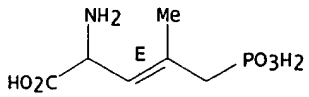
RN 121570-57-8 HCAPLUS
CN 2-Piperidinecarboxylic acid, 4-(3-phosphono-2-propenyl)-, [2.alpha.,4.alpha.(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



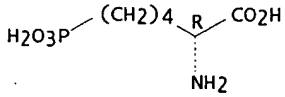
RN 127910-31-0 HCAPLUS
CN 3-Pentenoic acid, 2-amino-4-methyl-5-phosphono-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



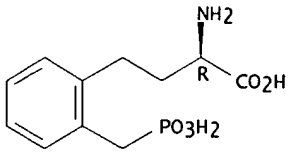
RN 131177-53-2 HCAPLUS
CN D-Norleucine, 6-phosphono- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141039-92-1 HCAPLUS
CN Benzenebutanoic acid, .alpha.-amino-2-(phosphonomethyl)-, (R)- (9CI) (CA INDEX NAME)

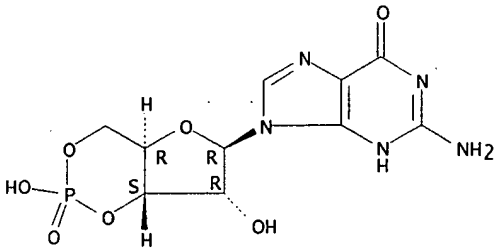
Absolute stereochemistry.



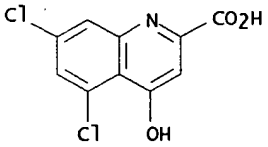
=> d bib abs hitstr l15 6

L15 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2001 ACS
AN 1991:17877 HCAPLUS
DN 114:17877
TI Activity of 5,7-dichlorokynurenic acid, a potent antagonist at the N-methyl-D-aspartate receptor-associated glycine binding site
AU Baron, Bruce M.; Harrison, Boyd L.; Miller, Francis P.; McDonald, Ian A.; Salituro, Francesco G.; Schmidt, Christopher J.; Sorensen, Stephen M.; White, H. Steven; Palfreyman, Michael G.
CS Merrell Dow Res. Inst., Cincinnati, OH, 45215, USA
SO Mol. Pharmacol. (1990), 38(4), 554-61
CODEN: MOPMA3; ISSN: 0026-895X
DT Journal
LA English
AB 5,7-Dichlorokynurenic acid (5,7-DCKA), one of the most potent excitatory amino acid receptor antagonists yet described, binds to a strychnine-insensitive glycine binding site located on the N-methyl-D-aspartate (NMDA) receptor complex (Ki = 79 nM vs. [3H]glycine). 5,7-DCKA (10 .mu.M) antagonized the ability of NMDA to stimulate the binding of the radiolabeled ion channel blocker N-[3H]-[1-(2-thienyl)cyclohexyl]-piperidine ([3]TCP). Glycine overcame this effect and in the presence of 5,7-DCKA enhanced [3H]TCP binding to antagonist-free levels. 5,7-DCKA completely and noncompetitively antagonized several NMDA receptor-mediated biochem. and electrophysiol. responses. Thus, micromolar concns., 5,7-DCKA inhibited: NMDA-stimulated elevation of cytosolic Ca in cultured hippocampal neurons, cGMP accumulation in cerebellar slices, and norepinephrine release from hippocampal slices. The glycine antagonist could also block the action of synaptically released agonist, as shown by its ability to inhibit the increase in the magnitude of the population spike that follows tetanic stimulation of the hippocampus in vitro (long term potentiation). Inclusion of glycine or D-serine prevented all these effects of the antagonist. 5,7-DCKA was a potent anticonvulsant when administered intracerebroventricularly to mice. As in the in vitro expts. the dose-response curve for the antagonist was shifted rightward in a parallel fashion when D-serine was coinjected. This spectrum of activity displayed by a compd. acting at the glycine binding site suggests that the therapeutic utility of glycine antagonists will be similar to those proposed for other types of glutamate receptor antagonists.
IT 7665-99-8, CGMP
RL: BIOL (Biological study)
(accumulation of, by cerebellum, dichlorokynurenate effect on)
RN 7665-99-8 HCAPLUS
CN Guanosine, cyclic 3',5'-(hydrogen phosphate) (8CI, 9CI) (CA INDEX NAME)

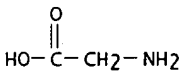
Absolute stereochemistry.



IT 131123-76-7
RL: BIOL (Biological study)
(methylaspartate receptor binding of glycine antagonism by)
RN 131123-76-7 HCAPLUS
CN 2-Quinolinecarboxylic acid, 5,7-dichloro-4-hydroxy- (9CI) (CA INDEX NAME)

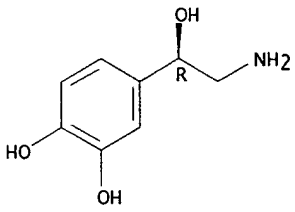


IT 56-40-6, Glycine, biological studies
RL: BIOL (Biological study)
(methylaspartate receptor binding of, dichlorokynurenic antagonism of)
RN 56-40-6 HCAPLUS
CN Glycine (8CI, 9CI) (CA INDEX NAME)



IT 51-41-2, Norepinephrine
RL: BIOL (Biological study)
(release of, by hippocampus, dichlorokynurenate and glycine effect on)
RN 51-41-2 HCAPLUS
CN 1,2-Benzenediol, 4-[(1R)-2-amino-1-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 7440-70-2, Calcium, biological studies
RL: BIOL (Biological study)
(transport of, by hippocampus, dichlorokynurenate effect on)
RN 7440-70-2 HCAPLUS
CN Calcium (8CI, 9CI) (CA INDEX NAME)

Ca

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=> d bib abs hitstr

L16  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2001 ACS
AN   2001:167983  HCAPLUS
TI   Heterocyclic compounds and methods of use thereof
IN   Cosford, Nicholas D. P.; McDonald, Ian A.; Bleicher,
     Leo Solomon; Cube, Rowena V.; Schweiger, Edwin J.; Vernier, Jean-Michel;
     Hess, Stephen D.; Varney, Mark A.; Munoz, Benito
PA   Merck & Co., Inc., USA
SO   PCT Int. Appl., 132 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.         KIND  DATE          APPLICATION NO.  DATE
     ---------------    ----  --------      ---------------  --------
PI   WO 2001016121      A1    20010308      WO 2000-US23923  20000831
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI US 1999-387073   19990831
     US 1999-387135   19990831
AB   In accordance with the present invention, there are provided novel class
     of heterocyclic compounds and methods of use thereof.  Compounds of the
     invention contain a substituted, unsaturated five, six or seven membered
     heterocyclic ring that includes at least one nitrogen atom and at least
     one carbon atom.  At a ring position adjacent to a ring nitrogen atom, the
     heterocyclic ring has at least one substituent which includes a moiety,
     linked to the heterocyclic ring via an alkylene moiety, an alkynylene
     moiety or an azo group.  Invention compounds are capable of a wide variety
     of uses including modulating physiological processes by
     functioning as agonists and antagonists of receptors in the nervous
     system, as insecticides, and as fungicides.  The invention further
     provides methods of modulating the activity of.
     excitatory amino acid receptors
     using a specifically defined class of heterocyclic compounds including the
     novel compounds referred to above.  In one embodiment, there are provided
     methods of modulating metabotropic glutamate receptors.  The
     present invention also discloses methods of treating disease using
     heterocyclic compounds.  The invention further discloses methods of
     preventing disease conditions related to diseases of the pulmonary system,
     diseases of the nervous system, diseases of the cardiovascular system,
     diseases of the gastrointestinal system, diseases of the endocrine system,
     diseases of the exocrine system, diseases of the skin, cancer and diseases
     of the ophthalmic system.  The invention also discloses pharmaceutically
     acceptable salt forms of the above-described heterocyclic compounds.


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L16  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2001 ACS
IC   ICM  C07D277-22
     ICS  C07D277-24; C07D277-40; C07D213-16; C07D213-30; C07D239-26;
          C07D263-32; C07D271-06; C07D241-12; C07D249-08; C07D285-00;
          C07D333-08; C07D417-06; C07D409-06; C07D407-06
CC   28 (Heterocyclic Compounds (More Than One Hetero Atom))
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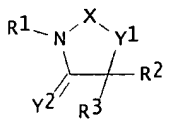
=> d bib abs hitstr l54 1

L54 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:756696 HCAPLUS
DN 133:321892
TI Preparation of hydroxamic acid derivatives as matrix metallo-proteinase inhibitors
IN Scarlato, Gerard Robert; Hadida, Ruah Sara Sabina; Nishimura, Tamiki; Nakatsuka, Masashi; Samizo, Fumio; Kamikawa, Yumiko; Houtigai, Hitoshi
PA Sumitomo Pharmaceuticals Co., Ltd., Japan; Hadida Ruah, Sara Sabina
SO PCT Int. Appl., 218 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000063197	A1	20001026	WO 2000-US10383	20000419

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-129933 19990419
OS MARPAT 133:321892
GI



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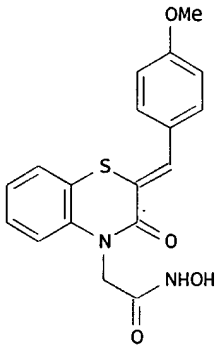
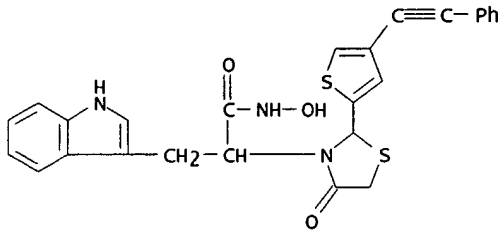
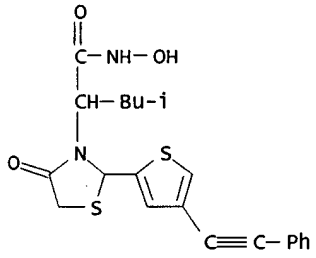


II

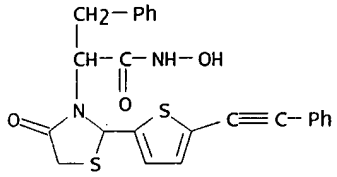
AB The title compds. [I; X = (un)substituted alkylene, ortho-heteroarylene; Y1 = O, S, SO, SO2; Y2 = O, S; one of R1 and R3 = (CHR4)nCR5R6CONHOH; the other R1 and R3 = H, (un)substituted alkyl, cycloalkyl; R2 = H, (un)substituted alkyl, alkenyl, etc.; R4-R6 = H, (un)substituted alkyl, alkenyl, etc.; or R5 may be joined with R4 or R6 to form, with the carbon atom which they attach (un)substituted cycloalkane or heterocycloalkane; n = 0-4], useful as matrix metallo-proteinase (e.g., MMP-2, MMP-3, MMP-9, and MMP-13) inhibitors, were prepd. E.g., a multi-step synthesis of 1,4-benzothiazin-3(4H)-one II which showed IC50 of 1.0 .mu.M against MMP-2, and 20% inhibition of TNF-.alpha. prodn. at 5 .mu.M, was given.
IT 302827-22-1P 302827-33-4P 302827-58-3P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxamic acid derivs. as matrix metallo-proteinase inhibitors)
RN 302827-22-1 HCAPLUS
CN 1H-Indole-3-propanamide, N-hydroxy-.alpha.-[4-oxo-2-[4-(phenylethynyl)-2-thienyl]-3-thiazolidinyl]- (9CI) (CA INDEX NAME)



RN 302827-33-4 HCAPLUS
CN 3-Thiazolidineacetamide, N-hydroxy-.alpha.-(2-methylpropyl)-4-oxo-2-[4-(phenylethynyl)-2-thienyl]- (9CI) (CA INDEX NAME)



RN 302827-58-3 HCAPLUS
CN 3-Thiazolidineacetamide, N-hydroxy-4-oxo-2-[5-(phenylethynyl)-2-thienyl]-.alpha.-(phenylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 5
RE
(1) Ciba Geigy Ag; EP 0606046 A 1994 HCAPLUS
(2) Du Pont Merck Pharma; WO 9633176 A 1996 HCAPLUS
(3) Hoechst Ag; DE 19542189 A 1997 HCAPLUS
(4) Sunkyong Ind Ltd; WO 9620936 A 1996 HCAPLUS
(5) Yoshitomi Pharmaceutical; FR 2434150 A 1980 HCAPLUS

=> d ind

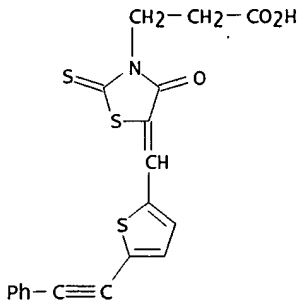
L56 HAS NO ANSWERS
'IND ' IS NOT A VALID STRUCTURE FORMAT KEYWORD
Structure Formats
SIA ----- Structure Image, Attributes, and map table if it contains data. (Default)
SIM ----- Structure IMage.
SAT ----- Structure ATtributes and map table if it contains data.
SCT ----- Structure Connection Table and map table if it contains data.
SDA ----- All Structure DAta (image, attributes, connection table and map table if it contains data).
NOS ----- NO Structure data.
ENTER STRUCTURE FORMAT (SIA), SCT, SDA, SIM, SAT, NOS:end

=> d bib abs hitstr 154 2

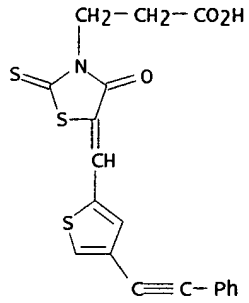
L54 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2001 ACS
AN 2000:144739 HCAPLUS
DN 132:189652
TI Rhodanine derivatives, preparation thereof, compositions, and methods for treating or preventing Flaviviridae family viral infections and associated diseases
IN Bailey, Thomas R.; Young, Dorothy C.
PA Viropharma Incorporated, USA
SO PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000010573	A1	20000302	WO 1999-US18785	19990819
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9955702	A1	20000314	AU 1999-55702	19990819
PRAI	US 1998-97476		19980821		
	US 1998-113212		19981222		
	US 1999-119328		19990209		
	US 1999-135585		19990524		
	US 1999-135586		19990524		
	WO 1999-US18785		19990819		

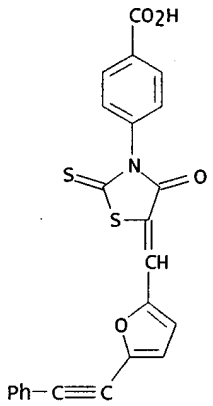
OS MARPAT 132:189652
AB Compds., compns. and methods are provided for the treatment and prophylaxis of infections and assocd. diseases caused by viruses of the Flaviviridae family by administering certain rhodanine derivs., and analogs thereof, tri- and tetracyclic rhodanine alkanoic acids and rhodanine benzoic acids being particularly effective.
IT 259811-82-0 259811-84-2 259812-05-0
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(rhodanine derivs., prepn., compns., and methods for treating or preventing Flaviviridae family viral infections and assocd. diseases)
RN 259811-82-0 HCAPLUS
CN 3-Thiazolidinepropanoic acid, 4-oxo-5-[[5-(phenylethynyl)-2-thienyl]methylene]-2-thioxo- (9CI) (CA INDEX NAME)



RN 259811-84-2 HCAPLUS
CN 3-Thiazolidinepropanoic acid, 4-oxo-5-[[4-(phenylethynyl)-2-thienyl]methylene]-2-thioxo- (9CI) (CA INDEX NAME)



RN 259812-05-0 HCAPLUS
CN Benzoic acid, 4-[4-oxo-5-[[5-(phenylethynyl)-2-furanyl]methylene]-2-thioxo-3-thiazolidinyl]- (9CI) (CA INDEX NAME)



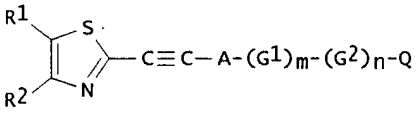
RE.CNT 6
RE
(1) Hughes; US 3888984 A 1975 HCAPLUS
(2) Kawamatsu; US 4387101 A 1983 HCAPLUS
(3) Ramasamy; US 5834466 A 1998 HCAPLUS
(4) Schnur; US 4367234 A 1983 HCAPLUS
(6) Suzuki; US 5693337 A 1997 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr l54 3

L54 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2001 ACS
AN 1998:493329 HCAPLUS
DN 129:189329
TI Preparation of 2-ethynylthiazole derivatives as leukotriene antagonists
IN Nakayama, Atsushi; Takeda, Satoshi; Machinaga, Nobuo; Ogasawara, Tomomi; Naito, Hiroshi; Hasegawa, Masashi; Haruda, Makoto
PA Daiichi Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 121 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 10195063	A2	19980728	JP 1997-286340	19971020
PRAI JP 1996-278347		19961021		

OS MARPAT 129:189329
GI



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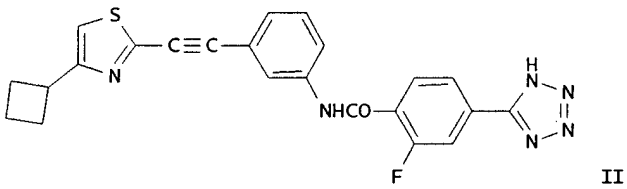


II

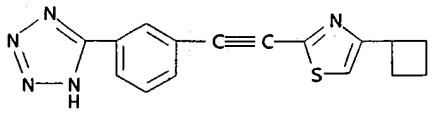
AB The title compds. [I; R1, R2 = H, halo, (un)substituted alkyl or cycloalkyl; or R1 and R2 together form a ring; A = (un)substituted Ph, pyridyl, furyl, thienyl, benzofuranyl, benzo[b]thienyl, benzoxazolyl, benzothiazolyl, pyrido[1,2-a]pyrimidinyl, quinazolyl, benzotriazinyl, or 2H-chromenyl; G1 = O, CO, C.tplbond.C, (un)substituted NR3CO, NR4, NR5SO2, SO2NR6, CONR7, C(:CHR8), CR9:CR10; R3 - R7 = H, OH, (un)substituted alkyl; R8 = cyano, CO2H, (un)substituted alkoxycarbonyl; R9, R10 = H, halo, (un)substituted alkyl, cycloalkyl, or aryl; or R9 and R10 together form a ring; G2 = (un)substituted Ph, pyridyl, thiazolyl, isoxazolyl, thienyl, or pyrimidinyl, etc.; m, n = 0, 1; Q = CO2H, (un)substituted alkoxycarbonyl, 5-tetrazolylaminocarbonyl, (un)substituted 5-tetrazolyl, 1,2,3-triazolyl, 2,4-dioxothiazolidin-5-ylidene, or 4-oxo-2-thioxothiazolidin-5-ylidene, etc.; excluding the case where m = n = 0 and Q = CO2H or alkoxycarbonyl], which show photostability and activities of both leukotriene antagonism and inhibition of histamine release from mast cells, are prepd. A therapeutic or preventive drug contg. I as the active ingredient for the treatment of allergies or leukotriene and/or histamine-related diseases is claimed. Thus, 2-fluoro-4-[2-(4-methoxybenzyl)-2H-tetrazol-5-yl]benzoic acid was refluxed with SOCl2 in the presence of DMF in PhMe for 3 h and then condensed with 3-[2-(4-cyclobutyl-2-thiazolyl)ethynyl]aniline in the presence of Et3N, followed by treatment with anisole/CF3CO2H to give the title compd., ethynylthiazole contg. triazole deriv. (II). II in vitro showed IC50 5.7.times.10-10 M for inhibiting leukotriene D4-induced contraction of guinea pig's ileum and 9.3.times.10-9 M for inhibiting histamine release from rat's mast cells and in vivo inhibited leukotriene D4-induced contraction of guinea pig's air way with ID50 of 0.4 mg/kg p.o. An inhalant and capsule formulation contg. II were prepd.

IT 211937-72-3P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ethynylthiazole derivs. as leukotriene antagonists for

treatment of allergy and leukotriene and/histamine-related diseases)

RN 211937-72-3 HCAPLUS

CN 1H-Tetrazole, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



IT 211937-73-4P 211937-75-6P 211937-76-7P
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211937-83-6P 211937-84-7P 211937-85-8P
211937-86-9P 211937-87-0P 211938-11-3P
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211938-28-2P 211938-29-3P 211938-31-7P
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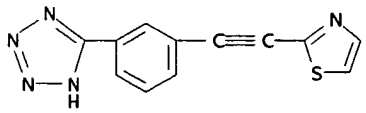
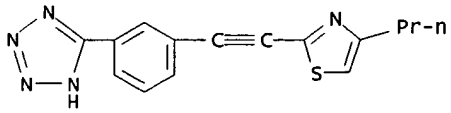
211940-05-5P 211940-06-6P 211940-07-7P
211940-08-8P 211940-09-9P 211940-10-2P
211940-11-3P 211940-12-4P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ethynylthiazole derivs. as leukotriene antagonists for treatment of allergy and leukotriene and/or histamine-related diseases)

RN 211937-73-4 HCAPLUS

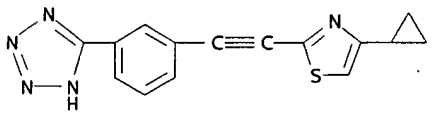
CN 1H-Tetrazole, 5-[3-(2-thiazolylethynyl)phenyl]- (9CI) (CA INDEX NAME)



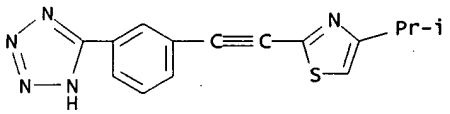
RN 211937-75-6 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-propyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



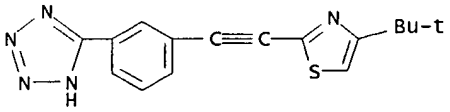
RN 211937-76-7 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-cyclopropyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



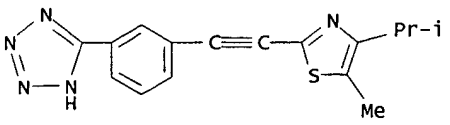
RN 211937-77-8 HCAPLUS
CN 1H-Tetrazole, 5-[3-[[4-(1-methylethyl)-2-thiazolyl]ethynyl]phenyl]- (9CI) (CA INDEX NAME)



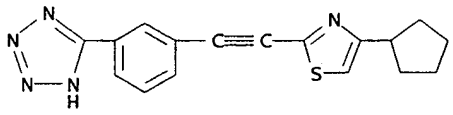
RN 211937-78-9 HCAPLUS
CN 1H-Tetrazole, 5-[3-[[4-(1,1-dimethylethyl)-2-thiazolyl]ethynyl]phenyl]- (9CI) (CA INDEX NAME)



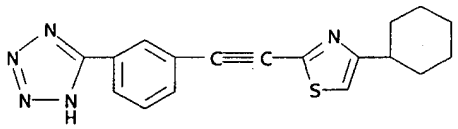
RN 211937-79-0 HCAPLUS
CN 1H-Tetrazole, 5-[3-[[5-methyl-4-(1-methylethyl)-2-thiazolyl]ethynyl]phenyl]- (9CI) (CA INDEX NAME)



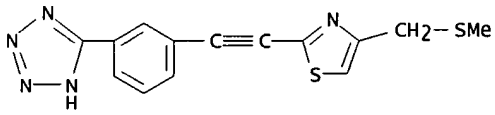
RN 211937-80-3 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-cyclopentyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



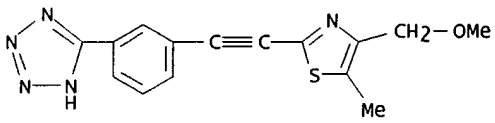
RN 211937-81-4 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-cyclohexyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



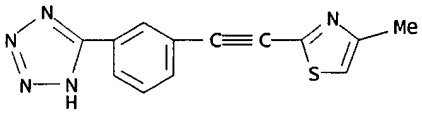
RN 211937-82-5 HCAPLUS
CN 1H-Tetrazole, 5-[3-[[4-[(methylthio)methyl]-2-thiazolyl]ethynyl]phenyl]- (9CI) (CA INDEX NAME)



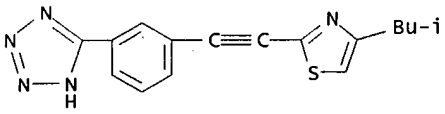
RN 211937-83-6 HCAPLUS
CN 1H-Tetrazole, 5-[3-[[4-(methoxymethyl)-5-methyl-2-thiazolyl]ethynyl]phenyl]- (9CI) (CA INDEX NAME)



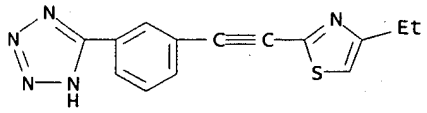
RN 211937-84-7 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-methyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



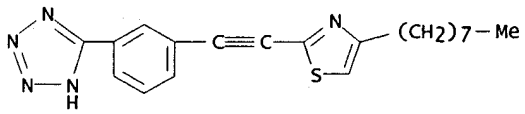
RN 211937-85-8 HCAPLUS
CN 1H-Tetrazole, 5-[3-[[4-(2-methylpropyl)-2-thiazolyl]ethynyl]phenyl]- (9CI) (CA INDEX NAME)



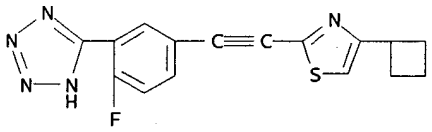
RN 211937-86-9 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-ethyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



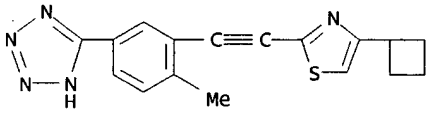
RN 211937-87-0 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-octyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



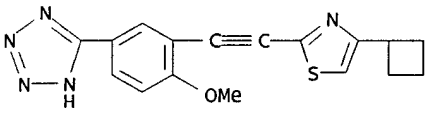
RN 211938-11-3 HCAPLUS
CN 1H-Tetrazole, 5-[5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-fluorophenyl]- (9CI) (CA INDEX NAME)



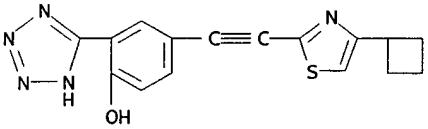
RN 211938-13-5 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-4-methylphenyl]- (9CI) (CA INDEX NAME)



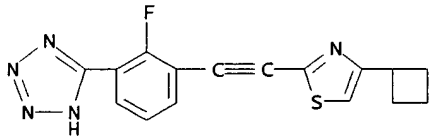
RN 211938-15-7 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



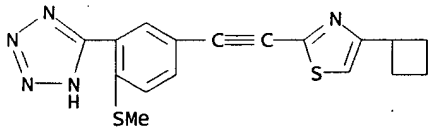
RN 211938-17-9 HCAPLUS
CN Phenol, 4-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



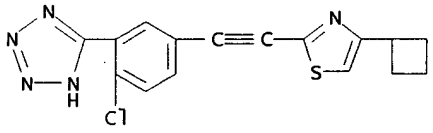
RN 211938-19-1 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-fluorophenyl]- (9CI) (CA INDEX NAME)



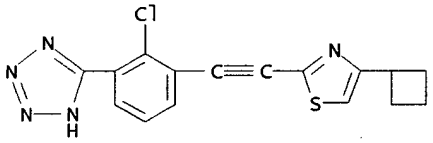
RN 211938-22-6 HCAPLUS
CN 1H-Tetrazole, 5-[5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



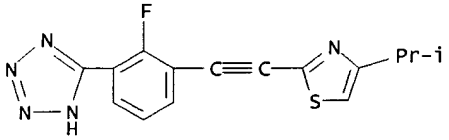
RN 211938-25-9 HCAPLUS
CN 1H-Tetrazole, 5-[2-chloro-5-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



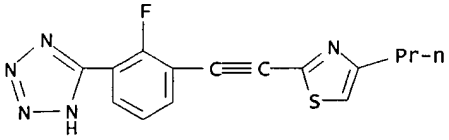
RN 211938-28-2 HCAPLUS
CN 1H-Tetrazole, 5-[2-chloro-3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



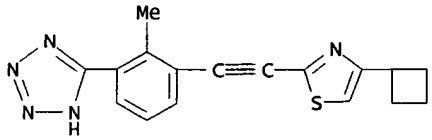
RN 211938-29-3 HCAPLUS
CN 1H-Tetrazole, 5-[2-fluoro-3-[[4-(1-methylethyl)-2-thiazolyl]ethynyl]phenyl]- (9CI) (CA INDEX NAME)



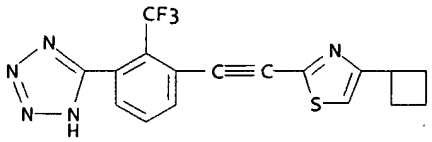
RN 211938-31-7 HCAPLUS
CN 1H-Tetrazole, 5-[2-fluoro-3-[(4-propyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



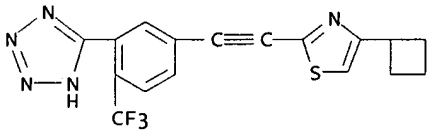
RN 211938-32-8 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methylphenyl]-
(9CI) (CA INDEX NAME)



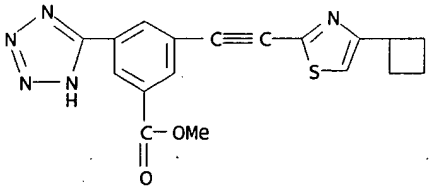
RN 211938-33-9 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-
(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



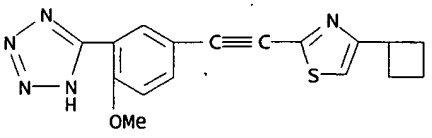
RN 211938-34-0 HCAPLUS
CN 1H-Tetrazole, 5-[5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-
(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



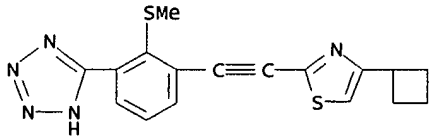
RN 211938-35-1 HCAPLUS
CN Benzoic acid, 3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-5-(1H-tetrazol-5-yl)-,
methyl ester (9CI) (CA INDEX NAME)



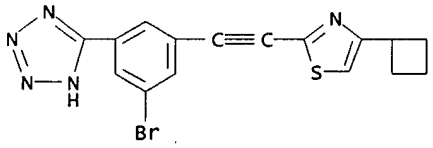
RN 211938-36-2 HCAPLUS
CN 1H-Tetrazole, 5-[5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methoxyphenyl]-
(9CI) (CA INDEX NAME)



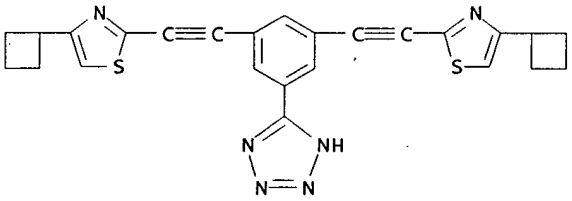
RN 211938-37-3 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-
(methylthio)phenyl]- (9CI) (CA INDEX NAME)



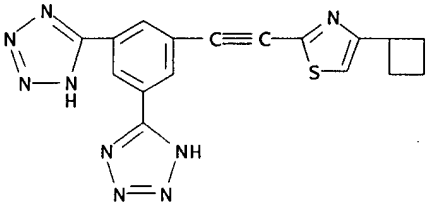
RN 211938-38-4 HCAPLUS
CN 1H-Tetrazole, 5-[3-bromo-5-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



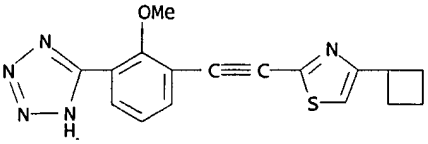
RN 211938-40-8 HCAPLUS
CN 1H-Tetrazole, 5-[3,5-bis[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



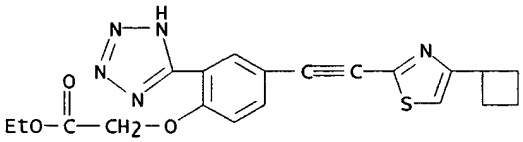
RN 211938-41-9 HCAPLUS
CN 1H-Tetrazole, 5,5'-[5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-1,3-phenylene]bis- (9CI) (CA INDEX NAME)



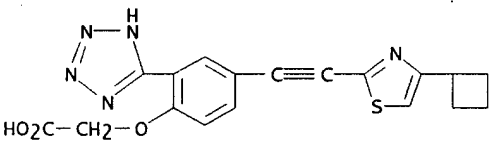
RN 211938-43-1 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)



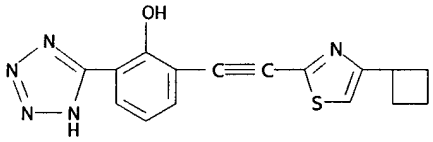
RN 211938-45-3 HCAPLUS
CN Acetic acid, [4-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-(1H-tetrazol-5-yl)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



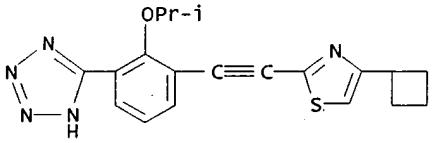
RN 211938-47-5 HCAPLUS
CN Acetic acid, [4-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-(1H-tetrazol-5-yl)phenoxy]- (9CI) (CA INDEX NAME)



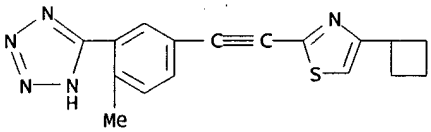
RN 211938-49-7 HCAPLUS
CN Phenol, 2-[(4-cyclobutyl-2-thiazolyl)ethynyl]-6-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



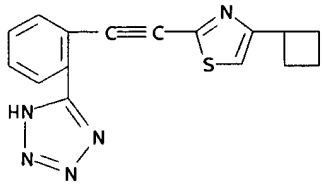
RN 211938-51-1 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-(1-methylethoxy)phenyl]- (9CI) (CA INDEX NAME)



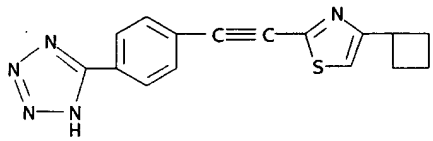
RN 211938-53-3 HCAPLUS
CN 1H-Tetrazole, 5-[5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methylphenyl]- (9CI) (CA INDEX NAME)



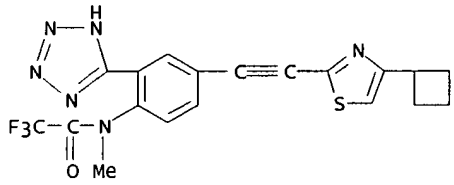
RN 211938-55-5 HCAPLUS
CN 1H-Tetrazole, 5-[2-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



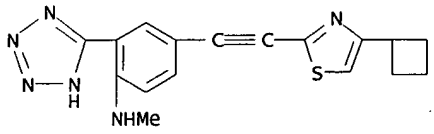
RN 211938-57-7 HCAPLUS
CN 1H-Tetrazole, 5-[4-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



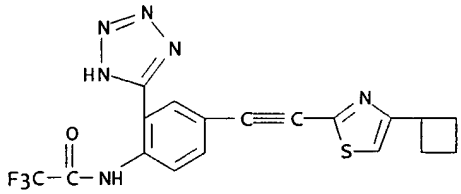
RN 211938-59-9 HCAPLUS
CN Acetamide, N-[4-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-(1H-tetrazol-5-yl)phenyl]-2,2,2-trifluoro-N-methyl- (9CI) (CA INDEX NAME)



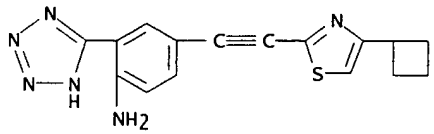
RN 211938-61-3 HCAPLUS
CN Benzenamine, 4-[(4-cyclobutyl-2-thiazolyl)ethynyl]-N-methyl-2-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



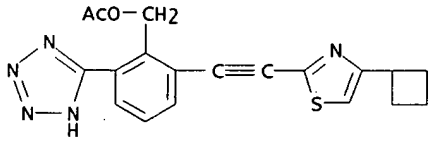
RN 211938-63-5 HCAPLUS
CN Acetamide, N-[4-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-(1H-tetrazol-5-yl)phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



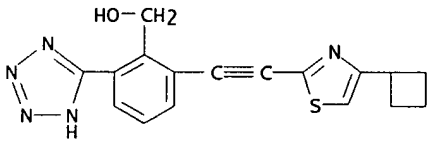
RN 211938-65-7 HCAPLUS
CN Benzenamine, 4-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



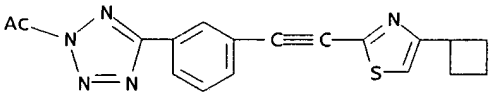
RN 211938-67-9 HCAPLUS
CN Benzenemethanol, 2-[(4-cyclobutyl-2-thiazolyl)ethynyl]-6-(1H-tetrazol-5-yl)-, acetate (ester) (9CI) (CA INDEX NAME)



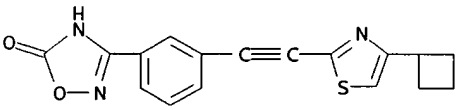
RN 211938-69-1 HCAPLUS
CN Benzenemethanol, 2-[(4-cyclobutyl-2-thiazolyl)ethynyl]-6-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 211938-72-6 HCAPLUS
CN 2H-Tetrazole, 2-acetyl-5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)

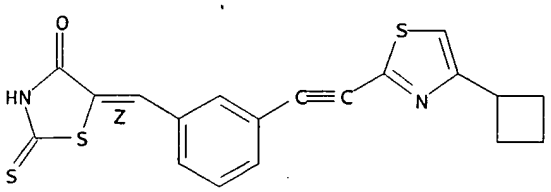


RN 211938-74-8 HCAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)

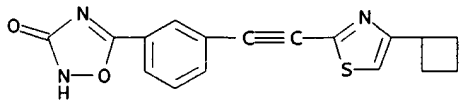


RN 211938-76-0 HCAPLUS
CN 4-Thiazolidinone, 5-[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]methylene]-2-thioxo-, (5Z)- (9CI) (CA INDEX NAME)

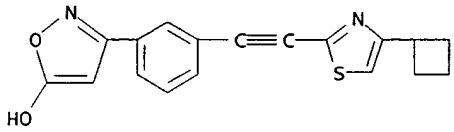
Double bond geometry as shown.



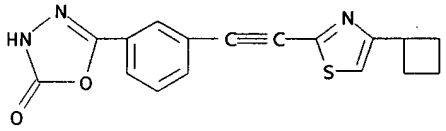
RN 211938-78-2 HCAPLUS
CN 1,2,4-Oxadiazol-3(2H)-one, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



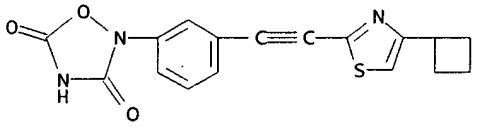
RN 211938-80-6 HCAPLUS
CN 5-Isoxazolol, 3-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



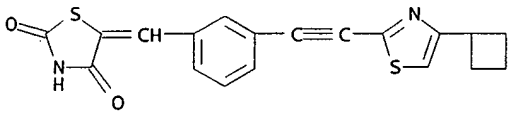
RN 211938-82-8 HCAPLUS
CN 1,3,4-Oxadiazol-2(3H)-one, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



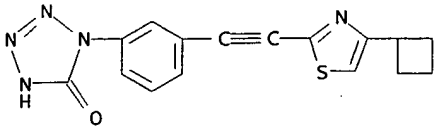
RN 211938-84-0 HCAPLUS
CN 1,2,4-Oxadiazolidine-3,5-dione, 2-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



RN 211938-86-2 HCAPLUS
CN 2,4-Thiazolidinedione, 5-[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]methylene]- (9CI) (CA INDEX NAME)

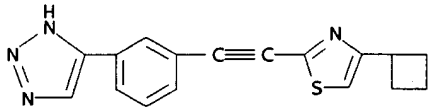


RN 211938-87-3 HCAPLUS
CN 5H-Tetrazol-5-one, 1-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-1,2-dihydro- (9CI) (CA INDEX NAME)

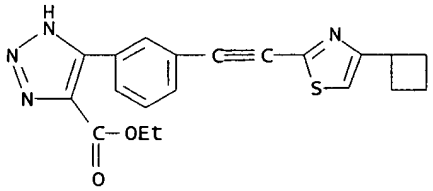


RN 211938-88-4 HCAPLUS

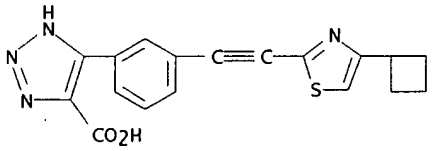
CN 1H-1,2,3-Triazole, 4-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



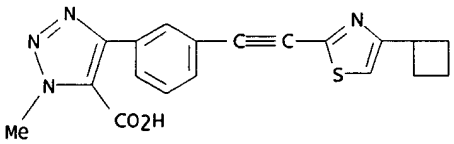
RN 211938-89-5 HCAPLUS
CN 1H-1,2,3-Triazole-4-carboxylic acid, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



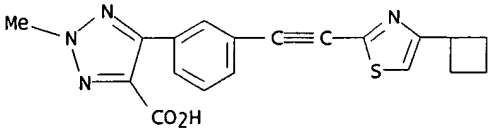
RN 211938-90-8 HCAPLUS
CN 1H-1,2,3-Triazole-4-carboxylic acid, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



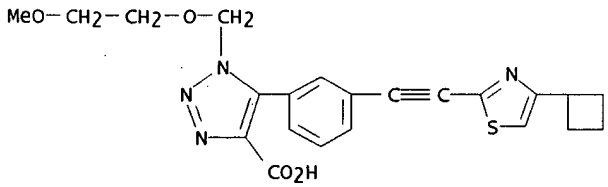
RN 211938-91-9 HCAPLUS
CN 1H-1,2,3-Triazole-5-carboxylic acid, 4-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-1-methyl- (9CI) (CA INDEX NAME)



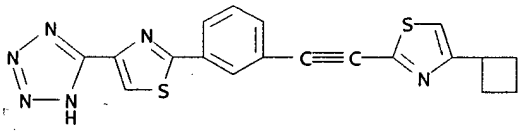
RN 211938-92-0 HCAPLUS
CN 2H-1,2,3-Triazole-4-carboxylic acid, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



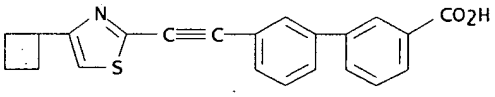
RN 211938-93-1 HCAPLUS
CN 1H-1,2,3-Triazole-4-carboxylic acid, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-1-[(2-methoxyethoxy)methyl]- (9CI) (CA INDEX NAME)



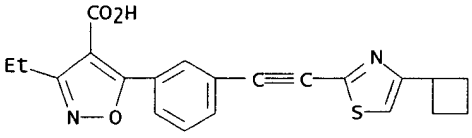
RN 211938-94-2 HCAPLUS
CN 1H-Tetrazole, 5-[2-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



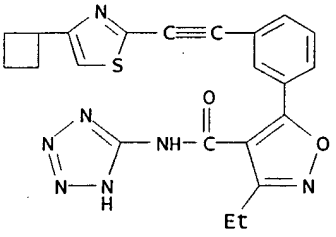
RN 211938-95-3 HCAPLUS
CN [1,1'-Biphenyl]-3-carboxylic acid, 3'-[(4-cyclobutyl-2-thiazolyl)ethynyl]- (9CI) (CA INDEX NAME)



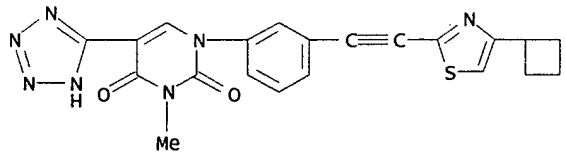
RN 211938-96-4 HCAPLUS
CN 4-Isoxazolecarboxylic acid, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-ethyl- (9CI) (CA INDEX NAME)



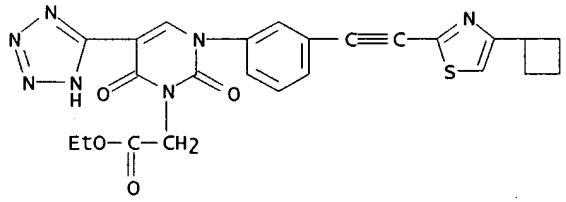
RN 211938-97-5 HCAPLUS
CN 4-Isoxazolecarboxamide, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-ethyl-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



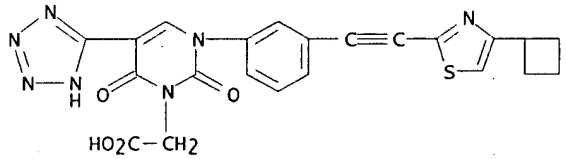
RN 211938-98-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-methyl-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



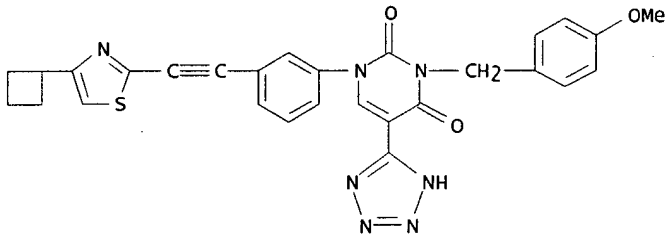
RN 211938-99-7 HCAPLUS
CN 1(2H)-Pyrimidineacetic acid, 3-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3,6-dihydro-2,6-dioxo-5-(1H-tetrazol-5-yl)-, ethyl ester (9CI) (CA INDEX NAME)



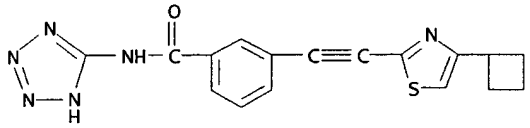
RN 211939-00-3 HCAPLUS
CN 1(2H)-Pyrimidineacetic acid, 3-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3,6-dihydro-2,6-dioxo-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



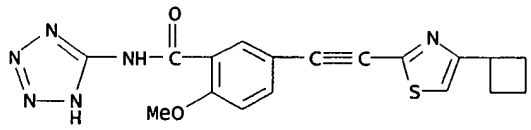
RN 211939-01-4 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-[(4-methoxyphenyl)methyl]-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



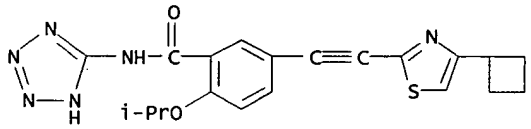
RN 211939-02-5 HCAPLUS
CN Benzamide, 3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



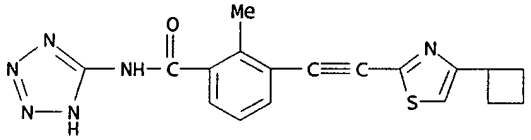
RN 211939-03-6 HCAPLUS
CN Benzamide, 5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methoxy-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



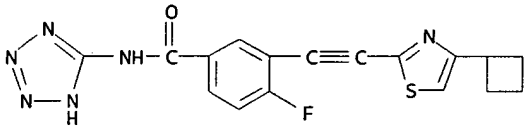
RN 211939-04-7 HCAPLUS
CN Benzamide, 5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-(1-methylethoxy)-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



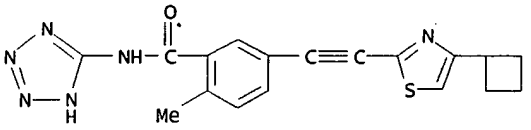
RN 211939-05-8 HCAPLUS
CN Benzamide, 3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methyl-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



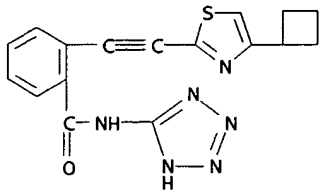
RN 211939-06-9 HCAPLUS
CN Benzamide, 3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-4-fluoro-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



RN 211939-07-0 HCAPLUS
CN Benzamide, 5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methyl-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

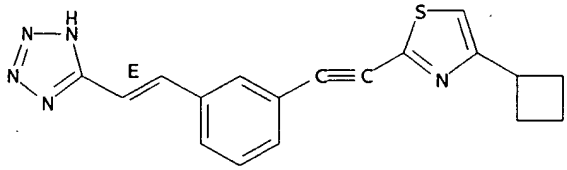


RN 211939-08-1 HCAPLUS
CN Benzamide, 2-[(4-cyclobutyl-2-thiazolyl)ethynyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



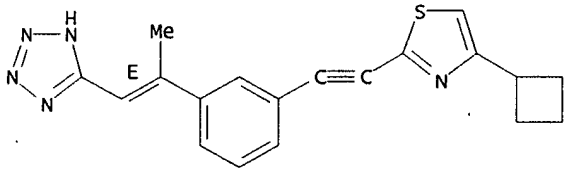
RN 211939-09-2 HCAPLUS
CN 1H-Tetrazole, 5-[(1E)-2-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



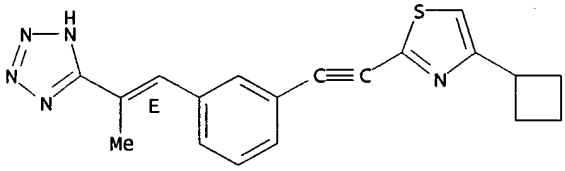
RN 211939-10-5 HCAPLUS
CN 1H-Tetrazole, 5-[(1E)-2-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

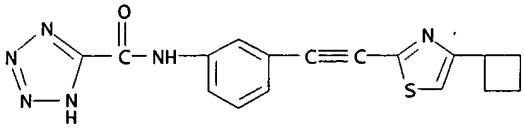


RN 211939-11-6 HCAPLUS
CN 1H-Tetrazole, 5-[(1E)-2-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-1-methylethenyl]- (9CI) (CA INDEX NAME)

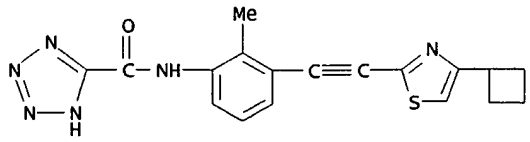
Double bond geometry as shown.



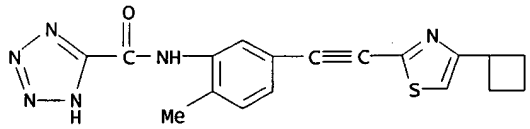
RN 211939-15-0 HCAPLUS
CN 1H-Tetrazole-5-carboxamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



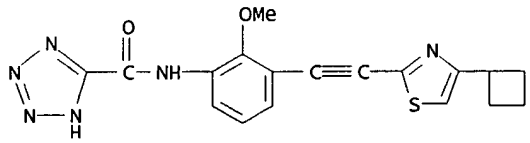
RN 211939-16-1 HCAPLUS
CN 1H-Tetrazole-5-carboxamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methylphenyl]- (9CI) (CA INDEX NAME)



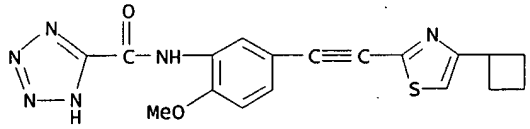
RN 211939-17-2 HCAPLUS
CN 1H-Tetrazole-5-carboxamide, N-[5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methylphenyl]- (9CI) (CA INDEX NAME)



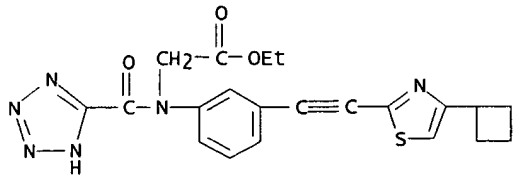
RN 211939-18-3 HCAPLUS
CN 1H-Tetrazole-5-carboxamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)



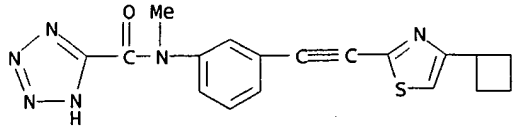
RN 211939-19-4 HCAPLUS
CN 1H-Tetrazole-5-carboxamide, N-[5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)



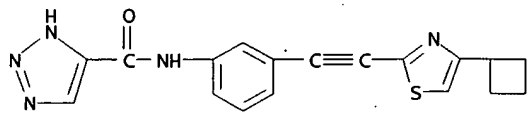
RN 211939-20-7 HCAPLUS
CN Glycine, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-N-(1H-tetrazol-5-ylcarbonyl)-, ethyl ester (9CI) (CA INDEX NAME)



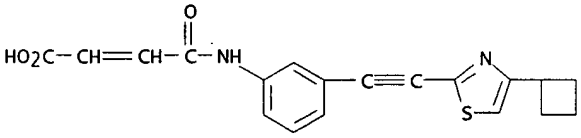
RN 211939-21-8 HCAPLUS
CN 1H-Tetrazole-5-carboxamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



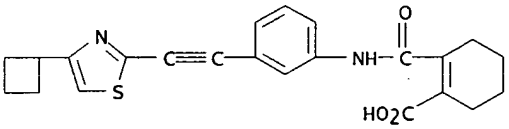
RN 211939-22-9 HCAPLUS
CN 1H-1,2,3-Triazole-4-carboxamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



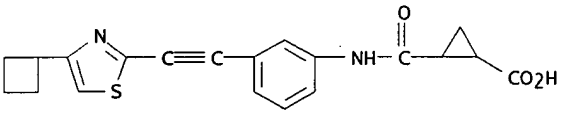
RN 211939-23-0 HCAPLUS
CN 2-Butenoic acid, 4-[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



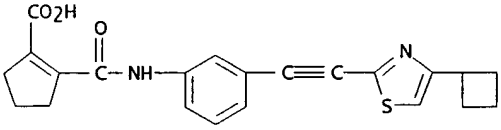
RN 211939-24-1 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 2-[[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 211939-25-2 HCAPLUS
CN Cyclopropanecarboxylic acid, 2-[[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

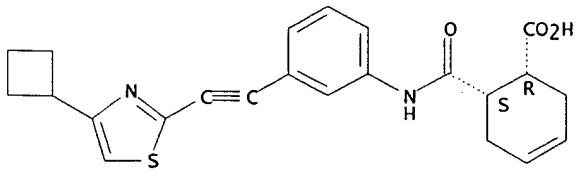


RN 211939-26-3 HCAPLUS
CN 1-Cyclopentene-1-carboxylic acid, 2-[[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

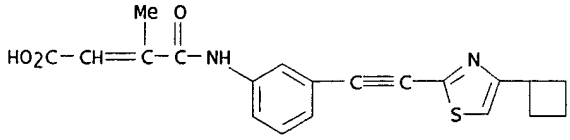


RN 211939-27-4 HCAPLUS
CN 3-Cyclohexene-1-carboxylic acid, 6-[[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]carbonyl]-, (1R,6S)-rel- (9CI) (CA INDEX NAME)

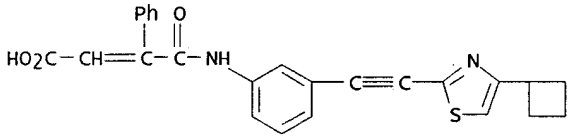
Relative stereochemistry.



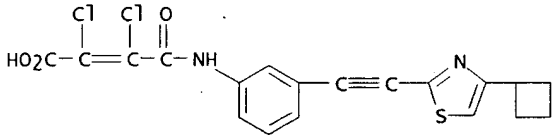
RN 211939-28-5 HCAPLUS
CN 2-Butenoic acid, 4-[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]-3-methyl-4-oxo- (9CI) (CA INDEX NAME)



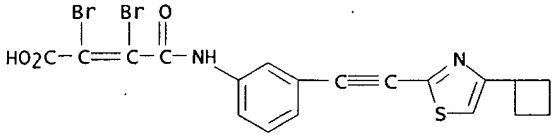
RN 211939-29-6 HCAPLUS
CN 2-Butenoic acid, 4-[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]-4-oxo-3-phenyl- (9CI) (CA INDEX NAME)



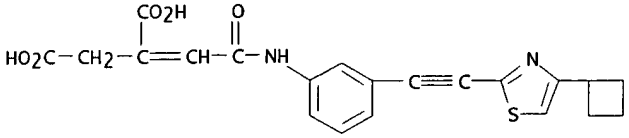
RN 211939-30-9 HCAPLUS
CN 2-Butenoic acid, 2,3-dichloro-4-[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 211939-31-0 HCAPLUS
CN 2-Butenoic acid, 2,3-dibromo-4-[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



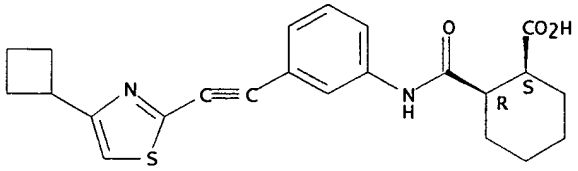
RN 211939-32-1 HCAPLUS
CN Butanedioic acid, [2-[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]-2-oxoethylidene]- (9CI) (CA INDEX NAME)



RN 211939-33-2 HCAPLUS

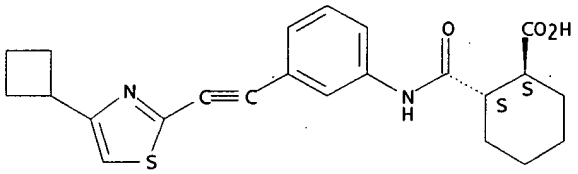
CN Cyclohexanecarboxylic acid, 2-[[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]carbonyl]-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



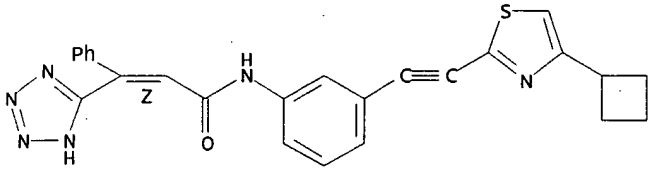
RN 211939-34-3 HCAPLUS
CN Cyclohexanecarboxylic acid, 2-[[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]carbonyl]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



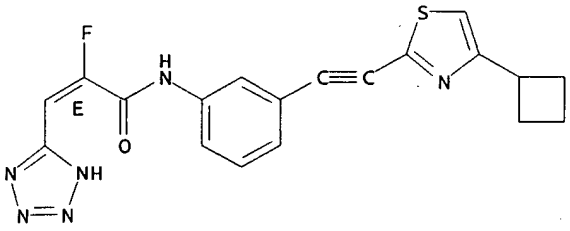
RN 211939-36-5 HCAPLUS
CN 2-Propenamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-phenyl-3-(1H-tetrazol-5-yl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



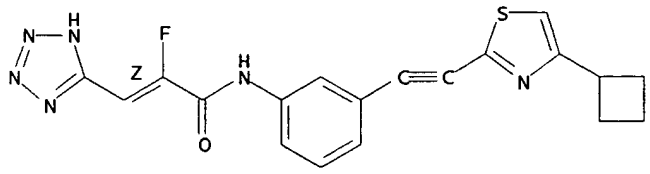
RN 211939-37-6 HCAPLUS
CN 2-Propenamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-fluoro-3-(1H-tetrazol-5-yl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



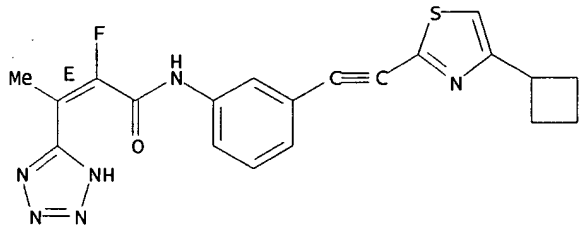
RN 211939-38-7 HCAPLUS
CN 2-Propenamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-fluoro-3-(1H-tetrazol-5-yl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



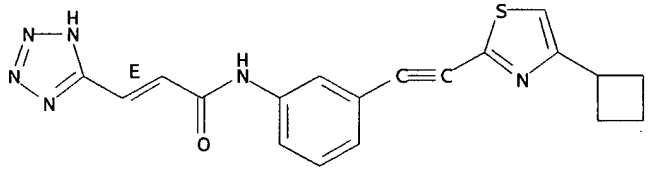
RN 211939-39-8 HCAPLUS
CN 2-Butenamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-fluoro-3-(1H-tetrazol-5-yl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

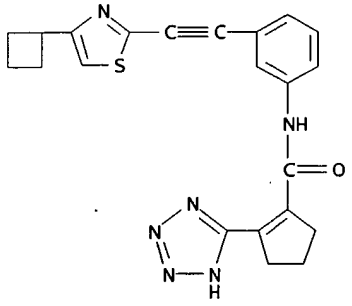


RN 211939-40-1 HCAPLUS
CN 2-Propenamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-(1H-tetrazol-5-yl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

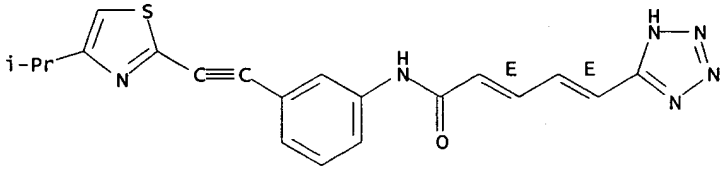


RN 211939-41-2 HCAPLUS
CN 1-Cyclopentene-1-carboxamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

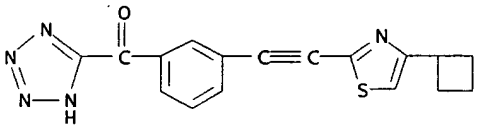


RN 211939-42-3 HCAPLUS
CN 2,4-Pentadienamide, N-[3-[[4-(1-methylethyl)-2-thiazolyl]ethynyl]phenyl]-5-(1H-tetrazol-5-yl)-, (2E,4E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

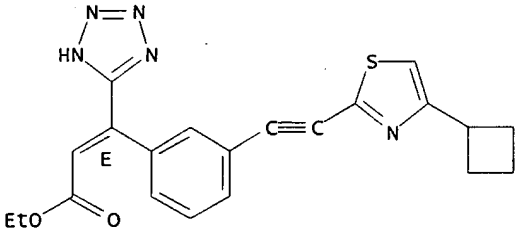


RN 211939-43-4 HCAPLUS
CN Methanone, [3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

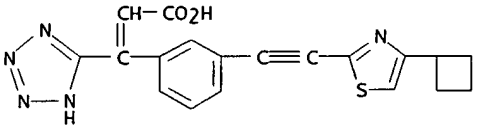


RN 211939-44-5 HCAPLUS
CN 2-Propenoic acid, 3-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-(1H-tetrazol-5-yl)-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

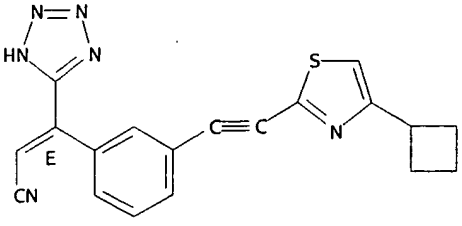


RN 211939-45-6 HCAPLUS
CN 2-Propenoic acid, 3-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

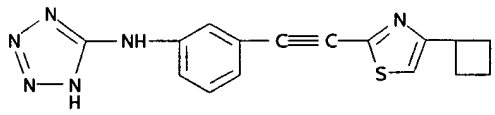


RN 211939-46-7 HCAPLUS
CN 2-Propenenitrile, 3-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-(1H-tetrazol-5-yl)-, (2E)- (9CI) (CA INDEX NAME)

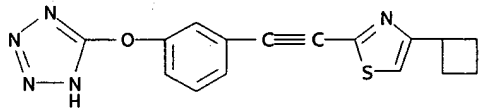
Double bond geometry as shown.



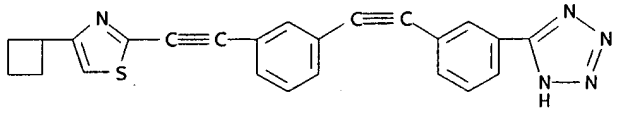
RN 211939-47-8 HCAPLUS
CN 1H-Tetrazol-5-amine, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]- (9CI) (CA INDEX NAME)



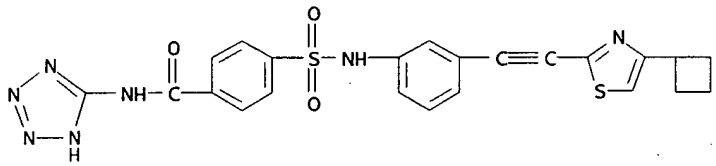
RN 211939-48-9 HCAPLUS
CN 1H-Tetrazole, 5-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenoxy]- (9CI) (CA INDEX NAME)



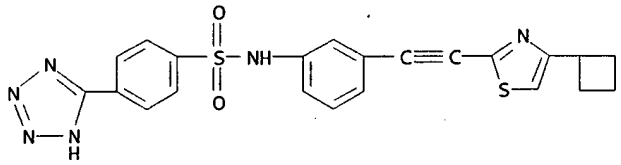
RN 211939-49-0 HCAPLUS
CN 1H-Tetrazole, 5-[3-[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]ethynyl]phenyl]- (9CI) (CA INDEX NAME)



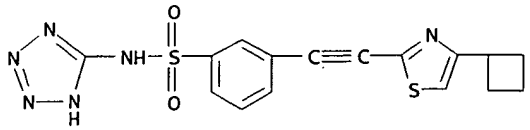
RN 211939-50-3 HCAPLUS
CN Benzamide, 4-[[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]sulfonyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



RN 211939-51-4 HCAPLUS
CN Benzenesulfonamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

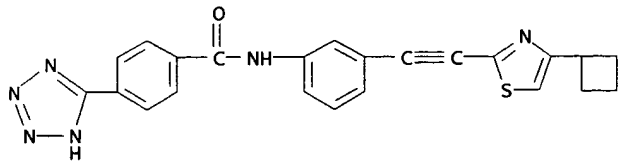


RN 211939-52-5 HCAPLUS
CN Benzenesulfonamide, 3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

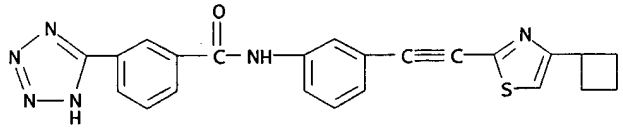


RN 211939-53-6 HCAPLUS

CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 211939-54-7 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 211939-55-8 HCAPLUS
CN Glycine, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-N-[4-[2-[(4-methoxyphenyl)methyl]-2H-tetrazol-5-yl]benzoyl]- (9CI) (CA INDEX NAME)

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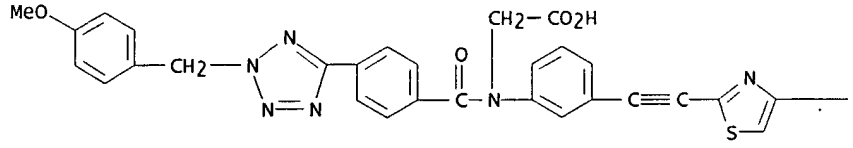

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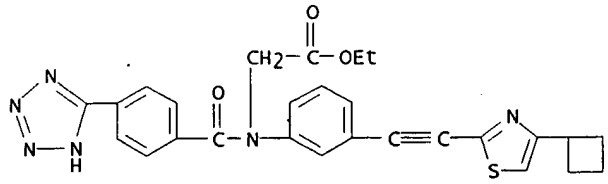
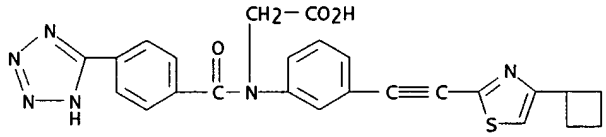
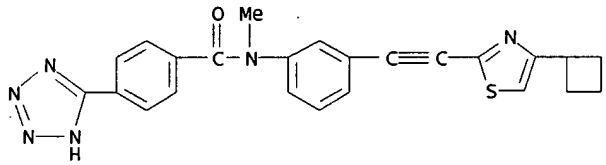
RN 211939-56-9 HCAPLUS
CN Glycine, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-N-[4-(1H-tetrazol-5-yl)benzoyl]-, ethyl ester (9CI) (CA INDEX NAME)



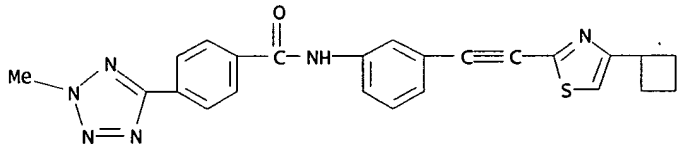
RN 211939-57-0 HCAPLUS
CN Glycine, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-N-[4-(1H-tetrazol-5-yl)benzoyl]- (9CI) (CA INDEX NAME)



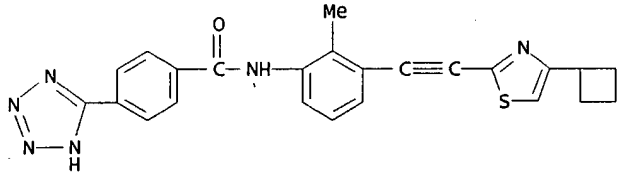
RN 211939-58-1 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-N-methyl-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



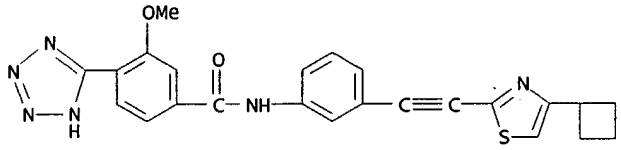
RN 211939-59-2 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-4-(2-methyl-2H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



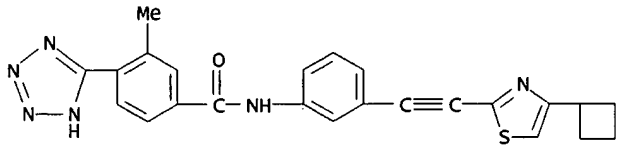
RN 211939-61-6 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methylphenyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



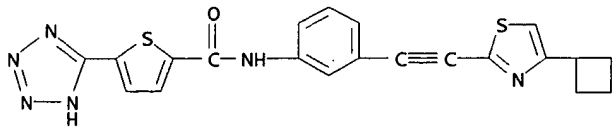
RN 211939-62-7 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-methoxy-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



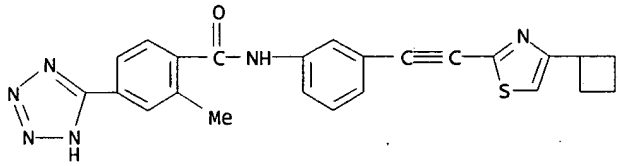
RN 211939-64-9 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-methyl-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



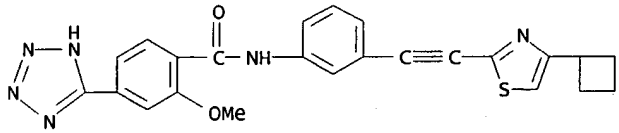
RN 211939-66-1 HCAPLUS
CN 2-Thiophenecarboxamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



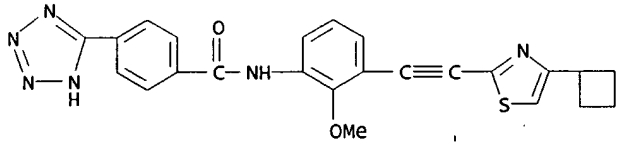
RN 211939-68-3 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-methyl-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



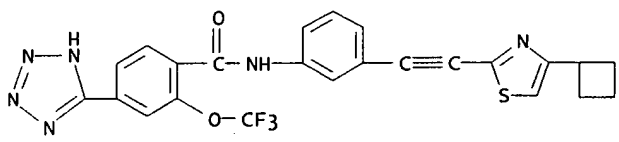
RN 211939-69-4 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-methoxy-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



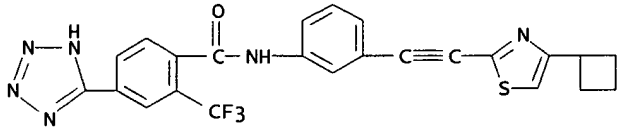
RN 211939-70-7 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methoxyphenyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



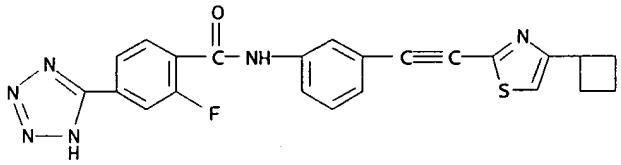
RN 211939-71-8 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-4-(1H-tetrazol-5-yl)-2-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



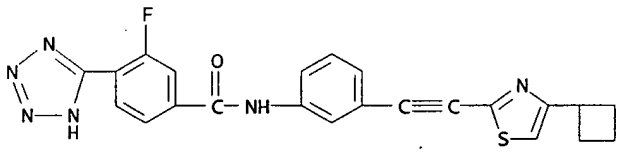
RN 211939-72-9 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-4-(1H-tetrazol-5-yl)-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



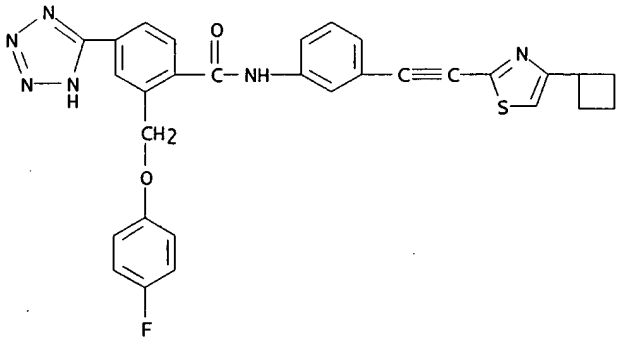
RN 211939-73-0 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-fluoro-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



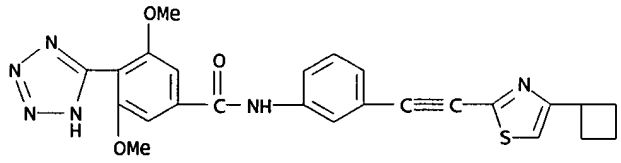
RN 211939-74-1 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-fluoro-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



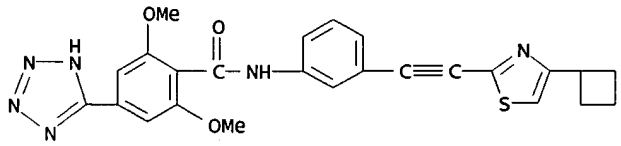
RN 211939-75-2 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-[(4-fluorophenoxy)methyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



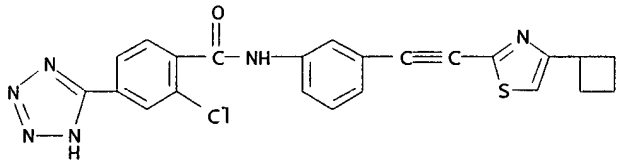
RN 211939-76-3 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3,5-dimethoxy-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



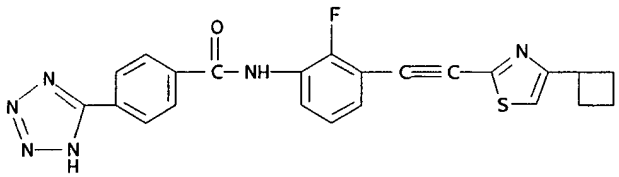
RN 211939-77-4 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2,6-dimethoxy-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



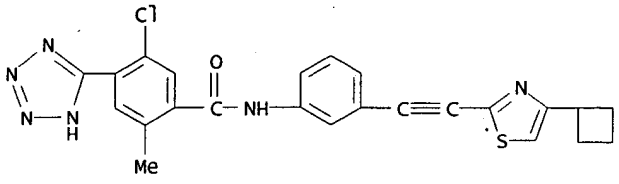
RN 211939-78-5 HCAPLUS
CN Benzamide, 2-chloro-N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



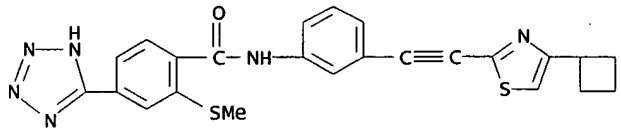
RN 211939-79-6 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-fluorophenyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



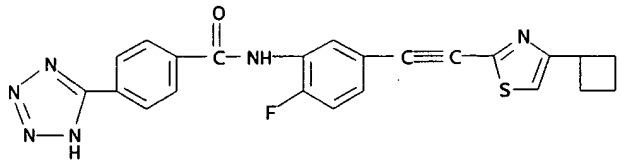
RN 211939-80-9 HCAPLUS
CN Benzamide, 5-chloro-N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-methyl-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



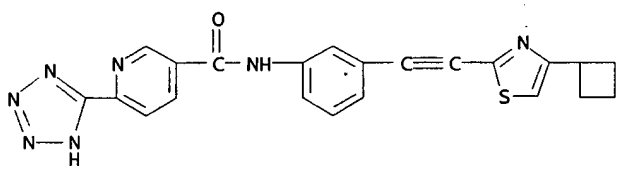
RN 211939-81-0 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-(methylthio)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



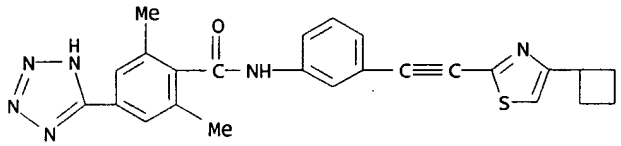
RN 211939-82-1 HCAPLUS
CN Benzamide, N-[5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-fluorophenyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



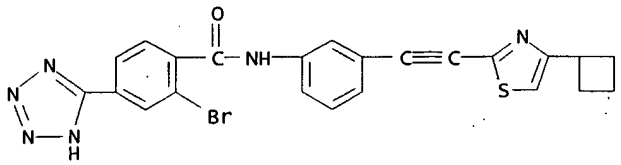
RN 211939-83-2 HCAPLUS
CN 3-Pyridinecarboxamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-6-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



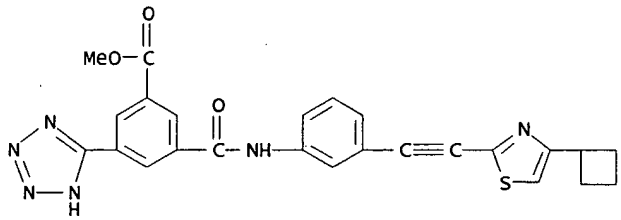
RN 211939-84-3 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2,6-dimethyl-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



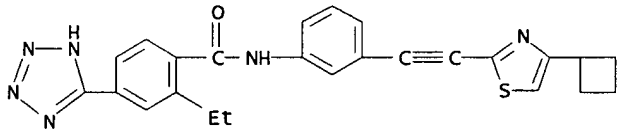
RN 211939-85-4 HCAPLUS
CN Benzamide, 2-bromo-N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



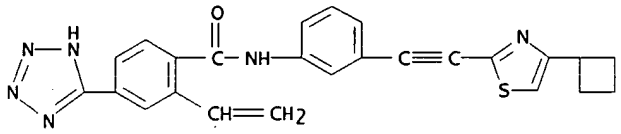
RN 211939-86-5 HCAPLUS
CN Benzoic acid, 3-[[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]carbonyl]-5-(1H-tetrazol-5-yl)-, methyl ester (9CI) (CA INDEX NAME)



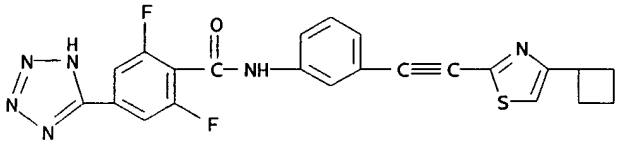
RN 211939-87-6 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-ethyl-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



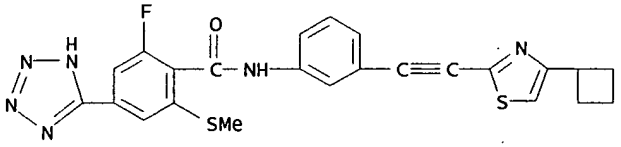
RN 211939-88-7 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-ethenyl-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



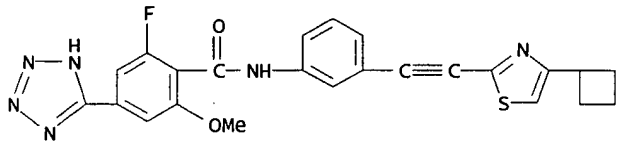
RN 211939-89-8 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2,6-difluoro-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



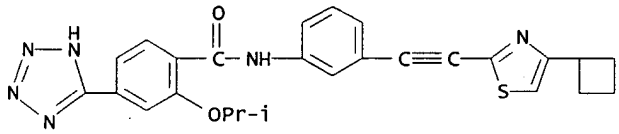
RN 211939-90-1 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-fluoro-6-(methylthio)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



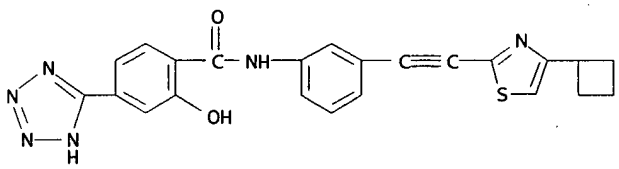
RN 211939-91-2 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-fluoro-6-methoxy-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



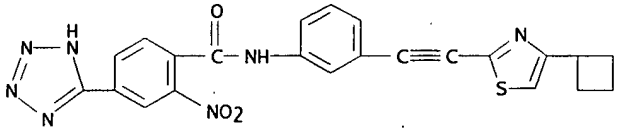
RN 211939-92-3 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-(1-methylethoxy)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



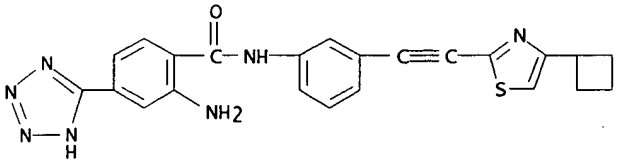
RN 211939-93-4 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-hydroxy-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



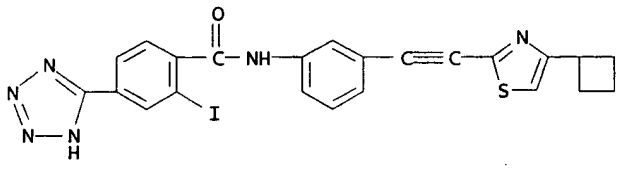
RN 211939-94-5 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-nitro-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



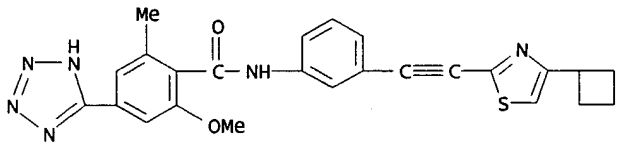
RN 211939-95-6 HCAPLUS
CN Benzamide, 2-amino-N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



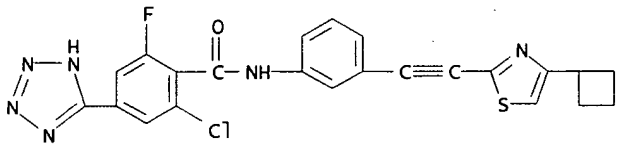
RN 211939-96-7 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-iodo-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



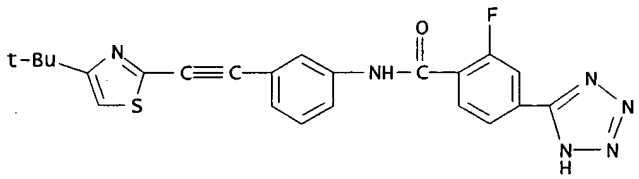
RN 211939-97-8 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-methoxy-6-methyl-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



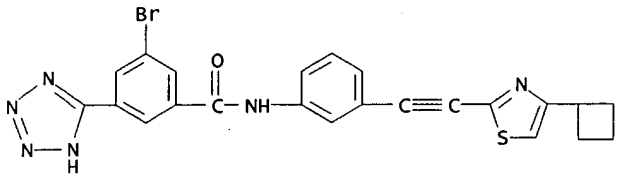
RN 211939-98-9 HCAPLUS
CN Benzamide, 2-chloro-N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-6-fluoro-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



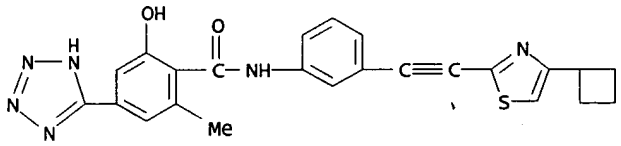
RN 211939-99-0 HCAPLUS
CN Benzamide, N-[3-[[4-(1,1-dimethylethyl)-2-thiazolyl]ethynyl]phenyl]-2-fluoro-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



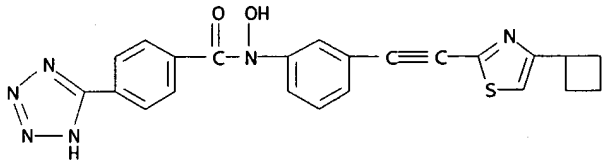
RN 211940-00-0 HCAPLUS
CN Benzamide, 3-bromo-N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



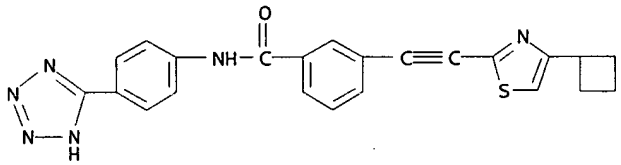
RN 211940-01-1 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-2-hydroxy-6-methyl-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



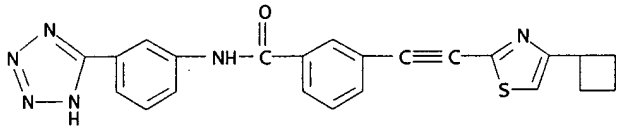
RN 211940-02-2 HCAPLUS
CN Benzamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-N-hydroxy-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



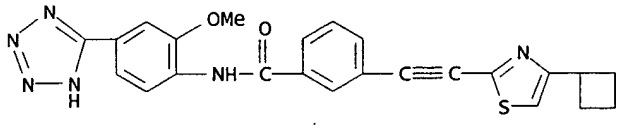
RN 211940-03-3 HCAPLUS
CN Benzamide, 3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



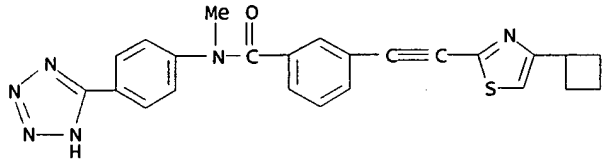
RN 211940-04-4 HCAPLUS
CN Benzamide, 3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-N-[3-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



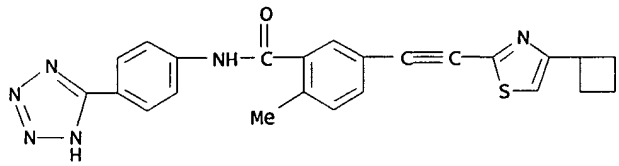
RN 211940-05-5 HCAPLUS
CN Benzamide, 3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-N-[2-methoxy-4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



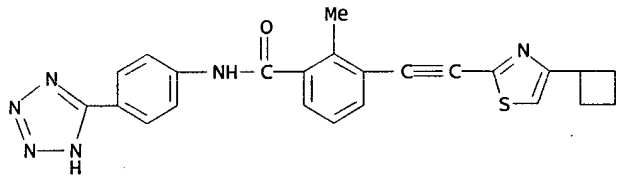
RN 211940-06-6 HCAPLUS
CN Benzamide, 3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-N-methyl-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



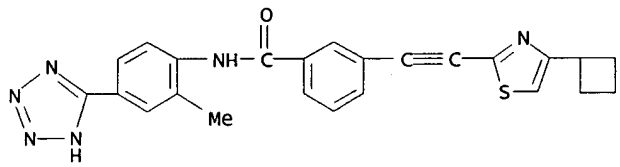
RN 211940-07-7 HCAPLUS
CN Benzamide, 5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methyl-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



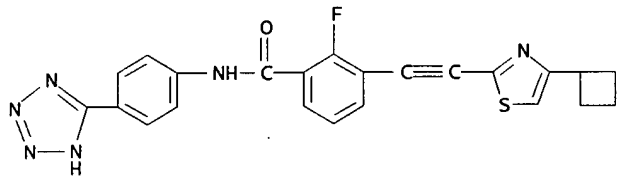
RN 211940-08-8 HCAPLUS
CN Benzamide, 3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-methyl-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



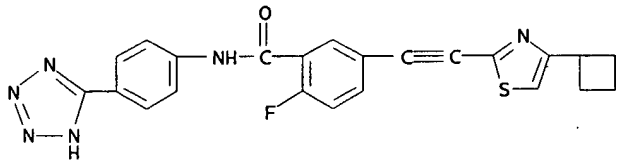
RN 211940-09-9 HCAPLUS
CN Benzamide, 3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-N-[2-methyl-4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



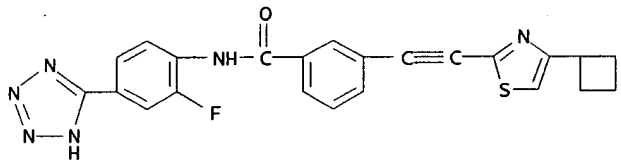
RN 211940-10-2 HCAPLUS
CN Benzamide, 3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-fluoro-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 211940-11-3 HCAPLUS
CN Benzamide, 5-[(4-cyclobutyl-2-thiazolyl)ethynyl]-2-fluoro-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 211940-12-4 HCAPLUS
CN Benzamide, 3-[(4-cyclobutyl-2-thiazolyl)ethynyl]-N-[2-fluoro-4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

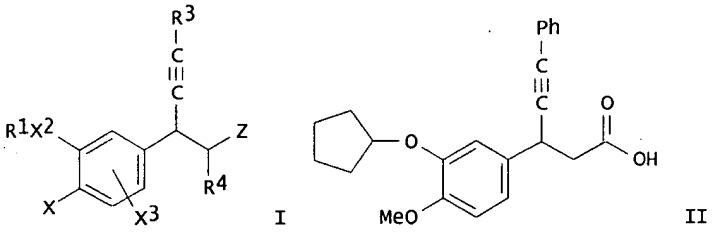


=> d bib abs hitstr 154 4

L54 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:224040 HCAPLUS
DN 126:211918
TI Substituted pent-4-ynoic acids useful for inhibiting production of tumor necrosis factor (TNF)
IN Christensen, Siegfried B., IV; Karpinski, Josph M.; Frazee, James S.
PA Smithkline Beecham Corporation, USA; Christensen, Siegfried B., IV.; Karpinski, Josph M.; Frazee, James S.
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9703945	A1	19970206	WO 1996-US11613	19960712
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9664903	A1	19970218	AU 1996-64903	19960712
EP 827495	A1	19980311	EP 1996-924459	19960712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
US 6037367	A	20000314	US 1998-716359	19980914
PRAI US 1995-1196	19950714			
US 1996-16717	19960502			
WO 1996-US11613	19960712			

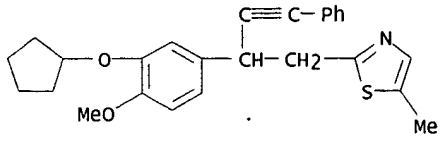
OS MARPAT 126:211918
GI



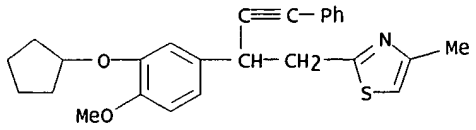
AB Title compds. I [R1 = wide variety of sidechains contg. esters, amides, ethers, and a variety of functional groups; X = YR2, F, (di)(alkyl)amino, formylamino; Y = O, S, SO, SO2; X2 = O, NH, (fluoro)(alkyl)imino; X3 = H, X; Z = acyl, CO2H and derivs., NH2 and derivs., certain (un)substituted azoles; R2 = Me, Et, or their halo derivs.; R3 = H, alkyl, Ph, phenylalkyl, pyrimidyl(alkyl), imidazolyl(alkyl); R4 = H, acyl, CO2H or esters, CONH2 or derivs., OH or SH or derivs.] and their pharmaceutically acceptable salts are claimed, and approx. 140 examples were prepd. As inhibitors of the enzyme PDE IV (no data), I are useful for treatment of allergy, inflammation, and asthma. As inhibitors of TNF (tumor necrosis factor) prodn. in mammals (no data), I are also useful for treating viral infections (including HIV) and yeast or fungal infections which are sensitive to TNF. For instance, the acid II was prepd. in 3 steps. Specifically, 2,2-dimethyl-1,3-dioxane-4,6-dione was condensed with 3-(cyclopentyloxy)-4-methoxybenzaldehyde to give the 5-benzylidene deriv. (93%), which underwent alkynylation with PhC.tplbond.CLi (84%), followed by hydrolysis with aq. HCl in dioxane, and thermal decarboxylation in AcNMe2 at 135.degree. (82%), to give II.

IT 188009-39-4P 188009-40-7P 188009-51-0P
188009-53-2P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted pentynoic acids useful as inhibitors of TNF prodn.)

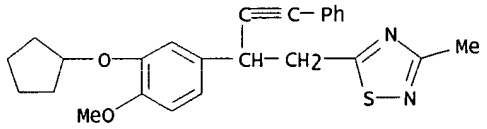
RN 188009-39-4 HCAPLUS
CN Thiazole, 2-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-phenyl-3-butynyl]-5-methyl- (9CI) (CA INDEX NAME)



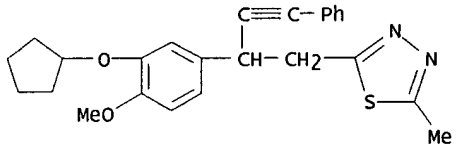
RN 188009-40-7 HCAPLUS
CN Thiazole, 2-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-phenyl-3-butynyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 188009-51-0 HCAPLUS
CN 1,2,4-Thiadiazole, 5-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-phenyl-3-butynyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 188009-53-2 HCAPLUS
CN 1,3,4-Thiadiazole, 2-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-phenyl-3-butynyl]-5-methyl- (9CI) (CA INDEX NAME)

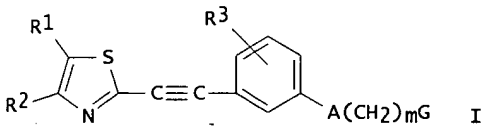


=> d bib abs hitstr l54 5

L54 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:746320 HCAPLUS
DN 126:18869
TI Preparation of (arylethynyl)thiazole derivatives as leukotriene antagonists.
IN Nakayama, Atsushi; Machinaga, Nobuo; Yamaguchi, Hitoshi; Takeda, Toshiyuki; Haruta, Makoto; Ogasawara, Tomomi; Matsumura, Manabu
PA Daiichi Pharmaceutical Co., Ltd., Japan; Nakayama, Atsushi; Machinaga, Nobuo; Yamaguchi, Hitoshi; Takeda, Toshiyuki; Haruta, Makoto; Ogasawara, Tomomi; Matsumura, Manabu
SO PCT Int. Appl., 80 pp
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9633181	A1	19961024	WO 1996-JP1079	19960419
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9653474	A1	19961107	AU 1996-53474	19960419
JP 11506425	T2	19990608	JP 1996-531628	19960419
PRAI JP 1995-97002	19950421			
WO 1996-JP1079	19960419			

OS MARPAT 126:18869
GI



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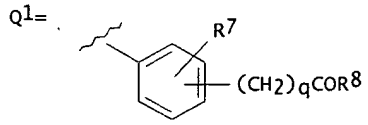
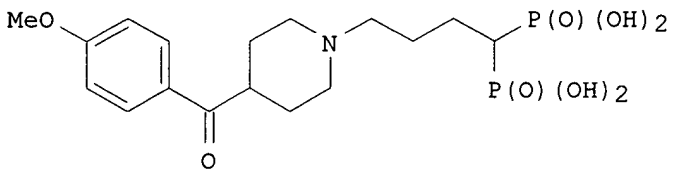


AB Title compds. [I; R1, R2 = H, halo, (substituted) alkyl, cycloalkyl; R1R2 = atoms to form a ring; R3 = H, OH, halo, (substituted) alkyl; A = NHCO, CONH, NHSO2; m = 0-3; G = CR4R5(CH2)nCOR6, Q1; n R4, R5 = H, (substituted) alkyl; R4R5 = atoms to form a ring; n = 0, 1; R6 = OH, (substituted) alkoxy group; R7 = H, OH, halo, (substituted) alkyl alkoxy, cyano, NO2, (substituted) alkoxycarbonyl; q = 0, 1; and R8 = OH, (substituted) alkoxy], were prepd. Thus, 3-[2-(4-cyclobutyl-2-thiazolyl)ethynyl]aniline (prepn. given) was refluxed with homophthalic anhydride in PhMe to give 2-[2-[3-[2-(4-cyclobutyl-2-thiazolyl)ethynyl]phenylamino]-2-oxoethyl]benzoic acid. The latter antagonized LTD4 in guinea pig ileum with IC50 = 3.4 .times. 10-10 M.
IT 184154-26-5P 184154-28-7P 184154-30-1P
184154-32-3P 184154-33-4P 184154-35-6P
184154-52-7P 184154-53-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylethynylthiazole derivs. as leukotriene antagonists)
RN 184154-26-5 HCAPLUS
CN Pentanamide, N-[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]-3-ethyl-3-methyl- (9CI) (CA INDEX NAME)

L31 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:701075 CAPLUS
DOCUMENT NUMBER: 121:301075
TITLE: Preparation of phosphonic acid derivatives useful for medically treating hyperlipemia
INVENTOR(S): Yoshida, Ichirou; Ikuta, Hironori; Fukuda, Yoshio; Eguchi, Yoshihito; Kaino, Makoto; Tagami, Katsuya; Kobayashi, Naoki; Hayashi, Kenji; Hiyoshi, Hironobu; et al.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 363 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
INT. PATENT CLASSIF.:
MAIN: C07F009-38
SECONDARY: A61K031-66; C07F009-40; C07F009-59; C07F009-58; C07F009-655; C07F009-6506; C07F009-6553; C07F009-572; C07F009-6558; C07F009-653; C07F009-6512; C07F009-6533; C07F009-6539; C07F009-6541; C07F9 -6503; C07F9 -6509; C07F9 -6574; C07F9 -62; C07F9 -60
CLASSIFICATION: 29-7 (Organometallic and Organometalloidal Compounds)
Section cross-reference(s): 1
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9420508	A1	19940915	WO 1994-JP354	19940304
W: AU, CA, CN, FI, HU, JP, KR, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9461564	A1	19940926	AU 1994-61564	19940304
EP 688325	A1	19951227	EP 1994-908498	19940304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 72307	A2	19960429	HU 1995-1944	19940304
JP 08508245	T2	19960903	JP 1994-519819	19940304
ZA 9401575	A	19941013	ZA 1994-1575	19940307
US 5719303	A	19980217	US 1995-530311	19950906

PRIORITY APPLN. INFO.: JP 1993-46389 19930308
WO 1994-JP354 19940304
OTHER SOURCE(S): MARPAT 121:301075
GRAPHIC IMAGE:



I

ABSTRACT:
533 Phosphonic acid derivs. RACRBR1P(O)(OR2)(OR3), e.g., I, or their pharmacol.
acceptable salts, useful for medically treating hyperlipemia, were prepd. The compds. of the present invention act as effective squalene synthetase inhibitors (test data given).

SUPPL. TERM: phosphonic acid prepn hyperlipemia; squalene synthetase inhibitor phosphonic acid

INDEX TERM: Lipids, biological studies
ROLE: BAC (Biological activity or effector, except adverse);
THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(metabolic disorders, hyperlipidemia, prepn. of phosphonic acid derivs. useful for medically treating hyperlipemia)

INDEX TERM: 159269-80-4P 159269-81-5P 159269-82-6P 159269-85-9P
159269-90-6P 159269-92-8P 159269-96-2P 159270-00-5P
ROLE: BAC (Biological activity or effector, except adverse);
RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phosphonic acid derivs. useful for medically treating hyperlipemia)

INDEX TERM: 150230-59-4P 150230-60-7P 159269-83-7P 159269-84-8P
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ROLE: BAC (Biological activity or effector, except adverse);
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phosphonic acid derivs. useful for medically treating hyperlipemia)

INDEX TERM:
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159274-01-8P 159274-02-9P 159274-03-0P 159274-04-1P
159274-05-2P 159274-06-3P 159274-07-4P 159274-08-5P
159274-09-6P 159274-10-9P 159274-11-0P 159274-12-1P
159274-13-2P 159274-14-3P 159274-15-4P 159274-16-5P
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159274-21-2P 159274-22-3P 159274-23-4P 159274-24-5P
159274-25-6P 159274-26-7P 159274-27-8P 159274-28-9P
159274-29-0P 159274-30-3P 159274-31-4P 159274-32-5P
159274-33-6P 159274-34-7P 159274-35-8P 159274-36-9P
159274-37-0P 159274-38-1P 159274-39-2P 159274-40-5P
159274-41-6P 159274-42-7P 159274-43-8P 159274-44-9P
159274-45-0P 159274-46-1P 159274-47-2P 159274-48-3P
159274-49-4P 159274-50-7P 159274-51-8P 159274-52-9P
159274-53-0P 159274-54-1P 159274-55-2P
ROLE: BAC (Biological activity or effector, except adverse);
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phosphonic acid derivs. useful for medically treating hyperlipemia)

INDEX TERM: 159274-56-3P 159274-57-4P 159274-58-5P 159274-59-6P
159274-60-9P 159274-61-0P 159274-62-1P 159274-63-2P
159274-64-3P 159274-65-4P 159274-66-5P 159274-67-6P
159274-68-7P 159274-69-8P 159274-70-1P 159274-71-2P
159274-72-3P 159274-73-4P 159274-74-5P 159274-75-6P
159274-76-7P 159274-77-8P 159274-78-9P 159274-80-3P
159274-81-4P 159274-82-5P 159274-83-6P 159274-84-7P
159274-85-8P 159274-86-9P 159274-87-0P 159274-88-1P
159274-89-2P 159274-90-5P 159274-91-6P 159274-92-7P

159274-93-8P 159274-94-9P 159274-95-0P 159274-96-1P
159274-97-2P 159274-98-3P 159274-99-4P 159275-00-0P
159275-01-1P 159275-02-2P 159275-03-3P 159275-04-4P
159275-05-5P 159275-06-6P 159275-08-8P 159275-09-9P
159275-10-2P 159275-11-3P 159275-12-4P 159275-13-5P
ROLE: BAC (Biological activity or effector, except adverse);
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phosphonic acid derivs. useful for medically treating hyperlipemia)

INDEX TERM: 68-12-2, reactions 91-57-6, 2-Methylnaphthalene
98-59-9,
p-Toluenesulfonyl chloride 98-88-4, Benzoyl chloride
103-67-3, N-Methylbenzylamine 108-39-4, reactions
109-70-6, 1-Bromo-3-chloropropane 122-51-0, Ethyl orthoformate 124-63-0, Methanesulfonyl chloride
141-97-9, Ethyl acetoacetate 501-53-1 762-04-9, Diethyl phosphite 814-49-3, Diethyl chlorophosphate 821-11-4
867-13-0, Triethyl phosphonoacetate 1660-94-2, Tetraethyl methylenediphosphonate 1885-14-9, Phenyl chloroformate
2045-79-6 2620-50-0, Piperonylamine 2857-97-8,
Trimethylsilyl bromide 3682-19-7 3796-70-1 6117-80-2
6457-49-4, 4-Piperidinemethanol 7087-68-5,
N,N-Diisopropylethylamine 7693-46-1 10416-59-8
25519-78-2 33821-94-2 40681-88-7 53064-79-2,
Iodomethyl pivalate 58479-61-1 63343-64-6 76362-12-4
79026-11-2 108298-18-6 111773-01-4 119402-67-4
141816-04-8 150250-34-3 150250-35-4 159275-46-4
159275-47-5 159275-48-6 159275-49-7 159275-50-0
ROLE: RCT (Reactant)
(prepn. of phosphonic acid derivs. useful for medically treating hyperlipemia)

INDEX TERM: 614-32-4P 939-26-4P 3161-52-2P 65109-84-4P
68427-26-9P 122860-33-7P 123802-05-1P 152126-99-3P
159275-14-6P 159275-15-7P 159275-16-8P 159275-17-9P
159275-18-0P 159275-19-1P 159275-20-4P 159275-21-5P
159275-22-6P 159275-23-7P 159275-24-8P 159275-25-9P
159275-26-0P 159275-27-1P 159275-28-2P 159275-29-3P
159275-30-6P 159275-31-7P 159275-32-8P 159275-33-9P
159275-34-0P 159275-35-1P 159275-36-2P 159275-37-3P
159275-38-4P 159275-39-5P 159275-40-8P 159275-41-9P
159275-42-0P 159275-43-1P 159275-44-2P 159275-45-3P
159275-52-2P 159275-53-3P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of phosphonic acid derivs. useful for medically treating hyperlipemia)

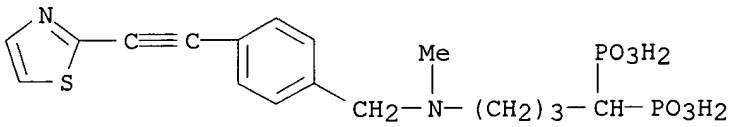
INDEX TERM: 159275-07-7P 159275-51-1P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of phosphonic acid derivs. useful for medically treating hyperlipemia)

IT **159270-75-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)
(prepn. of phosphonic acid derivs. useful for medically treating hyperlipemia)

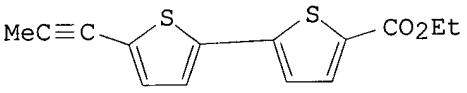
RN 159270-75-4 CAPLUS

CN Phosphonic acid, [4-[methyl[[4-(2-thiazolylethynyl)phenyl]methyl]amino]butylidene]bis-, tetrasodium salt (9CI) (CA INDEX NAME)



● 4 Na

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1989:185340 CAPLUS
DOCUMENT NUMBER: 110:185340
TITLE: **Antiviral properties** of **acetylenes** and **thiophenes**
AUTHOR(S): Hudson, J. B.; Towers, G. H. N.
CORPORATE SOURCE: Dep. Bot., Univ. British Columbia, Vancouver, BC, Can.
SOURCE: Bioact. Mol. (1988), 7(Chem. Biol. Nat.-Occurring Acetylenes Relat. Compd.), 315-38
CODEN: BMOLEY; ISSN: 0921-0687
DOCUMENT TYPE: Journal
LANGUAGE: English
CLASSIFICATION: 1-3 (Pharmacology)
GRAPHIC IMAGE:



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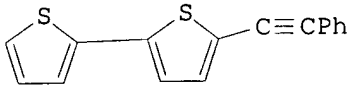

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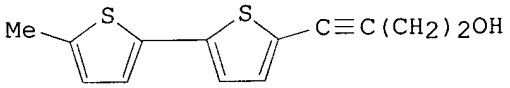


III

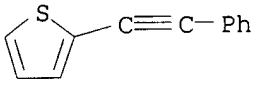
ABSTRACT:
A series of 31 synthetic **thiophenes** was tested for antiviral activity in vitro using Sinbis virus or murine cytomegalovirus infection of murine 3T3-L1 cells and std. UV-A exposure as the test system. None of the compds. were active in the dark. I was the most potent inhibitor of Sindbis virus, and
II and III were the most active against murine cytomegalovirus. In general, there was a fairly good agreement between the results for the 2 viruses. Structure-activity relationships are discussed. The antiviral activities of 5 addnl. compds. (thiarubrine A, thiophene A, .alpha.-terthienyl, phenylheptatriyne, and ACBP-thiophene) are reviewed.

SUPPL. TERM: antiviral activity thiophene acetylene structure
INDEX TERM: Virucides and Virustats
(**acetylenes** and **thiophenes**, light-dependent)
INDEX TERM: Photodynamic action
(virucidal, of acetylene and **thiophenes**, structure in relation to)
INDEX TERM: Molecular structure-biological activity relationship
(virucidal, of **acetylenes** and **thiophenes**, light-dependent)
INDEX TERM: 886-66-8 1081-34-1, .alpha.-Terthienyl 1137-87-7 1152-72-3 1204-82-6 1665-29-8 1665-35-6 2134-99-8, Thiophene A 3172-56-3, 3,3'-Bithiophene 4300-27-0, Phenylheptatriyne **4805-17-8 4805-21-4** 16278-95-8 16900-51-9 32155-99-0 58930-55-5 63543-09-9 88089-34-3 **90267-18-8** 90920-85-7

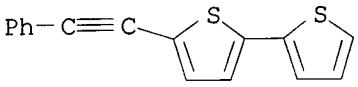
93297-79-1 93297-81-5 94742-65-1 94742-70-8
100074-13-3 105124-97-8, 3,2':4',3''-Terthiophene
105124-98-9, 3,3':4',3''-Terthiophene 105124-99-0
105125-00-6 105125-03-9 105125-04-0 106032-17-1,
3,2':3',3''-Terthiophene 117205-69-3 120381-53-5
120381-54-6 120381-55-7
ROLE: BAC (Biological activity or effector, except adverse);
THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(virucidal activity of, light-dependent, structure in relation to)

IT **4805-17-8 4805-21-4 90267-18-8**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(virucidal activity of, light-dependent, structure in relation to)

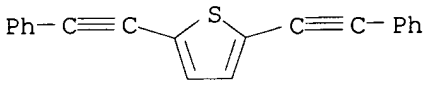
RN 4805-17-8 CAPLUS
CN Thiophene, 2-(phenylethynyl)- (7CI, 8CI, 9CI) (CA INDEX NAME)



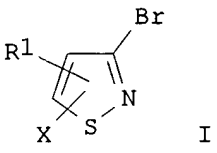
RN 4805-21-4 CAPLUS
CN 2,2'-Bithiophene, 5-(phenylethynyl)- (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 90267-18-8 CAPLUS
CN Thiophene, 2,5-bis(phenylethynyl)- (9CI) (CA INDEX NAME)



L15 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:272663 CAPLUS
DOCUMENT NUMBER: 128:321593
TITLE: Alkynylisothiazoles
AUTHOR(S): Zlotin, S. G.; Kislitsin, P. G.; Luk'yanov, O. A.
CORPORATE SOURCE: N. D. Zelinsky Institute of Organic Chemistry, Russian Academy of Sciences, Moscow, 117913, Russia
SOURCE: Russ. Chem. Bull. (1998), 47(3), 519-523
CODEN: RCBUEY; ISSN: 1066-5285
PUBLISHER: Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English
CLASSIFICATION: 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
GRAPHIC IMAGE:



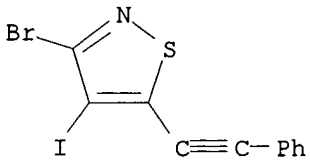
I

ABSTRACT:
A new synthesis of mono- and dialkynylisothiazoles I (R1 = 4-Br, 4-iodo, 5-H, 5-PhC.tplbond.C, X = C.tplbond.CR2, R2 = Ph, n-hexyl, CH2OH, CH2OMe) by cross-coupling of bromine- and iodine-contg. isothiazoles with terminal acetylene moieties in the PdCl2(PPh3)2-CuI-NEt3 catalytic system has been developed.

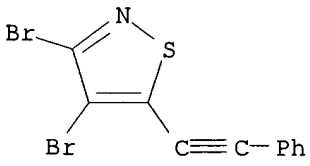
SUPPL. TERM: coupling haloisothiazole acetylene palladium; alkynylisothiazole prepn palladium catalyst; isothiazole alkynyl prepn palladium catalyst
INDEX TERM: 107-19-7, Propargyl alcohol 536-74-3, Phenylacetylene 627-41-8 629-05-0, 1-Octyne 71091-87-7 202287-55-6 202287-56-7
ROLE: RCT (Reactant)
(palladium-catalyzed cross-coupling of haloisothiazoles with acetylenes)
INDEX TERM: 202287-54-5P 207000-19-9P **207000-21-3P**
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(palladium-catalyzed cross-coupling of haloisothiazoles with acetylenes)
INDEX TERM: 886-66-8P **207000-17-7P** 207000-18-8P
207000-20-2P 207000-22-4P **207000-23-5P**
207000-24-6P 207000-25-7P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(palladium-catalyzed cross-coupling of haloisothiazoles

with acetylenes)

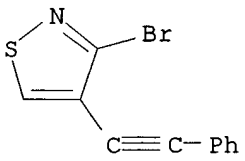
IT **207000-21-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(palladium-catalyzed cross-coupling of haloisothiazoles with acetylenes)
RN 207000-21-3 CAPLUS
CN Isothiazole, 3-bromo-4-iodo-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



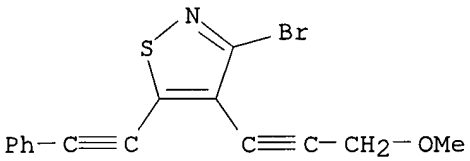
IT **207000-17-7P 207000-23-5P 207000-24-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(palladium-catalyzed cross-coupling of haloisothiazoles with acetylenes)
RN 207000-17-7 CAPLUS
CN Isothiazole, 3,4-dibromo-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



RN 207000-23-5 CAPLUS
CN Isothiazole, 3-bromo-4-(phenylethynyl)- (9CI) (CA INDEX NAME)



RN 207000-24-6 CAPLUS
CN Isothiazole, 3-bromo-4-(3-methoxy-1-propynyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)


N
S
Br
Ph— C≡ C
C≡ C— CH2— OMe

L15 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:733991 CAPLUS
DOCUMENT NUMBER: 132:22906
TITLE: 2-Substituted 5-acetyl-4-thiazolyl triflates as useful
building blocks for the preparation of functionalized thiazoles
AUTHOR(S): Arcadi, Antonio; Attanasi, Orazio A.; Guidi, Barbara; Rossi, Elisabetta; Santeusanio, Stefania
CORPORATE SOURCE: Dipartimento Chimica, Ingegneria Chimica Materiali, Univ. L'Aquila, L'Aguila, I-67100, Italy
SOURCE: Eur. J. Org. Chem. (1999), (11), 3117-3126
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
CLASSIFICATION: 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
OTHER SOURCE(S): CASREACT 132:22906
ABSTRACT:
Readily available 2-substituted 5-acetyl-4-thiazolyl triflates (I) are useful building blocks for the prepn. of functionalized thiazoles by Pd-catalyzed cross-coupling reactions with organometallic reagents and alkoxycarbonylation and deoxygenation reactions. The combination of Pd-catalyzed coupling of I together with 1-alkynes/6-endo-dig annulation reactions in the presence of NH3 leads to functionalized pyrido[3,4-c]thiazoles in satisfactory yields. The utilization of uncatalyzed displacement reactions of the triflate group represents a very simple method for the synthesis of 4-N-, 4-O-, and 4-S-substituted thiazoles.

SUPPL. TERM: thiazole prepn; thiazolyl triflate palladium catalyzed cross
coupling
INDEX TERM: 77-75-8, 3-Methyl-1-pentyn-3-ol 78-27-3, 1-Ethynylcyclohexanol 98-80-6, Phenylboronic acid 100-63-0, Phenylhydrazine 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 536-74-3, Phenylacetylene 629-05-0, 1-Octyne 6258-60-2, 4-Methoxybenzenemethanethiol 7486-35-3, Tributylvinylstannane 10160-87-9, 3,3-Diethoxy-1-propyne 54663-78-4, 2-(Tributylstannyl)thiophene 87199-16-4, 3-Formylphenylboronic acid 207397-53-3 207397-54-4 207397-55-5 207397-56-6 207397-57-7 207397-58-8 207397-59-9
ROLE: RCT (Reactant)
(prepn. of thiazoles via acetylthiazolyl triflates)
INDEX TERM: 220449-50-3P 220449-51-4P 220449-52-5P 220449-53-6P 220449-54-7P 220449-55-8P 220449-56-9P **220449-57-0P** 220449-59-2P 220449-60-5P **220449-61-6P** **220449-62-7P** **220449-63-8P** **220449-64-9P** 220449-65-0P **220449-66-1P** **220449-67-2P**
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation)
(prepn. of thiazoles via acetylthiazolyl triflates)

INDEX TERM: 10045-50-8P 57560-99-3P 96497-50-6P 220449-68-3P
220449-69-4P 220449-70-7P 220449-71-8P 220449-72-9P
220449-73-0P 220449-74-1P 220449-75-2P 220449-76-3P
220449-77-4P 252013-10-8P 252013-11-9P 252013-12-0P
252013-13-1P 252013-14-2P 252013-15-3P 252013-16-4P
252013-17-5P 252013-18-6P 252013-19-7P 252013-20-0P
252013-21-1P 252013-22-2P
ROLE: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of thiazoles via acetylthiazolyl triflates)

REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD.

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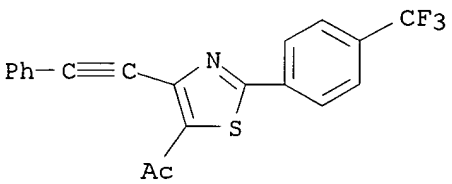
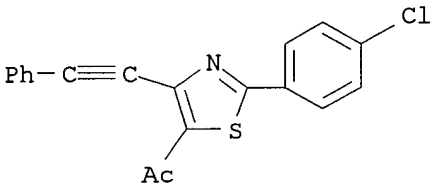
IT **220449-57-0P 220449-61-6P 220449-62-7P 220449-63-8P 220449-64-9P 220449-66-1P 220449-67-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of thiazoles via acetylthiazolyl triflates)

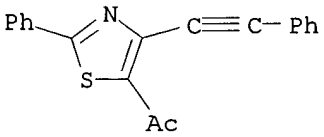
RN 220449-57-0 CAPLUS
CN Ethanone, 1-[4-(phenylethynyl)-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



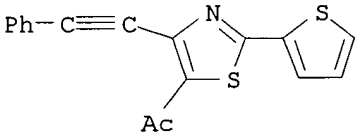
RN 220449-61-6 CAPLUS
CN Ethanone, 1-[2-(4-chlorophenyl)-4-(phenylethynyl)-5-thiazolyl]- (9CI) (CA INDEX NAME)



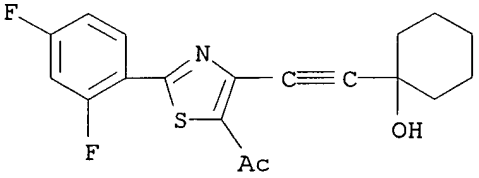
RN 220449-62-7 CAPLUS
CN Ethanone, 1-[2-phenyl-4-(phenylethynyl)-5-thiazolyl]- (9CI) (CA INDEX NAME)



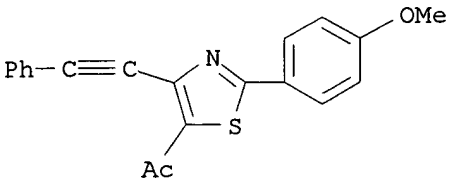
RN 220449-63-8 CAPLUS
CN Ethanone, 1-[4-(phenylethynyl)-2-(2-thienyl)-5-thiazolyl]- (9CI) (CA INDEX NAME)



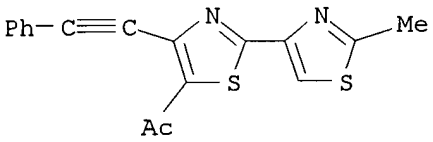
RN 220449-64-9 CAPLUS
CN Ethanone, 1-[2-(2,4-difluorophenyl)-4-[(1-hydroxycyclohexyl)ethynyl]-5-thiazolyl]- (9CI) (CA INDEX NAME)



RN 220449-66-1 CAPLUS
CN Ethanone, 1-[2-(4-methoxyphenyl)-4-(phenylethynyl)-5-thiazolyl]- (9CI) (CA INDEX NAME)



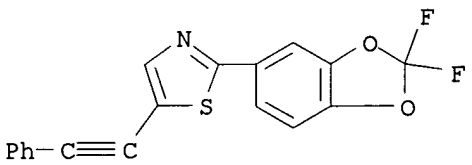
RN 220449-67-2 CAPLUS
CN Ethanone, 1-[2'-methyl-4-(phenylethynyl)[2,4'-bithiazol]-5-yl]- (9CI) (CA
INDEX NAME)



L34 ANSWER 18 OF 20 USPATFULL
AN 88:77501 USPATFULL
TI Photoactivated miticidal and insecticidal ethynylthiazoles
IN Lutomski, Kathryn A., Hightstown, NJ, United States
Roush, David M., Princeton, NJ, United States
Phillips, Richard B., Diamond Bar, CA, United States
PA FMC Corporation, Philadelphia, PA, United States (U.S. corporation)
PI US 4788207 19881129
AI US 1988-161867 19880229 (7)
DT Utility
FS Granted
LN.CNT 525
INCL INCLM: 514/365.000
INCLS: 548/202.000; 548/203.000
NCL NCLM: 514/365.000
NCLS: 548/202.000; 548/203.000
IC [4]
ICM: C07D417-06
ICS: C07D277-22; A01N043-78
EXF 548/202; 548/203; 514/365
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

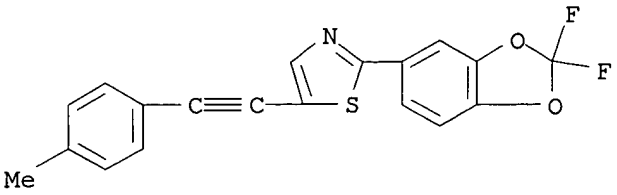
=> d l34 18 hitstr

L34 ANSWER 18 OF 20 USPATFULL
IT **119514-11-3P 119514-12-4P 119514-14-6P**
119514-15-7P 119514-16-8P 119514-17-9P
119514-18-0P 119514-29-3P 119514-30-6P
119514-31-7P 119514-32-8P 119514-33-9P
119514-34-0P 119514-35-1P 119514-36-2P
119514-37-3P 119514-38-4P 119514-39-5P
119514-40-8P 119514-41-9P 119514-42-0P
119514-43-1P 119514-44-2P 119514-45-3P
119514-46-4P 119514-47-5P 119514-48-6P
119514-49-7P 119514-50-0P 119514-51-1P
119514-52-2P 119514-53-3P 119514-54-4P
119514-55-5P 119514-56-6P 119514-57-7P
119539-82-1P
(prepn. of, as insecticide and acaricide)
RN 119514-11-3 USPATFULL
CN Thiazole, 2-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-(phenylethynyl)- (9CI)
(CA INDEX NAME)

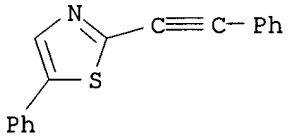


RN 119514-12-4 USPATFULL

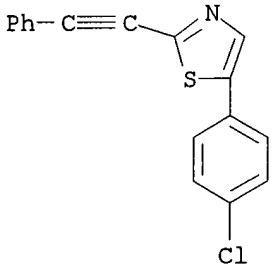
CN Thiazole, 2-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-[(4-methylphenyl)ethynyl]- (9CI) (CA INDEX NAME)



RN 119514-14-6 USPATFULL
CN Thiazole, 5-phenyl-2-(phenylethynyl)- (9CI) (CA INDEX NAME)



RN 119514-15-7 USPATFULL
CN Thiazole, 5-(4-chlorophenyl)-2-(phenylethynyl)- (9CI) (CA INDEX NAME)



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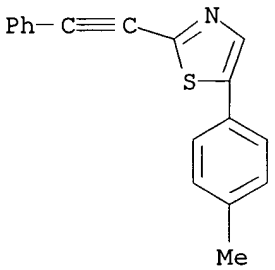
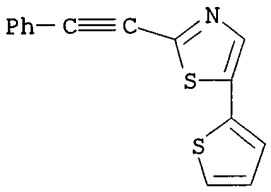
CN Thiazole, 5-(4-methylphenyl)-2-(phenylethynyl)- (9CI) (CA INDEX NAME)

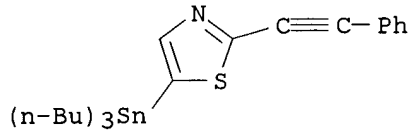


RN 119514-17-9 USPATFULL

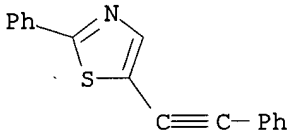
CN Thiazole, 2-(phenylethynyl)-5-(2-thienyl)- (9CI) (CA INDEX NAME)



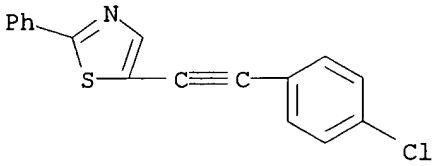
RN 119514-18-0 USPATFULL
CN Thiazole, 2-(phenylethynyl)-5-(tributylstannyl)- (9CI) (CA INDEX NAME)



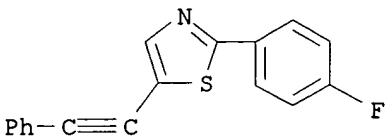
RN 119514-29-3 USPATFULL
CN Thiazole, 2-phenyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)

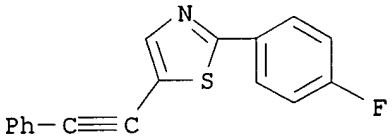


RN 119514-30-6 USPATFULL
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2-phenyl- (9CI) (CA INDEX NAME)

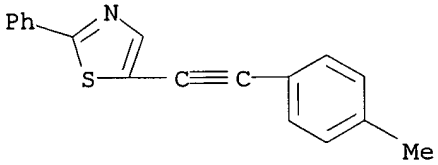


RN 119514-31-7 USPATFULL
CN Thiazole, 2-(4-fluorophenyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)

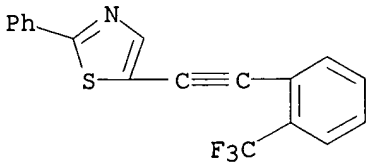




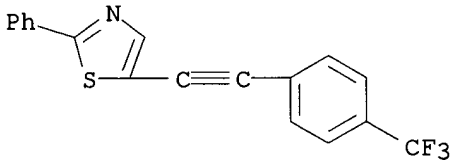
RN 119514-32-8 USPATFULL
CN Thiazole, 5-[(4-methylphenyl)ethynyl]-2-phenyl- (9CI) (CA INDEX NAME)



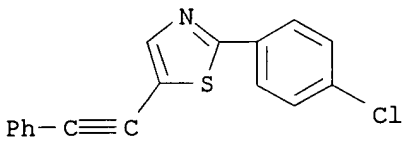
RN 119514-33-9 USPATFULL
CN Thiazole, 2-phenyl-5-[[2-(trifluoromethyl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)



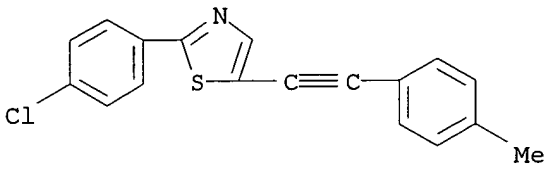
RN 119514-34-0 USPATFULL
CN Thiazole, 2-phenyl-5-[[4-(trifluoromethyl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)



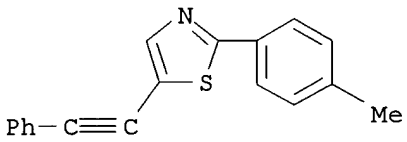
RN 119514-35-1 USPATFULL
CN Thiazole, 2-(4-chlorophenyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



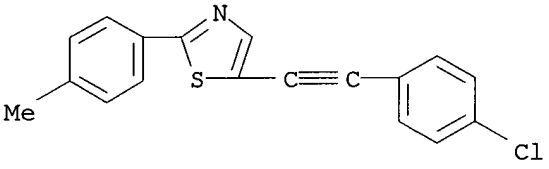
RN 119514-36-2 USPATFULL
CN Thiazole, 2-(4-chlorophenyl)-5-[(4-methylphenyl)ethynyl]- (9CI) (CA INDEX NAME)



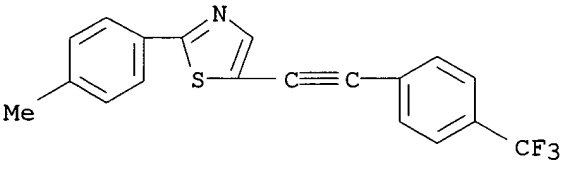
RN 119514-37-3 USPATFULL
CN Thiazole, 2-(4-methylphenyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



RN 119514-38-4 USPATFULL
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

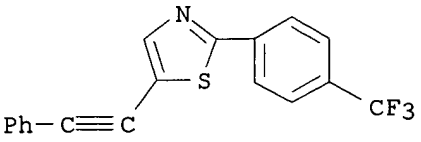


RN 119514-39-5 USPATFULL
CN Thiazole, 2-(4-methylphenyl)-5-[[4-(trifluoromethyl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)

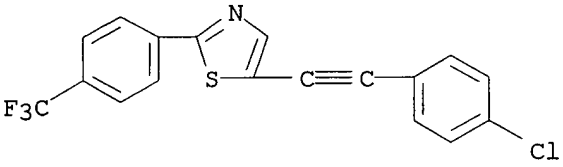


RN 119514-40-8 USPATFULL
CN Thiazole, 5-(phenylethynyl)-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA

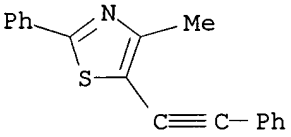
INDEX NAME)



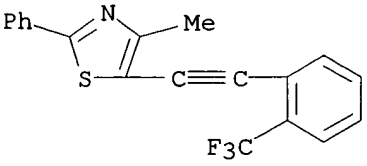
RN 119514-41-9 USPATFULL
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2-[4-(trifluoromethyl)phenyl]-
(9CI)
(CA INDEX NAME)



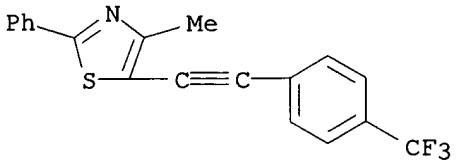
RN 119514-42-0 USPATFULL
CN Thiazole, 4-methyl-2-phenyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



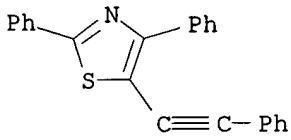
RN 119514-43-1 USPATFULL
CN Thiazole, 4-methyl-2-phenyl-5-[[2-(trifluoromethyl)phenyl]ethynyl]- (9CI)
(CA INDEX NAME)



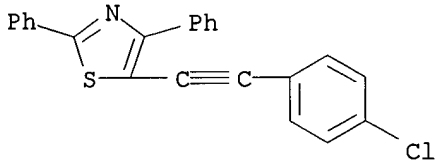
RN 119514-44-2 USPATFULL
CN Thiazole, 4-methyl-2-phenyl-5-[[4-(trifluoromethyl)phenyl]ethynyl]- (9CI)
(CA INDEX NAME)



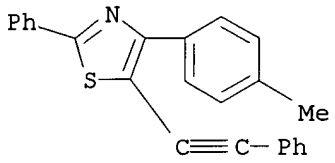
RN 119514-45-3 USPATFULL
CN Thiazole, 2,4-diphenyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



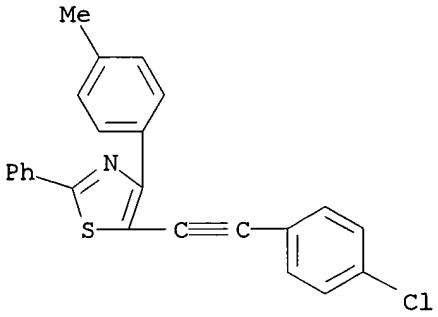
RN 119514-46-4 USPATFULL
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2,4-diphenyl- (9CI) (CA INDEX NAME)



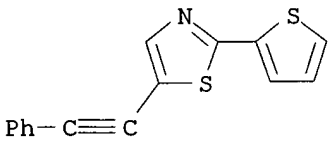
RN 119514-47-5 USPATFULL
CN Thiazole, 4-(4-methylphenyl)-2-phenyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



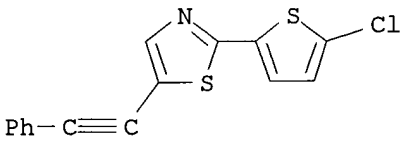
RN 119514-48-6 USPATFULL
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-4-(4-methylphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



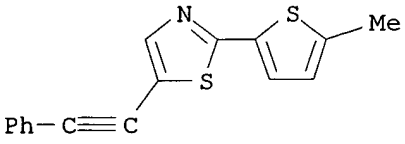
RN 119514-49-7 USPATFULL
CN Thiazole, 5-(phenylethynyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)



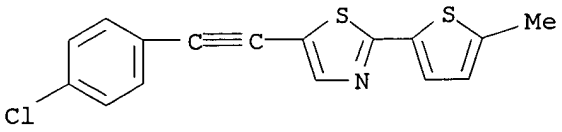
RN 119514-50-0 USPATFULL
CN Thiazole, 2-(5-chloro-2-thienyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



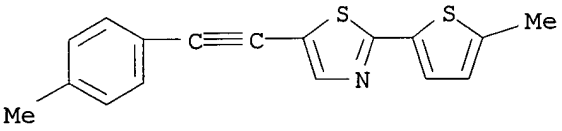
RN 119514-51-1 USPATFULL
CN Thiazole, 2-(5-methyl-2-thienyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



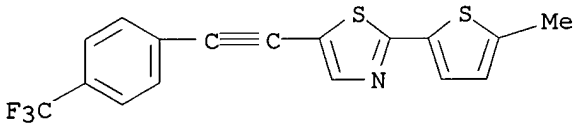
RN 119514-52-2 USPATFULL
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2-(5-methyl-2-thienyl)- (9CI) (CA INDEX NAME)



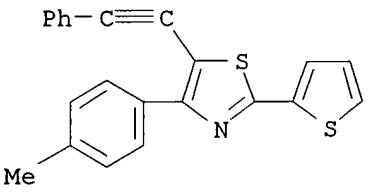
RN 119514-53-3 USPATFULL
CN Thiazole, 5-[(4-methylphenyl)ethynyl]-2-(5-methyl-2-thienyl)- (9CI) (CA INDEX NAME)



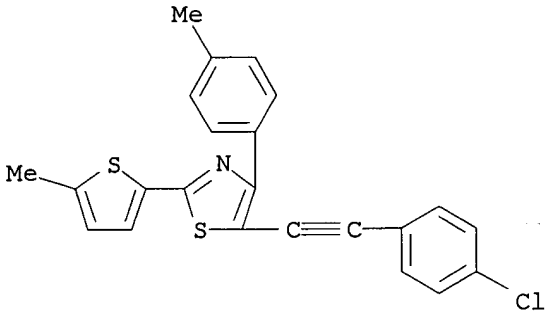
RN 119514-54-4 USPATFULL
CN Thiazole, 2-(5-methyl-2-thienyl)-5-[[4-(trifluoromethyl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)



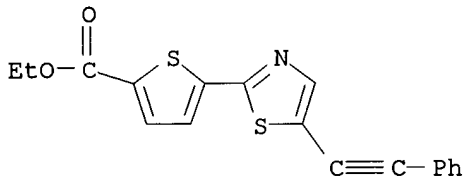
RN 119514-55-5 USPATFULL
CN Thiazole, 4-(4-methylphenyl)-5-(phenylethynyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)



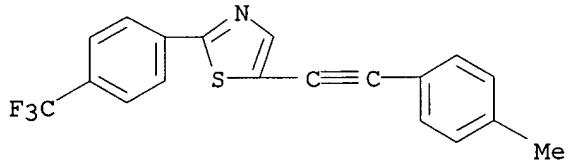
RN 119514-56-6 USPATFULL
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-4-(4-methylphenyl)-2-(5-methyl-2-thienyl)- (9CI) (CA INDEX NAME)



RN 119514-57-7 USPATFULL
CN 2-Thiophenecarboxylic acid, 5-[5-(phenylethynyl)-2-thiazolyl]-, ethyl ester (9CI) (CA INDEX NAME)



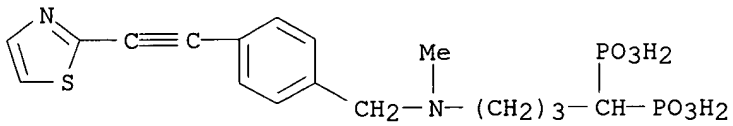
RN 119539-82-1 USPATFULL
CN Thiazole, 5-[(4-methylphenyl)ethynyl]-2-[4-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)



L31 ANSWER 10 OF 10 USPATFULL
AN 1998:17462 USPATFULL
TI Phosphonic acid derivatives
IN Yoshida, Ichirou, Ibaraki, Japan
Ikuta, Hironori, Ibaraki, Japan
Fukuda, Yoshio, Ibaraki, Japan
Eguchi, Yoshihito, Ibaraki, Japan
Kaino, Makoto, Ibaraki, Japan
Tagami, Katsuya, Arlington, MA, United States
Kobayashi, Naoki, Ibaraki, Japan
Hayashi, Kenji, Ibaraki, Japan
Hiyoshi, Hironobu, Ibaraki, Japan
Ohtsuka, Issei, Ibaraki, Japan
Nakagawa, Makoto, Ibaraki, Japan
Abe, Shinya, Ibaraki, Japan
Souda, Shigeru, Ibaraki, Japan
PA Eisai Co., Ltd., Tokyo, Japan (non-U.S. corporation)
PI US 5719303 19980217
WO 9420508 19940915
AI US 1995-530311 19950906 (8)
WO 1994-JP354 19940304
19950906 PCT 371 date
19950906 PCT 102(e) date
PRAI JP 1993-46389 19930308
DT Utility
FS Granted
LN.CNT 7489
INCL INCLM: 558/158.000
INCLS: 562/013.000
NCL NCLM: 558/158.000
NCLS: 562/013.000
IC [6]
ICM: C07F009-40
ICS: C07F009-38
EXF 562/13; 558/158
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d 10 hitstr

L31 ANSWER 10 OF 10 USPATFULL
IT **159270-75-4P**
(prepn. of phosphonic acid derivs. useful for medically treating hyperlipemia)
RN 159270-75-4 USPATFULL
CN Phosphonic acid,
[4-[methyl[[4-(2-thiazolylethynyl)phenyl]methyl]amino]but
ylidene]bis-, tetrasodium salt (9CI) (CA INDEX NAME)



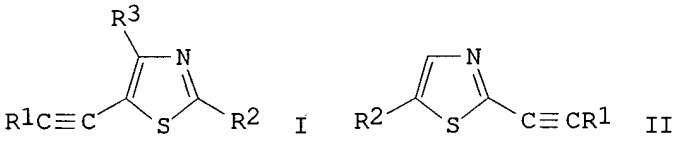
● 4 Na

L15 ANSWER 42 OF 46 CAPLUS COPYRIGHT 2002 ACS DUPLICATE 5

ACCESSION NUMBER: 1989:135230 CAPLUS
DOCUMENT NUMBER: 110:135230
TITLE: Preparation of ethynylthiazoles as photodynamic insecticides and acaricides
INVENTOR(S): Lutomski, Kathryn A.; Roush, David M.; Phillips, Richard B.
PATENT ASSIGNEE(S): FMC Corp., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
INT. PATENT CLASSIF.:
MAIN: C07D417-06
SECONDARY: C07D277-22; A01N043-78
US PATENT CLASSIF.: 514365000
CLASSIFICATION: 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 5
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4788207	A	19881129	US 1988-161867	19880229

OTHER SOURCE(S): CASREACT 110:135230; MARPAT 110:135230
GRAPHIC IMAGE:



I II

ABSTRACT:
Thirty-nine title 5-ethynylthiazoles I [R1 = C1-6 alkyl, methylthienyl, Me3Si, (un)substituted Ph; R2 = (un)substituted Ph, thienyl; R3 = H, C1-4 alkyl, Ph, MeC6H4] and nine isomeric 2-ethynylthiazoles II [R1 = Ph, alkylthienyl; R2 = (methyl)thienyl, trialkylstannyl, (un)substituted Ph] were prepd. as photoactivated miticides and insecticides. 4-ClC6H4CONH2 was sulfurated by refluxing 2 h in PhMe with Lawesson's reagent and cyclocondensed with BrCH2CH(OMe)2 by refluxing .apprx.3 days in EtOH in the presence of concd. HCl to give 2-(4-chlorophenyl)thiazole. The latter was metalated with BuLi at -78.degree.C in Et2O and treated with 1,2-diiodoethane to give the corresponding 5-iodothiazole which was refluxed 2 days with PhC.tplbond.CH in MeCN in the presence of Et3N, [Ph3P] PdCl2, and CuI to give I (R1 = Ph, R2 = 4-ClC6H4; R3 = H) (III). At 50 ppm III gave 100% kill of Tetranychus urticae and 20% kill of Trichoplusia ni after 48 h UV light exposure.

SUPPL. TERM: ethynylthiazole prepn miticide insecticide photosenzitized
INDEX TERM: Acaricides

Insecticides
(ethynylthiazoles)

INDEX TERM: 624-31-7P 2521-24-6P 3034-53-5P 27149-26-4P
42140-95-4P 72505-21-6P 119514-22-6P 119514-23-7P
119514-24-8P 119514-25-9P 119514-26-0P 119514-28-2P
ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of insecticides and acaricides)

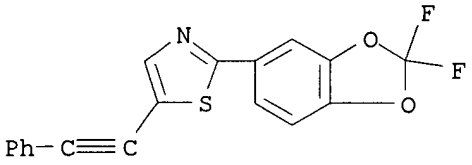
INDEX TERM: 119514-10-2P **119514-11-3P 119514-12-4P**
119514-13-5P 119514-14-6P 119514-15-7P 119514-16-8P
119514-17-9P 119514-18-0P 119514-19-1P 119514-20-4P
119514-21-5P 119514-28-2P **119514-29-3P**
119514-30-6P 119514-31-7P
119514-32-8P 119514-33-9P
119514-34-0P 119514-35-1P
119514-36-2P 119514-37-3P
119514-38-4P 119514-39-5P
119514-40-8P 119514-41-9P
119514-42-0P 119514-43-1P
119514-44-2P 119514-45-3P
119514-46-4P 119514-47-5P
119514-48-6P 119514-49-7P
119514-50-0P 119514-51-1P
119514-52-2P 119514-53-3P
119514-54-4P 119514-55-5P
119514-56-6P 119514-57-7P 119514-58-8P
119514-59-9P 119514-60-2P **119514-61-3P**
119539-82-1P
ROLE: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation);
BIOL
(Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as insecticide and acaricide)

INDEX TERM: 455-18-5, 4-(Trifluoromethyl)benzonitrile 536-74-3,
Phenylacetylene 541-41-3, Ethyl chloroformate 619-56-7,
4-Chlorobenzamide 624-73-7, 1,2-Diiodoethane 1066-54-2,
(Trimethylsilyl)acetylene 5813-89-8, 2-
Thiophenecarboxamide 7252-83-7, Bromoacetaldehyde
dimethyl
acetal 16494-36-3, 2-Iodo-5-methylthiophene 17157-48-1,
Bromoacetaldehyde 119514-27-1, 2-[(5-Methyl-2-
thienyl)ethynyl]thiazole
ROLE: RCT (Reactant)
(reaction of, in prepn. of insecticides and acaricides)

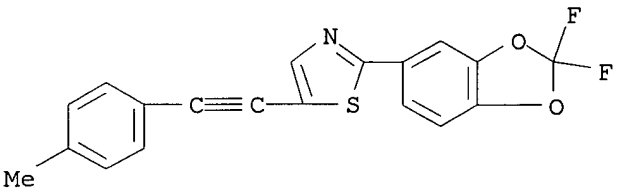
IT **119514-11-3P 119514-12-4P 119514-29-3P**
119514-30-6P 119514-31-7P 119514-32-8P
119514-33-9P 119514-34-0P 119514-35-1P
119514-36-2P 119514-37-3P 119514-38-4P
119514-39-5P 119514-40-8P 119514-41-9P
119514-42-0P 119514-43-1P 119514-44-2P
119514-45-3P 119514-46-4P 119514-47-5P
119514-48-6P 119514-49-7P 119514-50-0P
119514-51-1P 119514-52-2P 119514-53-3P
119514-54-4P 119514-55-5P 119514-56-6P

119514-57-7P 119514-61-3P 119539-82-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as insecticide and acaricide)

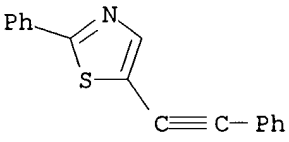
RN 119514-11-3 CAPLUS
CN Thiazole, 2-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



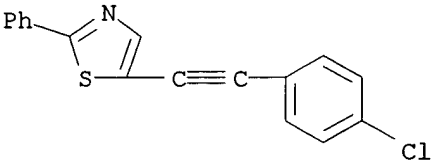
RN 119514-12-4 CAPLUS
CN Thiazole, 2-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-[(4-methylphenyl)ethynyl]- (9CI) (CA INDEX NAME)



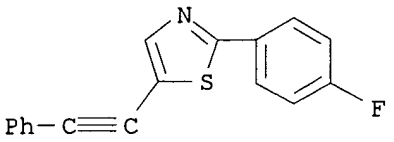
RN 119514-29-3 CAPLUS
CN Thiazole, 2-phenyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



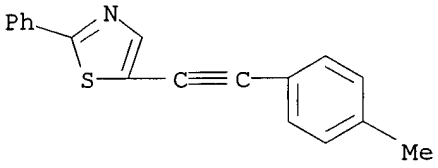
RN 119514-30-6 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2-phenyl- (9CI) (CA INDEX NAME)



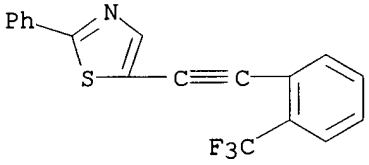
RN 119514-31-7 CAPLUS
CN Thiazole, 2-(4-fluorophenyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



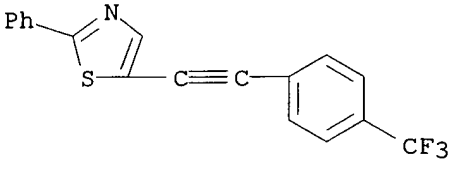
RN 119514-32-8 CAPLUS
CN Thiazole, 5-[(4-methylphenyl)ethynyl]-2-phenyl- (9CI) (CA INDEX NAME)



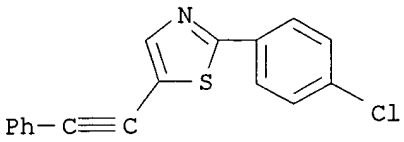
RN 119514-33-9 CAPLUS
CN Thiazole, 2-phenyl-5-[[2-(trifluoromethyl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)



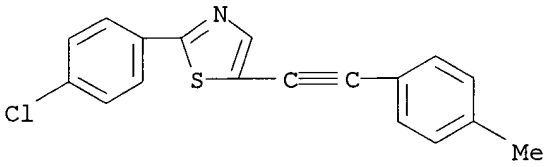
RN 119514-34-0 CAPLUS
CN Thiazole, 2-phenyl-5-[[4-(trifluoromethyl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)



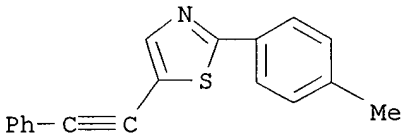
RN 119514-35-1 CAPLUS
CN Thiazole, 2-(4-chlorophenyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



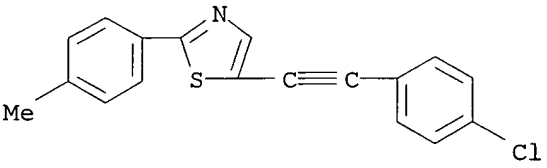
RN 119514-36-2 CAPLUS
CN Thiazole, 2-(4-chlorophenyl)-5-[(4-methylphenyl)ethynyl]- (9CI) (CA INDEX NAME)



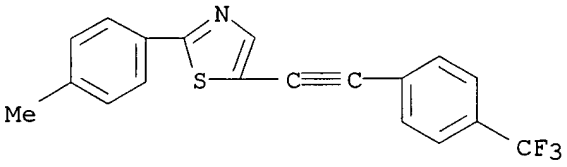
RN 119514-37-3 CAPLUS
CN Thiazole, 2-(4-methylphenyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



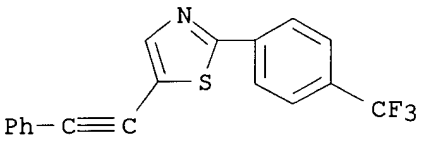
RN 119514-38-4 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)



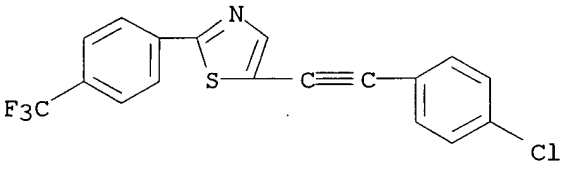
RN 119514-39-5 CAPLUS
CN Thiazole, 2-(4-methylphenyl)-5-[[4-(trifluoromethyl)phenyl]ethynyl]- (9CI)
(CA INDEX NAME)



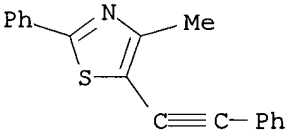
RN 119514-40-8 CAPLUS
CN Thiazole, 5-(phenylethynyl)-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



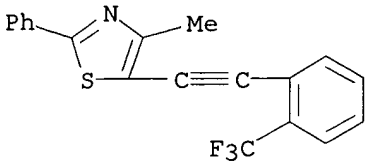
RN 119514-41-9 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2-[4-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)



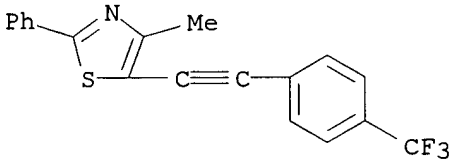
RN 119514-42-0 CAPLUS
CN Thiazole, 4-methyl-2-phenyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



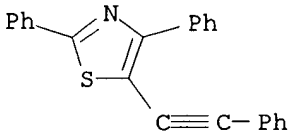
RN 119514-43-1 CAPLUS
CN Thiazole, 4-methyl-2-phenyl-5-[[2-(trifluoromethyl)phenyl]ethynyl]- (9CI)
(CA INDEX NAME)



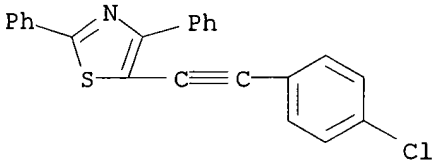
RN 119514-44-2 CAPLUS
CN Thiazole, 4-methyl-2-phenyl-5-[[4-(trifluoromethyl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)



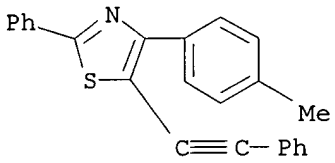
RN 119514-45-3 CAPLUS
CN Thiazole, 2,4-diphenyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



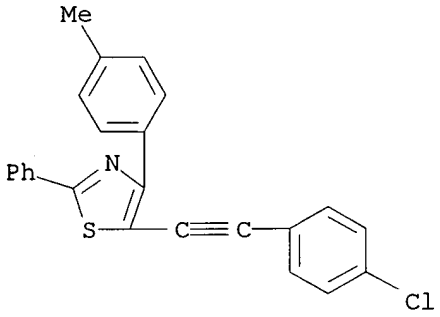
RN 119514-46-4 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2,4-diphenyl- (9CI) (CA INDEX NAME)



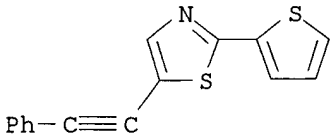
RN 119514-47-5 CAPLUS
CN Thiazole, 4-(4-methylphenyl)-2-phenyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



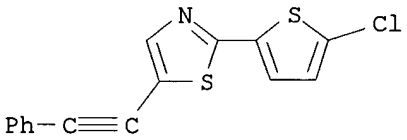
RN 119514-48-6 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-4-(4-methylphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



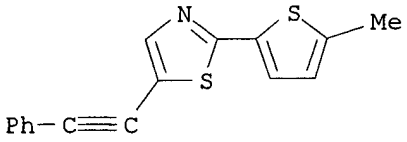
RN 119514-49-7 CAPLUS
CN Thiazole, 5-(phenylethynyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)



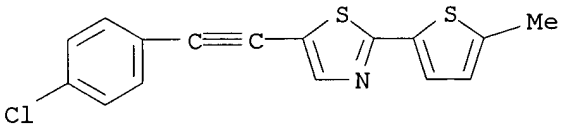
RN 119514-50-0 CAPLUS
CN Thiazole, 2-(5-chloro-2-thienyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



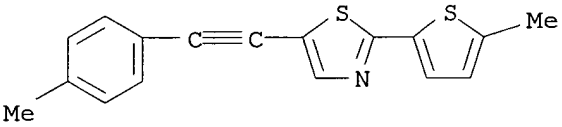
RN 119514-51-1 CAPLUS
CN Thiazole, 2-(5-methyl-2-thienyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



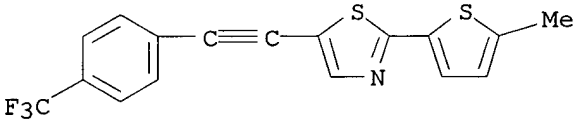
RN 119514-52-2 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-2-(5-methyl-2-thienyl)- (9CI) (CA INDEX NAME)



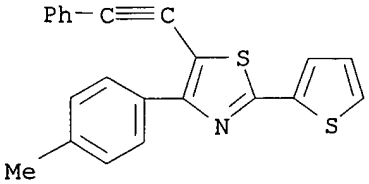
RN 119514-53-3 CAPLUS
CN Thiazole, 5-[(4-methylphenyl)ethynyl]-2-(5-methyl-2-thienyl)- (9CI) (CA INDEX NAME)

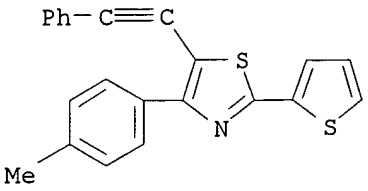


RN 119514-54-4 CAPLUS
CN Thiazole, 2-(5-methyl-2-thienyl)-5-[[4-(trifluoromethyl)phenyl]ethynyl]- (9CI) (CA INDEX NAME)

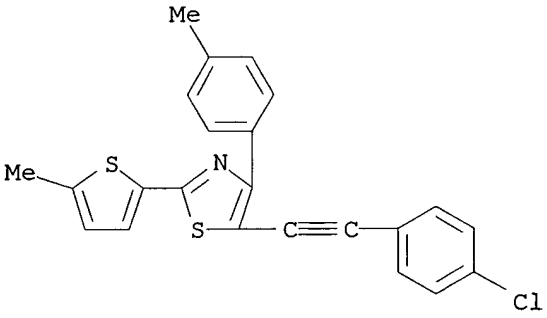


RN 119514-55-5 CAPLUS
CN Thiazole, 4-(4-methylphenyl)-5-(phenylethynyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)

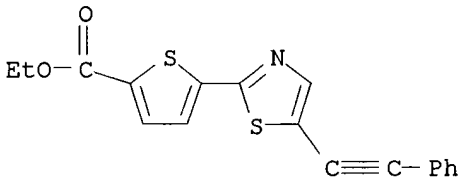




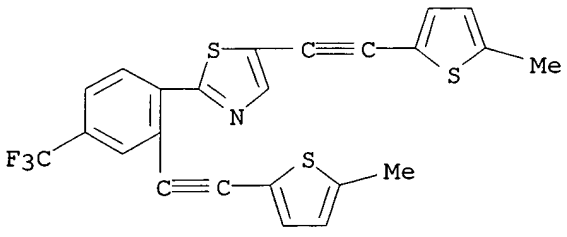
RN 119514-56-6 CAPLUS
CN Thiazole, 5-[(4-chlorophenyl)ethynyl]-4-(4-methylphenyl)-2-(5-methyl-2-thienyl)- (9CI) (CA INDEX NAME)



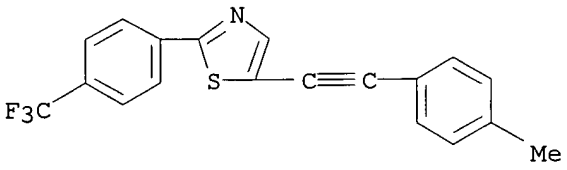
RN 119514-57-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[5-(phenylethynyl)-2-thiazolyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 119514-61-3 CAPLUS
CN Thiazole, 5-[(5-methyl-2-thienyl)ethynyl]-2-[2-[(5-methyl-2-thienyl)ethynyl]-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



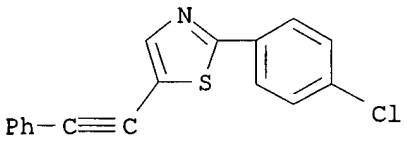
RN 119539-82-1 CAPLUS
CN Thiazole, 5-[(4-methylphenyl)ethynyl]-2-[4-(trifluoromethyl)phenyl]-
(9CI)
(CA INDEX NAME)



L34 ANSWER 17 OF 20 USPATFULL
AN 89:102251 USPATFULL
TI Photoactivated miticidal and insecticidal ethynyl-thiazoles
IN Lutomski, Kathryn A., Hightstown, NJ, United States
Roush, David M., Princeton, NJ, United States
Phillips, Richard B., Irvine, CA, United States
PA FMC Corporation, Philadelphia, PA, United States (U.S. corporation)
PI US 4889867 19891226
AI US 1988-271809 19881115 (7)
DT Utility
FS Granted
LN.CNT 470
INCL INCLM: 514/365.000
INCLS: 548/202.000; 548/203.000
NCL NCLM: 514/365.000
NCLS: 548/202.000; 548/203.000
IC [4]
ICM: A01N043-78
ICS: C07D417-06; C07D277-22
EXF 514/365; 548/202; 548/203
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d l34 17 hitstr

L34 ANSWER 17 OF 20 USPATFULL
IT **119514-35-1P**
(prepn. of, as insecticide and acaricide)
RN 119514-35-1 USPATFULL
CN Thiazole, 2-(4-chlorophenyl)-5-(phenylethynyl)- (9CI) (CA INDEX NAME)

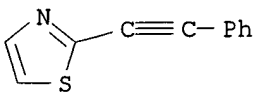


d l34 15

L34 ANSWER 15 OF 20 USPATFULL
AN 95:13889 USPATFULL
TI Acetylene derivatives, their preparation and their use for controlling insects and acaridae
IN Rentzea, Costin, Heidelberg, Germany, Federal Republic of
Kardorff, Uwe, Mannheim, Germany, Federal Republic of
Kuenast, Christoph, Otterstadt, Germany, Federal Republic of
Theobald, Hans, Limburgerhof, Germany, Federal Republic of
Kuekenhoehner, Thomas, Frankenthal, Germany, Federal Republic of
PA BASF Aktiengesellschaft, Ludwigshafen, Germany, Federal Republic of (non-U.S. corporation)
PI US 5389656 19950214
AI US 1992-911386 19920713 (7)
RLI Continuation of Ser. No. US 1991-737866, filed on 30 Jul 1991, now abandoned
PRAI DE 1990-4024281 19900731
DT Utility
FS Granted
LN.CNT 733
INCL INCLM: 514/365.000
INCLS: 514/438.000; 548/202.000; 548/203.000; 549/074.000; 549/078.000; 549/080.000
NCL NCLM: 514/365.000
NCLS: 514/438.000; 548/202.000; 548/203.000; 549/074.000; 549/078.000; 549/080.000
IC [6]
ICM: C07D277-22
ICS: C07D333-08; A01N043-78; A01N043-10
EXF 548/202; 548/203; 549/74; 549/78; 549/80; 514/365; 514/438
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d l34 15 hitstr

L34 ANSWER 15 OF 20 USPATFULL
IT **35070-01-0P**
(prepn. of, as acaricide and insecticide)
RN 35070-01-0 USPATFULL
CN Thiazole, 2-(phenylethynyl)- (9CI) (CA INDEX NAME)

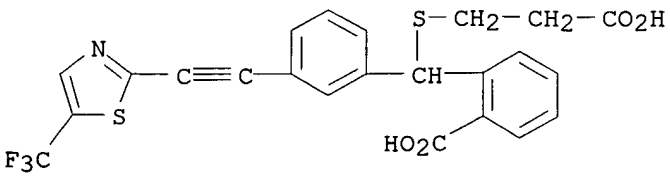


=>

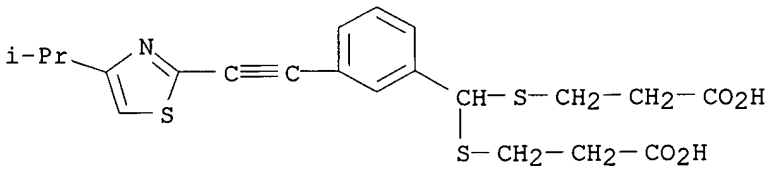
L35 ANSWER 6 OF 6 USPATFULL
AN 90:78252 USPATFULL
TI Heterazole dialkanoic acids
IN Young, Robert N., Senneville, Canada
Atkinson, Joseph G., Montreal, Canada
PA Merck Frosst Canada, Inc., Kirkland, Canada (non-U.S. corporation)
PI US 4962117 19901009
AI US 1988-265972 19881102 (7)
RLI Continuation-in-part of Ser. No. US 1987-125622, filed on 25 Nov 1987, now abandoned
DT Utility
FS Granted
LN.CNT 1044
INCL INCLM: 514/365.000
INCLS: 514/340.000; 514/341.000; 514/342.000; 514/369.000; 514/370.000; 514/371.000; 514/374.000; 514/376.000; 514/377.000; 514/182.000; 514/392.000; 514/398.000; 514/400.000; 546/275.000; 546/278.000; 546/280.000; 548/187.000; 548/194.000; 548/195.000; 548/200.000; 548/201.000; 548/204.000; 548/229.000; 548/233.000; 548/236.000; 548/252.000; 548/315.000; 548/337.000; 548/342.000
NCL NCLM: 514/365.000
NCLS: 514/340.000; 514/341.000; 514/342.000; 514/369.000; 514/370.000; 514/371.000; 514/374.000; 514/376.000; 514/377.000; 514/382.000; 514/392.000; 514/398.000; 514/400.000; 546/269.700; 546/270.700; 546/271.400; 546/272.700; 546/274.400; 546/274.700; 546/275.100; 548/187.000; 548/194.000; 548/195.000; 548/200.000; 548/201.000; 548/204.000; 548/229.000; 548/233.000
IC [5]
ICM: C07D277-30
ICS: C07D263-32; C07D233-26; A61K031-425
EXF 548/204; 548/236; 548/342; 548/252; 548/229; 548/195; 548/233; 548/337; 548/315; 548/187; 548/194; 548/200; 548/201; 546/278; 546/275; 546/280; 514/365; 514/369; 514/370; 514/371; 514/346; 514/341; 514/342; 514/374; 514/376; 514/377; 514/392; 514/398; 514/400
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d 6 hitstr

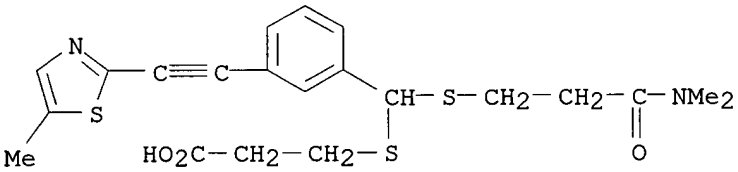
L35 ANSWER 6 OF 6 USPATFULL
IT **126173-26-0P 126173-27-1P 126173-28-2P**
(prepn. of, as pharmaceutical)
RN 126173-26-0 USPATFULL
CN Benzoic acid, 2-[[(2-carboxyethyl)thio][3-[[5-(trifluoromethyl)-2-thiazolyl]ethynyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 126173-27-1 USPATFULL
CN Propanoic acid, 3,3'-[[[3-[[4-(1-methylethyl)-2-thiazolyl]ethynyl]phenyl]methylene]bis(thio)]bis- (9CI) (CA INDEX NAME)



RN 126173-28-2 USPATFULL
CN Propanoic acid, 3-[[[[3-(dimethylamino)-3-oxopropyl]thio][3-[(5-methyl-2-thiazolyl)ethynyl]phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



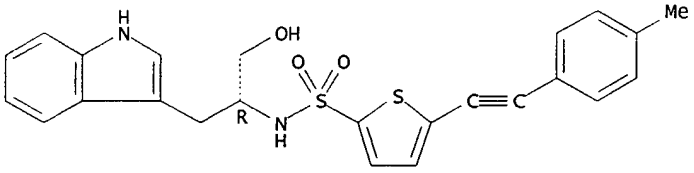
=> d bib abs hitstr l64 1

L64 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:789712 HCAPLUS
DN 132:22765
TI Preparation of sulfonamides and TNF-.alpha. inhibitors
IN Watanabe, Fumihiko; Hamana, Hiroshi; Suzuki, Ryuji; Tsuzuki, Hiroshige
PA Shionogi Seiyaku K. K., Japan
SO Jpn. Kokai Tokkyo Koho, 37 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11343279	A2	19991214	JP 1999-69892	19990316
PRAI	JP 1998-65040		19980316		

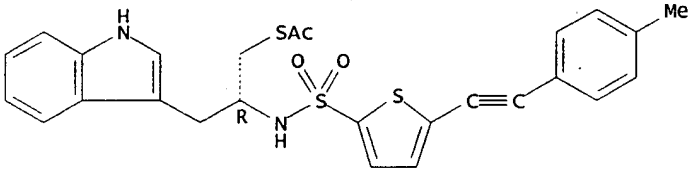
OS MARPAT 132:22765
AB R5R4R3SO2NR2CHR1(CH2)mX [R1 = (un)substituted lower alkyl, aryl, aralkyl, heteroaryl, etc.; R2 = H, lower alkyl, (CH2)tOR27, aralkyl; t = 1-4; R27 = H, tetrahydropyranyl; R3 = (un)substituted arylene; heteroarylene, single bond; R4 (CH2)n, CH:CH, C.tplbond.C, CO, CONH, N:N, etc.; R5 = (un)substituted aryl, heteroaryl, alkyl, aralkyl, aralkyloxy, etc; X = SR7, OR8, SCOR9, OCOR10, etc.; R7 = H, lower alkyl, aryl, heteroaryl, etc.; R8 = H, lower alkyl, lower alkylsulfonyl; R9, R10 = lower alkyl, aryl, heteroaryl, etc.], their optically active compds., their pharmaceutically acceptable salts, or their hydrates are prepd. (R)-3-(indol-3-yl)-1-mesyloxy-2-[N-[(4-phenoxyphenyl)sulfonyl]-N-methylamino]propane was reacted with potassium thioacetate in DMF at 50.degree. for 5 h to give 69% (R)-3-(indol-3-yl)-1-acetylthio-2-[N-[(4-phenoxyphenyl)sulfonyl]-N-methylamino]propane showing good inhibitory activity against TNF-.alpha. prodn.
IT 251998-34-2P 251998-35-3P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonamides and TNF-.alpha. inhibitors)
RN 251998-34-2 HCAPLUS
CN 2-Thiophenesulfonamide, N-[(1R)-2-hydroxy-1-(1H-indol-3-ylmethyl)ethyl]-5-[(4-methylphenyl)ethynyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251998-35-3 HCAPLUS
CN Ethanethioic acid, S-[(2R)-3-(1H-indol-3-yl)-2-[[[5-[(4-methylphenyl)ethynyl]-2-thienyl]sulfonyl]amino]propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

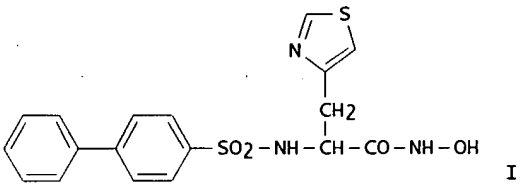


=> d bib abs hitstr l64 2

L64 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:579153 HCAPLUS
DN 131:214280
TI Preparation of sulfonamides as MMP-8 inhibitors
IN Watanabe, Fumihiko; Tsumiki, Hiroshige
PA Shionogi and Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 11246527	A2	19990914	JP 1998-49260	19980302

OS MARPAT 131:214280
GI



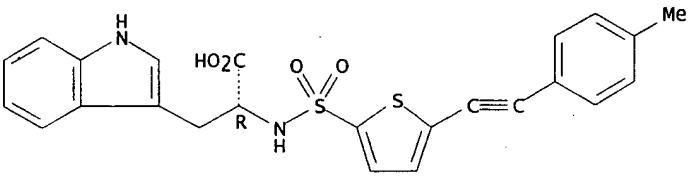
I

AB The title compds. R4R3SO2N(R2)CH(R1)COY [R1 = (un)substituted alkyl, etc.; R2 = H, alkyl, etc.; R3 = phenylene, etc.; R4 = (un)substituted phenyl; Y = NHOH, OH] are prepd. The title compd. I at 1000 nM gave 97.6% inhibition of MMP-8. Formulations are given.

IT 203640-27-1P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(4; prepn. of sulfonamides as MMP-8 inhibitors)

RN 203640-27-1 HCAPLUS
CN D-Tryptophan, N-[[5-[(4-methylphenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

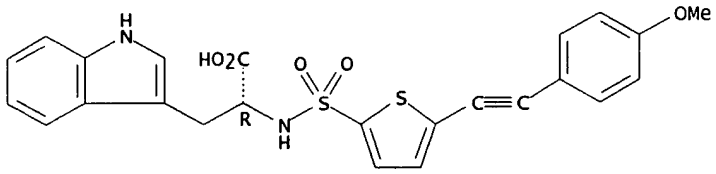
Absolute stereochemistry. Rotation (+).



IT 193809-32-4P 220043-30-1P 220043-32-3P
220043-34-5P 220043-40-3P 220043-41-4P
243144-04-9P 243144-05-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonamides as MMP-8 inhibitors)

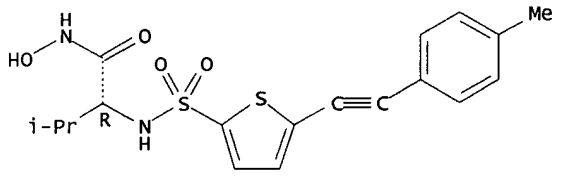
RN 193809-32-4 HCAPLUS
CN D-Tryptophan, N-[[5-[(4-methoxyphenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



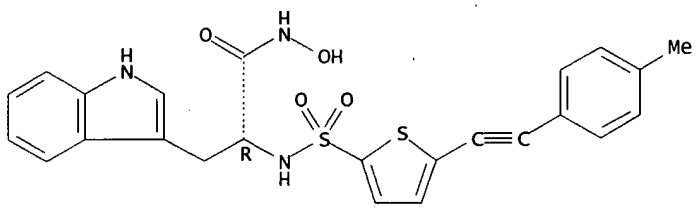
RN 220043-30-1 HCAPLUS
CN Butanamide, N-hydroxy-3-methyl-2-[[[5-[(4-methylphenyl)ethynyl]-2-thienyl]sulfonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



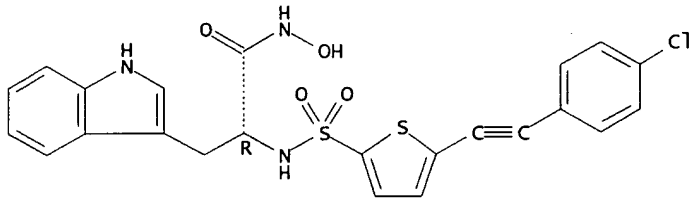
RN 220043-32-3 HCAPLUS
CN 1H-Indole-3-propanamide, N-hydroxy-.alpha.-[[[5-[(4-methylphenyl)ethynyl]-2-thienyl]sulfonyl]amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



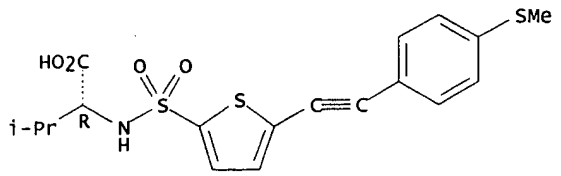
RN 220043-34-5 HCAPLUS
CN 1H-Indole-3-propanamide, .alpha.-[[[5-[(4-chlorophenyl)ethynyl]-2-thienyl]sulfonyl]amino]-N-hydroxy-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



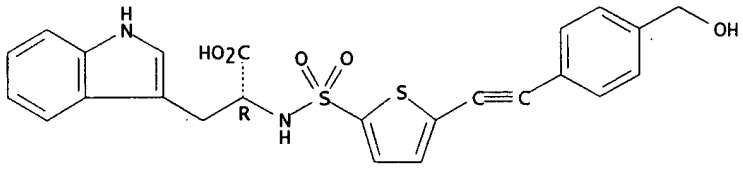
RN 220043-40-3 HCAPLUS
CN D-Valine, N-[[5-[[4-(methylthio)phenyl]ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



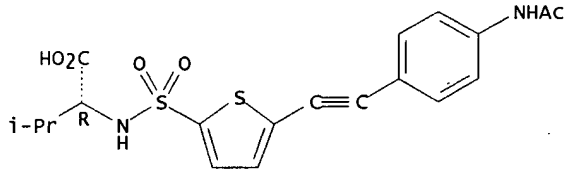
RN 220043-41-4 HCAPLUS
CN D-Tryptophan, N-[[5-[[4-(hydroxymethyl)phenyl]ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



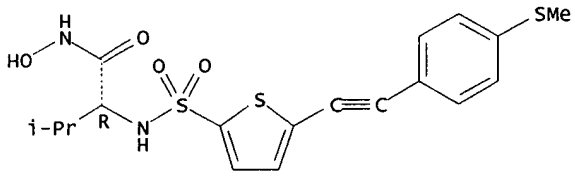
RN 243144-04-9 HCAPLUS
CN D-Valine, N-[[5-[[4-(acetylamino)phenyl]ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



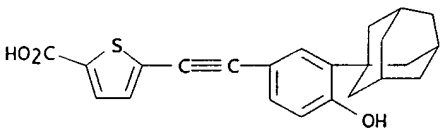
RN 243144-05-0 HCAPLUS
CN Butanamide, N-hydroxy-3-methyl-2-[[[5-[[4-(methylthio)phenyl]ethynyl]-2-thienyl]sulfonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr l64 3

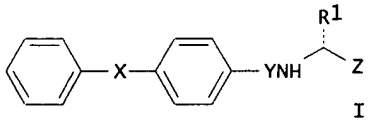
L64 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2001 ACS
AN 1999:455007 HCAPLUS
DN 131:194472
TI Quantitative structure-activity relationship studies of RAR .alpha., .beta., .gamma. retinoid agonists
AU Douguet, Dominique; Thoreau, Etienne; Grassy, Gerard
CS Centre International Recherches Dermatologie GALDERMA, Sophia Antipolis, F-06902, Fr.
SO Quant. Struct.-Act. Relat. (1999), 18(2), 107-123
CODEN: QSARDI; ISSN: 0931-8771
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
AB Structure-activity relationships were established for 140 synthetic retinoid agonists. Retinoids, natural and synthetic analogs of vitamin A, are activating ligands for retinoic acid receptors (RAR.alpha., .beta., and .gamma.), members of the nuclear receptor superfamily. A QSAR study provides information on the type of intermol. and intramol. interactions the active mols. are exposed to during the course of their interaction with the receptor. Retinoid structures were modeled both by mol. and quantum mechanics and were submitted to a preliminary conformational anal. based on mol. dynamics. Linear and non-linear multivariate analyses were performed, revealing the principal electronic and structural characteristics leading to good affinity for each RAR subtype. Distinct structural features were found for each subtype: this is in agreement with the fact that the selectivity of the RAR subtypes results from the change of amino acids in the ligand cavity. Indeed, these amino-acids induce subtle changes in terms of steric properties and specific interactions, thus engendering specificity. The predictive ability of these relationships was validated using a large set of compds. which were not used to derive the model. The goal this of work was to detect relationships between structures and affinity for a broad range of retinoids in order that this model could be used in a more general manner, for example to impose constraints in database searching, or for use in automatic structure generation software.
IT 173191-01-0
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR studies of retinoic acid receptors .alpha., .beta., .gamma. retinoid agonists)
RN 173191-01-0 HCAPLUS
CN 2-Thiophenecarboxylic acid, 5-[(4-hydroxy-3-tricyclo[3.3.1.13,7]dec-1-ylphenyl)ethynyl]- (9CI) (CA INDEX NAME)



RE.CNT 77
RE
(1) Abraham, R; J Computer Aided Mol Design 1989, V3, P175 HCAPLUS
(3) Anon; JP 06072866 HCAPLUS
(4) Bernardon, J; WO 92/06948 1991 HCAPLUS
(5) Bernardon, J; EP 0661258 A1 1994 HCAPLUS
(6) Bernardon, J; EP 0661260 A1 1994 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr l64 4

L64 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2001 ACS
AN 1998:66723 HCAPLUS
DN 128:188290
TI Highly Selective and Orally Active Inhibitors of Type IV Collagenase (MMP-9 and MMP-2): N-Sulfonylamino Acid Derivatives
AU Tamura, Yoshinori; Watanabe, Fumihiko; Nakatani, Takuji; Yasui, Ken; Fuji, Masahiro; Komurasaki, Tadafumi; Tsuzuki, Hiroshige; Maekawa, Ryuji; Yoshioka, Takayuki; Kawada, Kenji; Sugita, Kenji; Ohtani, Mitsuaki
CS Shionogi Research Laboratories, Shionogi Co. Ltd., Osaka, 553, Japan
SO J. Med. Chem. (1998), 41(4), 640-649
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
GI



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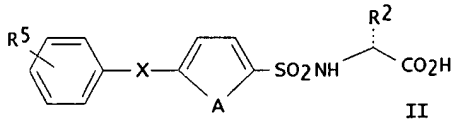
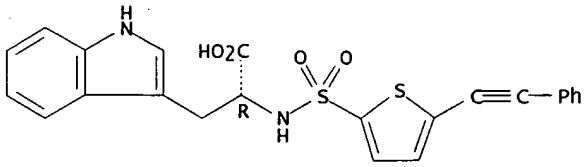


II

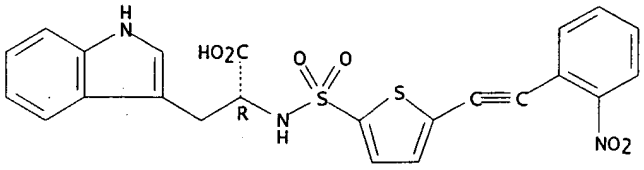
AB Various N-sulfonylamino acid derivs., e.g. I (R1 = PhCH2, X = bond, Y = SO2, CO, Z = CONHOH, CO2H; R1 = indol-3-ylmethyl, X = bond, Y = SO2, Z = CONHOH, CO2H; R1 = Me2CH, X = O, Y = SO2, Z = CONHOH, CO2H) and II (R2 = indol-3-ylmethyl, R5 = H, OMe-4, OMe-3, A = CH:CH, X = bond; R2 = indol-3-ylmethyl, R5 = Me-4, A = S, X = bond; R2 = CHMe2, R5 = OMe-4, SMe-4, A = CH:CH, X = bond; R2 = CHMe2, R5 = OMe-4, A = S, X = bond; R2 = indol-3-ylmethyl, R5 = H, Me-4, CO2H-4, A = CH:CH, X = C.tplbond.C; R2 = indol-3-ylmethyl, R5 = NO2-2, NO2-4, Me-4, A = S, X = C.tplbond.C; R2 = CHMe2, R5 = Me-4, A = CH:CH, S, X = C.tplbond.C; R2 = CH2Ph, R5 = OMe-4, A = CH:CH, S, X = C.tplbond.C), were synthesized and evaluated for their in vitro and in vivo activities to inhibit type IV collagenase (MMP-9 and MMP-2). When the amino acid residue and the sulfonamide moiety were modified, their inhibitory activities were greatly affected by the structure of the sulfonamide moiety. A series of aryl sulfonamide derivs. contg. biaryl, tetrazole, amide, and triple bond were found to be potent and highly selective inhibitors of MMP-9 and MMP-2. In addn., these compds. were orally active in animal models of tumor growth and metastasis. These results revealed the potential of the N-sulfonylamino acid derivs. as a new type of candidate drug for the treatment of cancer.
IT 193809-30-2P 193809-31-3P 193809-35-7P
193809-37-9P 203640-26-0P 203640-27-1P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonylamino acid derivs. as orally active type IV collagenase inhibitors)
RN 193809-30-2 HCAPLUS
CN D-Tryptophan, N-[[5-(phenylethynyl)-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



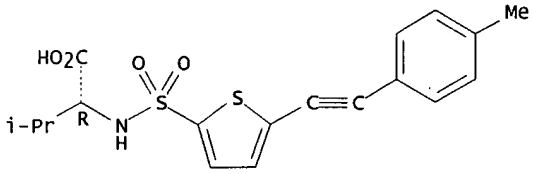
RN 193809-31-3 HCAPLUS
CN D-Tryptophan, N-[[5-[(2-nitrophenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



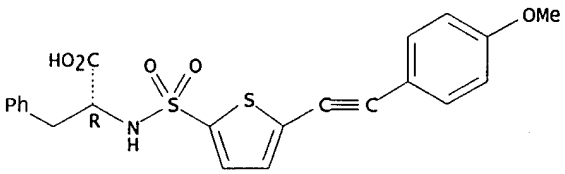
RN 193809-35-7 HCAPLUS
CN D-Valine, N-[[5-[(4-methylphenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



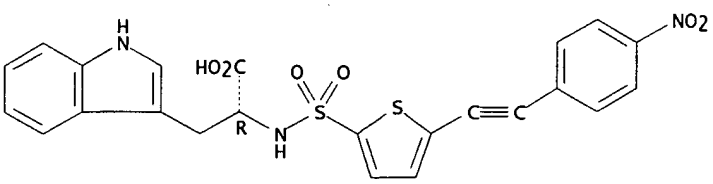
RN 193809-37-9 HCAPLUS
CN D-Phenylalanine, N-[[5-[(4-methoxyphenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 203640-26-0 HCAPLUS
CN D-Tryptophan, N-[[5-[(4-nitrophenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

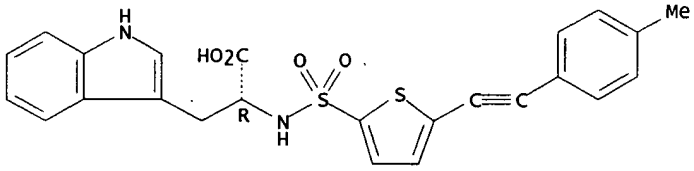
Absolute stereochemistry. Rotation (+).



RN 203640-27-1 HCAPLUS
CN D-Tryptophan, N-[[5-[(4-methylphenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI)

(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



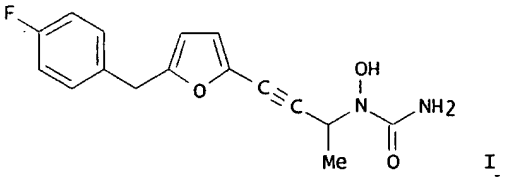
=> d bib abs hitstr l64 5

L64 ANSWER 5 OF 13 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:231462 HCAPLUS
DN 126:317376
TI Preparation of substituted arylalkynyl- and heteroarylalkynyl-N-hydroxyureas as inhibitors of leukotriene biosynthesis
IN Basha, Anwer; Brooks, Clint D. W.; Bhatia, Pramila; Craig, Richard A.; Ratajczyk, James D.; Stewart, Andrew O.
PA Abbott Laboratories, USA
SO U.S., 25 pp. Cont.-in-part of U.S. 5,288,751.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5616596	A	19970401	US 1995-416807	19950413
US 5288751	A	19940222	US 1992-973100	19921106
WO 9411342	A1	19940526	WO 1993-US10675	19931105

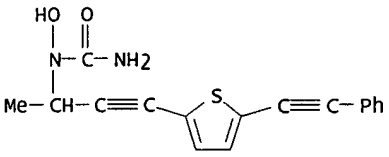
W: AU, CA, JP, KR, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRAI US 1992-973100 19921106
WO 1993-US10675 19931105
OS MARPAT 126:317376
GI



I

AB The title compds. A-L-Z-C.tplbond.C-B-N(OM)C(O)NH2 [M = H, a pharmaceutically acceptable cation, a pharmaceutically acceptable metabolically cleavable group; B = C1-12 divalent alkylene; Z = (un)substituted thiazolyl, furyl, thienyl; L = C1-6 alkylene, C2-6 alkynylene, C(O), etc.; A = (un)substituted carbocyclic aryl], having activity to inhibit lipoxygenase, were prepd. Thus, reaction of 4-[5-(4-fluorophenylmethyl)fur-2-yl]-3-butyn-2-ol with N,O-bis-phenyloxycarbonylhydroxylamine in the presence of Ph3P and diisopropyl azodicarboxylate in THF followed by ammonolysis of the resulting N,O-bis(phenoxycarbonyl)-N-{3-[5-(4-fluorophenylmethyl)fur-2-yl]-1-methyl-2-propynyl}hydroxylamine afforded I which showed IC50 of 0.06 .mu.M against stimulated LTB4 formation in human whole blood.
IT 154355-67-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted arylalkynyl- and heteroarylalkynyl-N-hydroxyureas as inhibitors of leukotriene biosynthesis)
RN 154355-67-6 HCAPLUS
CN Urea, N-hydroxy-N-[1-methyl-3-[5-(phenylethynyl)-2-thienyl]-2-propynyl]- (9CI) (CA INDEX NAME)

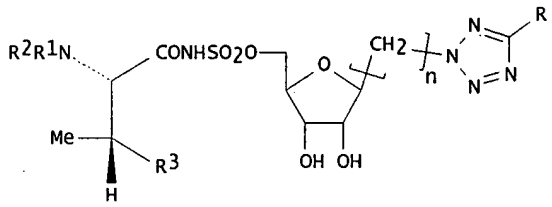


=> d bib abs hitstr 164 6

L64 ANSWER 6 OF 13 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:231091 HCAPLUS
DN 126:212376
TI Preparation of aminoacyl adenylate mimics as novel antimicrobial and antiparasitic agents
IN Hill, Jason M.; Yu, Guixue; Shue, Youe-Kong; Zydowsky, Thomas M.; Rebek, Julius, Jr.
PA Cubist Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9705132	A1	19970213	WO 1996-US11910	19960718
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	US 5726195	A	19980310	US 1996-683809	19960716
	AU 9665006	A1	19970226	AU 1996-65006	19960718
PRAI	US 1995-1649		19950728		
	US 1996-14881		19960404		
	US 1996-683809		19960716		
	WO 1996-US11910		19960718		

OS MARPAT 126:212376
GI

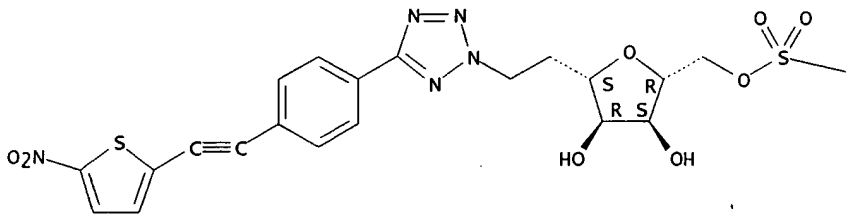


I

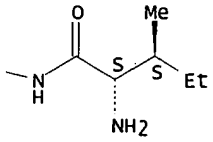
AB Aminoacyl adenylate mimics I (R = amino, alkyl, aryl, cycloalkyl, alkoxy, aryloxy; R1,R2 = alkyl, aryl, carboalkoxy; alkylthiocarbonyl, carboxamido, acyl; R3 = Et, OMe; n = 1, 2) are described. An exemplary compd. of this invention is [S-(R*,R*)]-3,6-anhydro-1,2-dideoxy-1-[5-[4-[(5-nitro-2-thienyl)ethynyl]phenyl]-2H-tetrazol-2-yl]-D-allo-heptitol 7-(2-amino-3-methyl-1-oxopentyl)sulfamate. These compds. inhibit isoleucyl-tRNA synthetases and are useful as antimicrobial and antiparasitic agents such as multi-drug resistant Streptococcus pyogenes (IC50 = 0.3-11 nM).
IT 188022-36-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminoacyl adenylate mimics as novel antimicrobial and antiparasitic agents)
RN 188022-36-8 HCAPLUS
CN D-allo-Heptitol, 3,6-anhydro-1,2-dideoxy-1-[5-[4-[(5-nitro-2-thienyl)ethynyl]phenyl]-2H-tetrazol-2-yl]-, 7-[[(2S,3S)-2-amino-3-methyl-1-oxopentyl]sulfamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

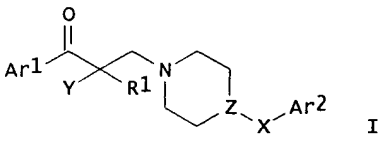


=> d bib abs hitstr l64 7

L64 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:476743 HCAPLUS
DN 125:142771
TI Preparation of 1-aryl-3-piperazinopropanones for treatment of Alzheimer's disease
IN Debernardis, John F.; Kerkman, Daniel J.; Zinkowski, Raymond P.
PA Molecular Geriatrics Corporation, USA
SO PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9616052	A2	19960530	WO 1995-US14987	19951116
	WO 9616052	A3	19960801		
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5693804	A	19971202	US 1994-341507	19941117
	AU 9642387	A1	19960617	AU 1996-42387	19951116
	AU 711703	B2	19991021		
	EP 792269	A2	19970903	EP 1995-940734	19951116
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 10510248	T2	19981006	JP 1995-516990	19951116
PRAI	US 1994-341507	19941117			
	WO 1995-US14987	19951116			

OS MARPAT 125:142771
GI

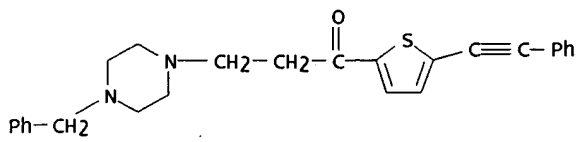


I

AB The title compds., [I; X = CO, SO2, CH2, CHPh; Z = N, CH; Ar1 = (substituted) Ph; thienyl, furyl, etc.; Ar2 = naphthyl, thienyl, furyl, etc.; Y = H, bonded to Ar1 through CH2, etc.; R1 = H, alkyl, (substituted) Ph], useful in the treatment of neoplastic diseases, and bacterial or fungal infections, and in preventing or decreasing the prodn. of abnormally phosphorylated paired helical filament (PHF) epitopes assocd. with Alzheimer's Disease, were prepd. Reaction of 4-O2NC6H4COMe with 1-benzylpiperazine and paraformaldehyde in the presence of conc. HCl in i-PrOH afforded I.2HCl [X = CH2; Z = N; Ar1 = 4-O2NC6H4; Ar2 = Ph; Y = R1 = H] which showed IC50 of 5.0 .mu.M for inhibition TG3 immunoreactivity in OKA (okadaic acid) treated MSN1a cells.

IT 179335-34-3P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-aryl-3-piperazinopropanones for treatment of Alzheimer's disease)

RN 179335-34-3 HCAPLUS
CN 1-Propanone, 1-[5-(phenylethynyl)-2-thienyl]-3-[4-(phenylmethyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



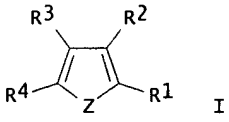
● 2 HCl

=> d bib abs hitstr l64 8

L64 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2001 ACS
AN 1995:994741 HCAPLUS
DN 124:86809
TI Preparation of (pyrrolyl- and thienylcarbonyl)guanidines as sodium-hydrogen exchange inhibitors, antiarrhythmic agents, and cell proliferation inhibitors
IN Kleemann, Heinz-Werner; Lang, Hans-Jochen; Schwark, Jan-Robert; Weichert, Andreas; Scholz, Wolfgang; Albus, Udo
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 676395	A2	19951011	EP 1995-105088	19950405
	EP 676395	A3	19960306		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	DE 4412334	A1	19951019	DE 1994-4412334	19940411
	FI 9501681	A	19951012	FI 1995-1681	19950407
	AU 9516354	A1	19951019	AU 1995-16354	19950407
	AU 683722	B2	19971120		
	US 5698581	A	19971216	US 1995-418434	19950407
	CA 2146707	AA	19951012	CA 1995-2146707	19950410
	NO 9501405	A	19951012	NO 1995-1405	19950410
	JP 07291927	A2	19951107	JP 1995-107811	19950410
	ZA 9502930	A	19960126	ZA 1995-2930	19950410
	HU 71616	A2	19960129	HU 1995-1035	19950410
	CN 1117044	A	19960221	CN 1995-104391	19950410
PRAI	DE 1994-4412334		19940411		

OS MARPAT 124:86809
GI

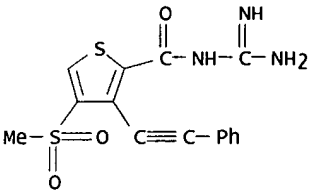


I

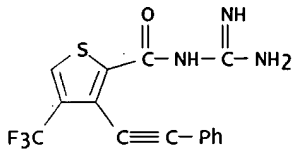
AB Title compds. [I; 1 of R1,R2 = CON:C(NH2)2 and the other = H, halo, alkyl, CON:C(NH2)2, NH2, etc.; R3,R4 = H, halo, cyano, alkyl, Ph, heteroaryl, etc.; Z = SOO-2, O, NR5; R5 = H, alkyl, etc.] were prepd. Thus, Me 1-methylpyrrole-2-carboxylate was alkylated with (CF3)2CFI and the product amidated with guanidine to give I [R1 = CON:C(NH2)2, R2 = R3 = H, R4 = (CF3)2CF, Z = NMe] which ad IC50 of 0.3.mu.M against Na+/H+ exchange in rabbit erythrocytes in vitro.

IT 172457-85-1P 172461-16-4P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (pyrrolyl- and thienylcarbonyl)guanidines as sodium-hydrogen exchange inhibitors, antiarrhythmic agents, and cell proliferation inhibitors)

RN 172457-85-1 HCAPLUS
CN 2-Thiophenecarboxamide, N-(aminoiminomethyl)-4-(methylsulfonyl)-3-(phenylethynyl)- (9CI) (CA INDEX NAME)



RN 172461-16-4 HCAPLUS
CN 2-Thiophenecarboxamide, N-(aminoiminomethyl)-3-(phenylethynyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

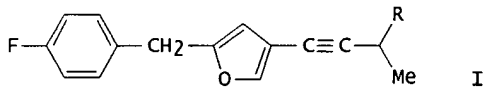


=> d bib abs hitstr 164 9

L64 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2001 ACS
AN 1994:244653 HCAPLUS
DN 120:244653
TI Preparation of N-[(phenyalkyl)furylalkynyl- and - thienylalkynyl]-N-hydroxyureas and analogs as inhibitors of leukotriene biosynthesis
IN Brooks, Dee W.; Stewart, Andrew O.; Basha, Anwer; Bhatia, Pramila; Ratajczyk, James D.
PA Abbott Laboratories, USA
SO U.S., 15 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5288751	A	19940222	US 1992-973100	19921106
	WO 9411342	A1	19940526	WO 1993-US10675	19931105
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2136077	AA	19940526	CA 1993-2136077	19931105
	AU 9456660	A1	19940608	AU 1994-56660	19931105
	AU 673040	B2	19961024		
	EP 667855	A1	19950823	EP 1994-902209	19931105
	EP 667855	B1	19990324		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 08503200	T2	19960409	JP 1993-511496	19931105
	AT 178049	E	19990415	AT 1994-902209	19931105
	ES 2131185	T3	19990716	ES 1994-902209	19931105
	US 5616596	A	19970401	US 1995-416807	19950413
PRAI	US 1992-973100	19921106			
	WO 1993-US10675	19931105			

OS MARPAT 120:244653
GI

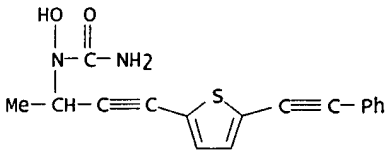


I

AB ALZC.tplbond.CBN(OM)CONH2 [A = (substituted) carbocyclic aryl; B = alkylene; L = (O- or CO-interrupted)alkylene, CO, C(:NOH), etc.; M = H, cation, metabolically labile group; Z = phenylene, furylene. thienylene, etc.] were prepd. Thus, 4-FC6H4CH2Br was condensed with furan and the brominated product condensed with HC.tplbond.CCH(OH)Me to give butynol I (R = OH) which was condensed with PhO2CNHOCO2Ph to give, after aq. NH3 treatment, I [R = N(OH)CONH2]. The latter gave 68% inhibition of leukotriene biosynthesis in a rat peritoneal anaphylaxis model at 30.mu.mol/kg orally.

IT **154355-67-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as leukotriene biosynthesis inhibitor)

RN 154355-67-6 HCAPLUS
CN Urea, N-hydroxy-N-[1-methyl-3-[5-(phenylethynyl)-2-thienyl]-2-propynyl]- (9CI) (CA INDEX NAME)

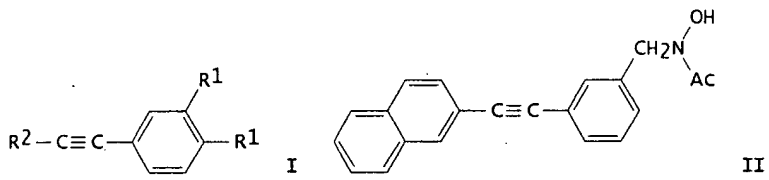


=> d bib abs hitstr l64 10

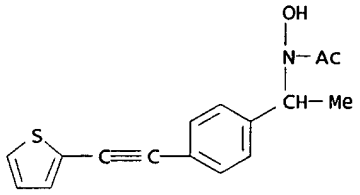
L64 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2001 ACS
AN 1992:426103 HCAPLUS
DN 117:26103
TI Lipoxygenase-inhibiting substituted phenylacetylenes, pharmaceuticals containing them, and methods for the preparation of the compounds and pharmaceuticals
IN Zimmer, Oswald; Vollenberg, Werner; Seipp, Ulrich; Englberger, Werner; Haurand, Michael; Bosman, Brigitte J.; Schneider, Johannes
PA Gruenenthal G.m.b.H., Germany
SO Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 468281	A1	19920129	EP 1991-111487	19910710
	EP 468281	B1	19950308		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DE 4023742	A1	19920130	DE 1990-4023742	19900726
	CA 2043823	AA	19920127	CA 1991-2043823	19910604
	IL 98391	A1	19950526	IL 1991-98391	19910606
	ZA 9104386	A	19920429	ZA 1991-4386	19910607
	HU 58047	A2	19920128	HU 1991-2296	19910708
	AU 9180333	A1	19920130	AU 1991-80333	19910710
	AU 637513	B2	19930527		
	ES 2072487	T3	19950716	ES 1991-111487	19910710
	US 5202349	A	19930413	US 1991-732168	19910718
	FI 9103565	A	19920127	FI 1991-3565	19910725
	JP 04243856	A2	19920831	JP 1991-186444	19910725
	RU 2014323	C1	19940615	RU 1991-5001103	19910725
PRAI	DE 1990-4023742	19900726			

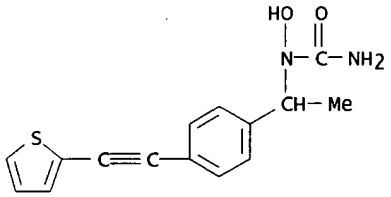
OS MARPAT 117:26103
GI



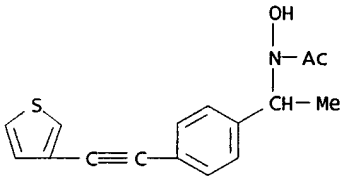
AB Title compds. I [one of R1 = H, the other = CHR3N(OH)COR4; R2 = certain (un)substituted Ph, naphthyl, thienyl, benzothienyl, pyridyl, quinolinyl, or benzodioxolanyl; R3 = H, Me, Et; R4 = Me, amino] were prepd. as selective lipoxygenase inhibitors, useful esp. as antiasthmatics. For example, coupling of naphth-2-ylacetylene and 3-bromobenzaldehyde using (Ph3P)2PdCl2 and CuI catalysts in Et3N gave 65.5% 3-(naphth-2-ylethynyl)benzaldehyde, which underwent oximation (97.2%), followed by redn. of the oxime with NaBH3CN and acetylation with Ac2O (62.6%) to give title compd. II. In vitro tests of II showed IC50 values of 0.67 .mu.M and >500 .mu.M for inhibition of 5-lipoxygenase and cyclooxygenase, resp. Prepns. of over 60 I are described, along with addnl. biol. data for some.
IT 141697-19-0P 141697-20-3P 141697-21-4P
141697-22-5P 141697-23-6P 141697-24-7P
141697-25-8P 141697-26-9P 141697-27-0P
141697-28-1P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as lipoxygenase inhibitor)
RN 141697-19-0 HCAPLUS
CN Acetamide, N-hydroxy-N-[1-[4-(2-thienylethynyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



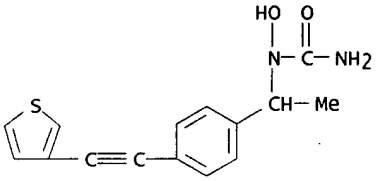
RN 141697-20-3 HCAPLUS
CN Urea, N-hydroxy-N-[1-[4-(2-thienylethynyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



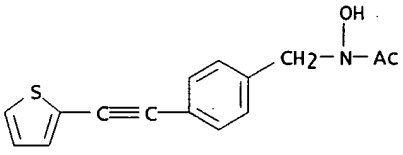
RN 141697-21-4 HCAPLUS
CN Acetamide, N-hydroxy-N-[1-[4-(3-thienylethynyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



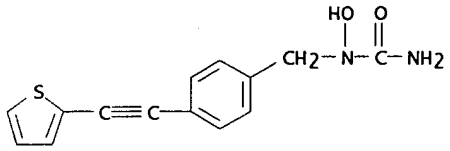
RN 141697-22-5 HCAPLUS
CN Urea, N-hydroxy-N-[1-[4-(3-thienylethynyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



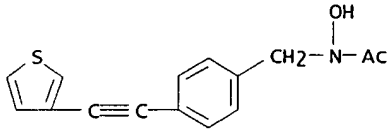
RN 141697-23-6 HCAPLUS
CN Acetamide, N-hydroxy-N-[[4-(2-thienylethynyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



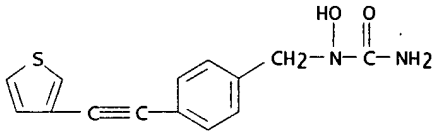
RN 141697-24-7 HCAPLUS
CN Urea, N-hydroxy-N-[[4-(2-thienylethynyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



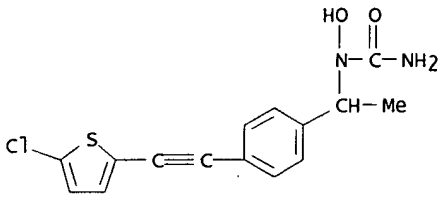
RN 141697-25-8 HCAPLUS
CN Acetamide, N-hydroxy-N-[[4-(3-thienylethynyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



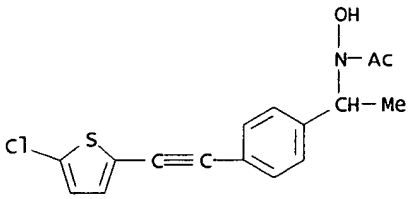
RN 141697-26-9 HCAPLUS
CN Urea, N-hydroxy-N-[[4-(3-thienylethynyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 141697-27-0 HCAPLUS
CN Urea, N-[1-[4-[(5-chloro-2-thienyl)ethynyl]phenyl]ethyl]-N-hydroxy- (9CI) (CA INDEX NAME)

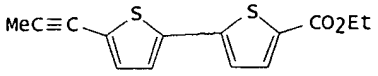


RN 141697-28-1 HCAPLUS
CN Acetamide, N-[1-[4-[(5-chloro-2-thienyl)ethynyl]phenyl]ethyl]-N-hydroxy- (9CI) (CA INDEX NAME)



=> d bib abs hitstr l64 11

L64 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2001 ACS
AN 1989:185340 HCAPLUS
DN 110:185340
TI Antiviral properties of acetylenes and thiophenes
AU Hudson, J. B.; Towers, G. H. N.
CS Dep. Bot., Univ. British Columbia, Vancouver, BC, Can.
SO Bioact. Mol. (1988), 7(Chem. Biol. Nat.-Occurring Acetylenes Relat. Compd.), 315-38
CODEN: BMOLEY; ISSN: 0921-0687
DT Journal
LA English
GI



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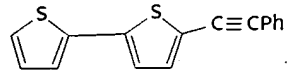
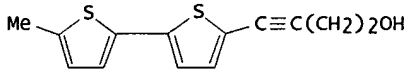
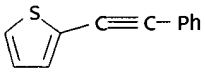


II

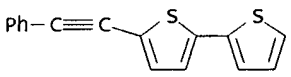


III

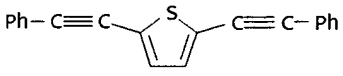
AB A series of 31 synthetic thiophenes was tested for antiviral activity in vitro using Sinbis virus or murine cytomegalovirus infection of murine 3T3-L1 cells and std. UV-A exposure as the test system. None of the compds. were active in the dark. I was the most potent inhibitor of Sindbis virus, and II and III were the most active against murine cytomegalovirus. In general, there was a fairly good agreement between the results for the 2 viruses. Structure-activity relationships are discussed. The antiviral activities of 5 addnl. compds. (thiarubrine A, thiophene A, .alpha.-terthienyl, phenylheptatriyne, and ACBP-thiophene) are reviewed.
IT **4805-17-8 4805-21-4 90267-18-8**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(virucidal activity of, light-dependent, structure in relation to)
RN 4805-17-8 HCAPLUS
CN Thiophene, 2-(phenylethynyl)- (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 4805-21-4 HCAPLUS
CN 2,2'-Bithiophene, 5-(phenylethynyl)- (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 90267-18-8 HCAPLUS
CN Thiophene, 2,5-bis(phenylethynyl)- (9CI) (CA INDEX NAME)

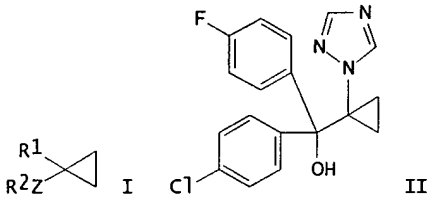


=> d bib abs hitstr 164 12

L64 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2001 ACS
AN 1987:617636 HCAPLUS
DN 107:217636
TI Preparation of 1,1-disubstituted cyclopropane derivatives as medical fungicides
IN Ehrhardt, Heinz; Blume, Ernst; Raether, Wolfgang; Dittmar, Walter
PA Hoechst A.-G. , Fed. Rep. Ger.
SO Ger. Offen., 26 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3608727	A1	19870917	DE 1986-3608727	19860315
	EP 237916	A2	19870923	EP 1987-103390	19870310
	EP 237916	A3	19900822		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FI 8701080	A	19870916	FI 1987-1080	19870312
	DK 8701313	A	19870916	DK 1987-1313	19870313
	NO 8701051	A	19870916	NO 1987-1051	19870313
	NO 165104	B	19900917		
	NO 165104	C	19901227		
	AU 8769990	A1	19870917	AU 1987-69990	19870313
	AU 588980	B2	19890928		
	JP 62230742	A2	19871009	JP 1987-56944	19870313
	ZA 8701838	A	19871028	ZA 1987-1838	19870313
	HU 43829	A2	19871228	HU 1987-1106	19870313
	US 4829075	A	19890509	US 1987-25581	19870313
	IL 81880	A1	19910131	IL 1987-81880	19870313
	NO 8703865	A	19870916	NO 1987-3865	19870915
PRAI	DE 1986-3608727	19860315			
	NO 1987-1051	19870313			

GI



I II

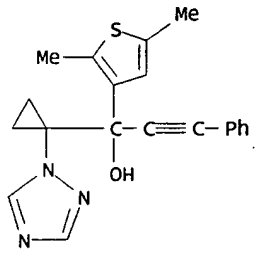
AB The title compds. [I; R1 = pyrrolidinyl, piperidinyl, morphilino, amino, (substituted) alkoxy, alkylthio, benzothiazolyloxy, etc.; R2 = Me3C, (substituted) Ph, biphenyl, phenylsulfonylphenyl, naphthyl, indanyl, etc.; Z = CH2, C:O, C:R3H, C:NNR4H, C:NOR5, COR5R6; R3 = CN, H, (substituted) alkyl, cycloalkyl, C6H5CO, Ph; R4 = H, alkyl, (substituted) Ph; R5 = H, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, farnesyl, triazolylmethyl, Ph, etc.; R6 = H, alkyl, alkynyl, (substituted) phenylethynyl, PhCH2, Ph] were prepd. as medical fungicides. 4-Chlorophenyl-1-(1,2,4-triazol-1-yl)cyclopropyl ketone in THF was added to 4-FC6H4MgBr in Et2O at room temp. and the mixt. was refluxed 2 h to give 73% triazolylcyclopropylcarbinol II. In mice infected with Candida albicans 8 .times. 30 mg II/kg orally increased survival times by 48% over those of animals treated with ketoconazole.

IT 111262-80-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as medical fungicide)

RN 111262-80-7 HCAPLUS
CN 3-Thiophenemethanol, 2,5-dimethyl-.alpha.-(phenylethynyl)-.alpha.-[1-(1H-1,2,4-triazol-1-yl)cyclopropyl]-, mononitrate (salt) (9CI) (CA INDEX NAME)

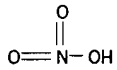
CM 1

CRN 111262-79-4
CMF C20 H19 N3 O S



CM 2

CRN 7697-37-2
CMF H N O3

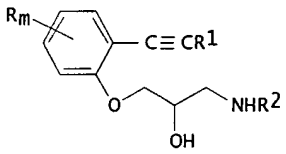


=> d bib abs hitstr l64 13

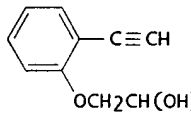
L64 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2001 ACS
AN 1987:477423 HCAPLUS
DN 107:77423
TI Preparation of heteroaromatic acetylenes useful as antihypertensive agents
IN Carson, John R.
PA McNeilab, Inc., USA
SO U.S., 8 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4663334	A	19870505	US 1985-807551	19851211
	US 4728666	A	19880301	US 1986-934371	19861124
	CA 1292739	A1	19911203	CA 1986-524856	19861209
	FI 8605028	A	19870612	FI 1986-5028	19861210
	DK 8605946	A	19870612	DK 1986-5946	19861210
	NO 8604987	A	19870612	NO 1986-4987	19861210
	EP 226447	A2	19870624	EP 1986-309601	19861210
	EP 226447	A3	19880831		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 62175460	A2	19870801	JP 1986-292673	19861210
	ZA 8609333	A	19880727	ZA 1986-9333	19861210
	CN 86108922	A	19870805	CN 1986-108922	19861211
	HU 44013	A2	19880128	HU 1986-5174	19861211
	HU 196373	B	19881128		
	AU 8666424	A1	19880616	AU 1986-66424	19861211
	AU 597319	B2	19900531		
PRAI	US 1985-807551		19851211		

GI



I

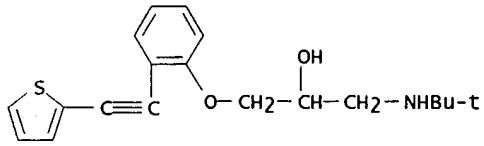


II

AB Title compds. I [R = alkyl, alkoxy, halo, alkoxyalkyl, carboxamidoalkyl, Cl, F, Br; m = 0-2; R1 = (un)substituted heterocycyl; R2 = C3-7 alkyl], useful as antihypertensives, are prepd. A THF/Et3N soln. of 6.1 g ethynylphenoxypropanolamine II was coupled with 5.8 g 4-bromopyridine in the presence of 0.14 g (Ph3P)4Pd and 0.05 g CuI over 18 h under a N atm. to give I (m = 0, R1 = 4-pyridinyl, R2 = CMe3), isolated as the fumarate salt. This compd. at 30 mg/kg orally caused a 46 mm Hg drop in blood pressure (sustained for 7.5 h) in std. spontaneously hypertensive rat testing.

IT 109684-33-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antihypertensive)

RN 109684-33-5 HCAPLUS
CN 2-Propanol, 1-[(1,1-dimethylethyl)amino]-3-[2-(2-thienylethynyl)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

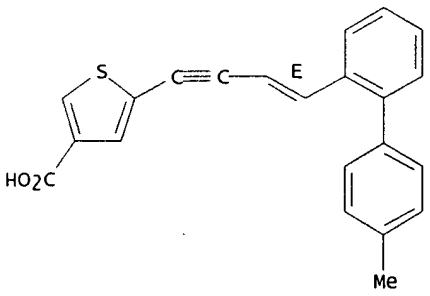
=> d bib abs hitstr l63 12

L63 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2001 ACS
AN 1998:764185 HCAPLUS
DN 130:24857
TI Preparation of [(biphenylyl)butenynyl]benzoates and analogs as retinoid receptor ligands
IN Bernardon, Jean-michel; Nedoncelle, Philippe
PA Centre International De Recherches Dermatologiques Galderma (C.I.R.D. Galder, Fr.
SO Eur. Pat. Appl., 72 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

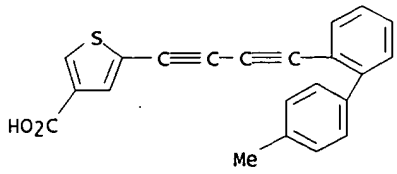
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 879814	A1	19981125	EP 1998-401144	19980513
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	FR 2763588	A1	19981127	FR 1997-6340	19970523
	FR 2763588	B1	19990709		
	AU 9867049	A1	19981126	AU 1998-67049	19980519
	AU 713781	B2	19991209		
	NO 9802296	A	19981124	NO 1998-2296	19980520
	ZA 9804296	A	19981126	ZA 1998-4296	19980521
	CA 2238465	AA	19981123	CA 1998-2238465	19980522
	JP 11029522	A2	19990202	JP 1998-141929	19980522
	JP 2974658	B2	19991110		
	BR 9802130	A	19990720	BR 1998-2130	19980525
	US 6150413	A	20001121	US 1998-84235	19980526 <--
PRAI	FR 1997-6340		19970523		

OS MARPAT 130:24857
AB R1Z1Z2Z3R3 [I; R1 = Me, CH2OH, OH, CHO, CO2H, etc.; R3 = (un)substituted Ph, -pyridyl, -thienyl, -furyl, etc.; Z1 = (un)substituted 1,4-phenylene, -pyridinediyl, -furandiyl, -thiophenediyl; Z2 = (E)-CR7:CHC.tplbond.C, (E,E)-CR7:CHCR8:CH, (E)-CR7:CHCONR9, etc.; R7-R9 = H or alkyl; Z3 = (un)substituted phenylene] were prepd. Thus, 2-PhC6H4CH:CHI was condensed with 4-(HC.tplbond.C)C6H4CO2Me (prepn. each given) to give, after sapon., (E)-2-PhC6H4CH:CHC.tplbond.CC6H4(CO2H)-4. Data for biol. activity of I were given.
IT 216442-32-9P 216442-43-2P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of [(biphenylyl)butenynyl]benzoates and analogs as retinoid receptor ligands)
RN 216442-32-9 HCAPLUS
CN 3-Thiophenecarboxylic acid, 5-[(3E)-4-(4'-methyl[1,1'-biphenyl]-2-yl)-3-buten-1-ynyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 216442-43-2 HCAPLUS
CN 3-Thiophenecarboxylic acid, 5-[4-(4'-methyl[1,1'-biphenyl]-2-yl)-1,3-butadiynyl]- (9CI) (CA INDEX NAME)



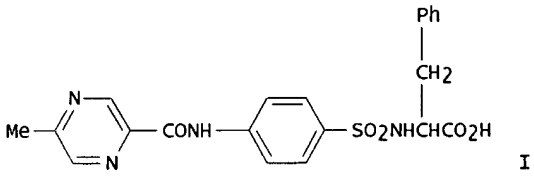
RE.CNT 5
RE
(1) Chandraratna, R; European Journal of Medicinal Chemistry Chimica Therapeutica 1995, V30, P505s HCAPLUS
(2) Hisamitsu Pharmaceutical Co Inc Jp; JP 61271262 A HCAPLUS
(3) Jong, L; Journal of Medicinal Chemistry 1993, V36(18) HCAPLUS
(4) Kagechika, H; Journal of Medicinal Chemistry 1988, V31(11), P2182 HCAPLUS
(5) Torrado, A; Synthesis 1995, P285 HCAPLUS

=> d bib abs hitstr l63 13

L63 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:513624 HCAPLUS
DN 127:162119
TI Preparation of N-sulfonylamino acid derivatives as metalloproteinase inhibitors
IN Watanabe, Fumihiko; Tsuzuki, Hiroshige; Ohtani, Mitsuaki
PA Shionogi and vCo., Ltd., Japan; Watanabe, Fumihiko; Tsuzuki, Hiroshige; Ohtani, Mitsuaki
SO PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9727174	A1	19970731	WO 1997-JP126	19970122
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2242416	AA	19970731	CA 1997-2242416	19970122
AU 9713195	A1	19970820	AU 1997-13195	19970122 <--
AU 715764	B2	20000210		
CN 1214041	A	19990414	CN 1997-193226	19970122
BR 9707010	A	19990720	BR 1997-7010	19970122
EP 950656	A1	19991020	EP 1997-900747	19970122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 9803376	A	19980914	NO 1998-3376	19980722
US 6150394	A	20001121	US 1998-120378	19980722 <--
PRAI JP 1996-30082	19960123			
JP 1996-213555	19960813			
WO 1997-JP126	19970122			

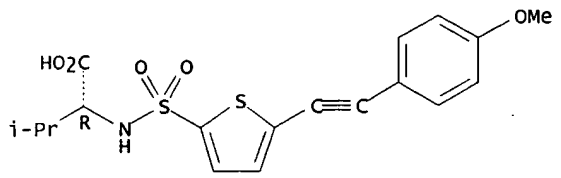
OS MARPAT 127:162119
GI



I

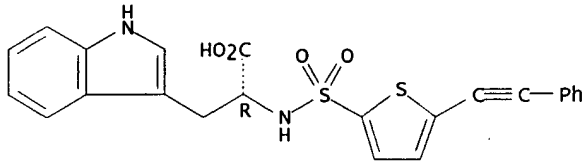
AB The title compds. R5R4R3SO2NR2CHR1COY [R1 = (un)substituted alkyl, aryl, aralkyl, heteroaryl, etc.; R2 = H, (un)substituted alkyl, etc.; R3 = single bond, (un)substituted arylene, etc.; R4 = single bond, CH:CH, C.tplbond.C, CO, CONH, N:N, NHCONH, NHCO, O, S, SO2NH, etc.; R5 = (un)substituted alkyl, cycloalkyl, etc.; Y = NHOH, OH; a proviso is given] are prepd. The title compd. (R)-I in vitro showed IC50 of 3.95 .mu.M against MMP-9 (gelatinase B).
IT 193809-07-3P 193809-30-2P 193809-31-3P
193809-32-4P 193809-33-5P 193809-34-6P
193809-35-7P 193809-36-8P 193809-37-9P
193809-38-0P 193809-39-1P 193809-40-4P
193809-41-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonylamino acid derivs. as metalloproteinase inhibitors)
RN 193809-07-3 HCAPLUS
CN D-Valine, N-[[5-[(4-methoxyphenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



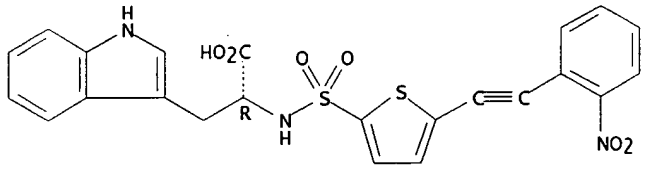
RN 193809-30-2 HCAPLUS
CN D-Tryptophan, N-[[5-(phenylethynyl)-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



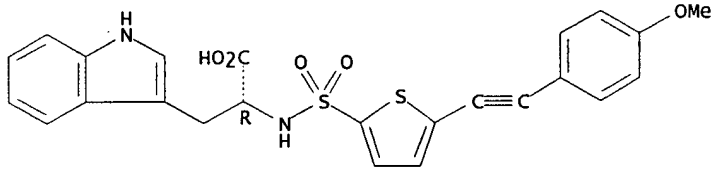
RN 193809-31-3 HCAPLUS
CN D-Tryptophan, N-[[5-[(2-nitrophenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



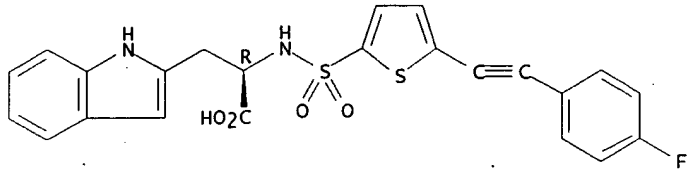
RN 193809-32-4 HCAPLUS
CN D-Tryptophan, N-[[5-[(4-methoxyphenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



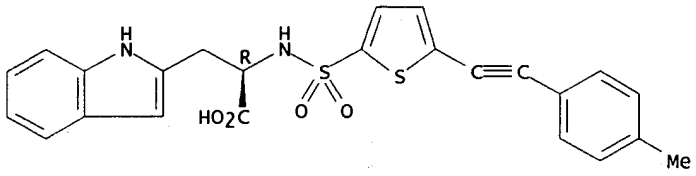
RN 193809-33-5 HCAPLUS
CN 1H-Indole-2-propanoic acid, .alpha.-[[[5-[(4-fluorophenyl)ethynyl]-2-thienyl]sulfonyl]amino]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



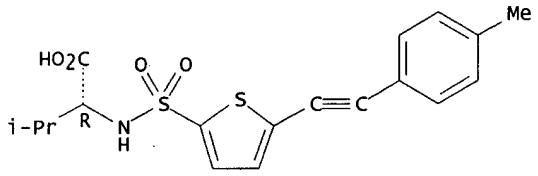
RN 193809-34-6 HCAPLUS
CN 1H-Indole-2-propanoic acid, .alpha.-[[[5-[(4-methylphenyl)ethynyl]-2-thienyl]sulfonyl]amino]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



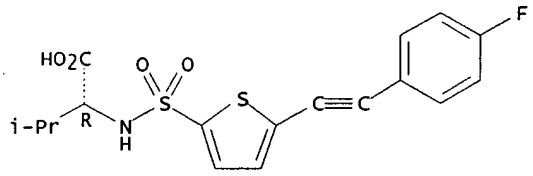
RN 193809-35-7 HCAPLUS
CN D-Valine, N-[[5-[(4-methylphenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



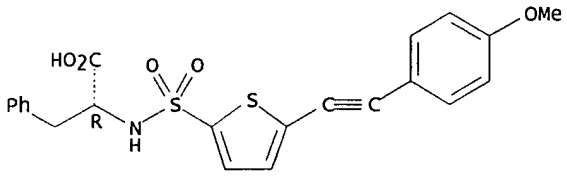
RN 193809-36-8 HCAPLUS
CN D-Valine, N-[[5-[(4-fluorophenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



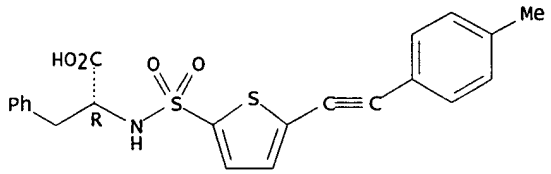
RN 193809-37-9 HCAPLUS
CN D-Phenylalanine, N-[[5-[(4-methoxyphenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



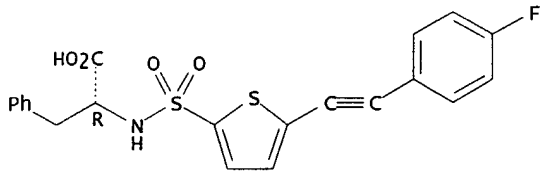
RN 193809-38-0 HCAPLUS
CN D-Phenylalanine, N-[[5-[(4-methylphenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



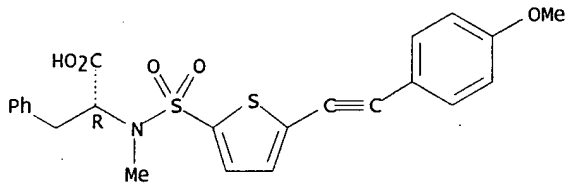
RN 193809-39-1 HCAPLUS
CN D-Phenylalanine, N-[[5-[(4-fluorophenyl)ethynyl]-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



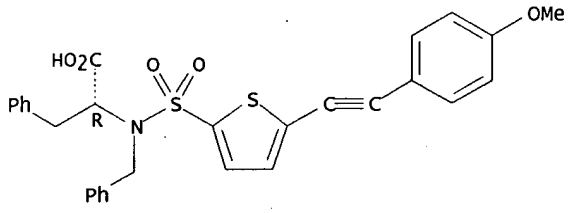
RN 193809-40-4 HCAPLUS
CN D-Phenylalanine, N-[[5-[(4-methoxyphenyl)ethynyl]-2-thienyl]sulfonyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 193809-41-5 HCAPLUS
CN D-Phenylalanine, N-[[5-[(4-methoxyphenyl)ethynyl]-2-thienyl]sulfonyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr l63 14

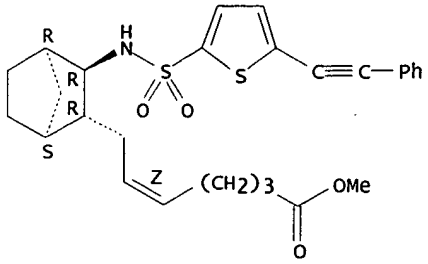
L63 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2001 ACS
AN 1997:145245 HCAPLUS
DN 126:157408
TI Preparation of N-(arylcarbonyl or heterocyclylcarbonyl)amino(carboxyalkenyl)bicycloheptane derivatives or analogs thereof and prostaglandin D2 (PGD2) antagonists containing the same
IN Ohtani, Mitsuaki; Arimura, Akinori; Tsuri, Tatsuo; Kishino, Junji; Honma, Tsunetoshi
PA Shionogi and Co., Ltd., Japan; Ohtani, Mitsuaki; Arimura, Akinori; Tsuri, Tatsuo; Kishino, Junji; Honma, Tsunetoshi
SO PCT Int. Appl., 242 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9700853	A1	19970109	WO 1996-JP1685	19960619
W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2225250	AA	19970109	CA 1996-2225250	19960619
AU 9661370	A1	19970122	AU 1996-61370	19960619
AU 714312	B2	19991223		
EP 837052	A1	19980422	EP 1996-918841	19960619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1193315	A	19980916	CN 1996-196326	19960619
BR 9608498	A	19990706	BR 1996-8498	19960619
CZ 285870	B6	19991117	CZ 1997-4013	19960619
NO 9705994	A	19980223	NO 1997-5994	19971219
US 6172113	B1	20010109	US 1998-973983	19980422 <--
PRAI JP 1995-154575	19950621			
WO 1996-JP1685	19960619			

OS MARPAT 126:157408
GI For diagram(s), see printed CA Issue.
AB Compds. of general formula [I; ring Y = Q - Q3; A = alkylene optionally interrupted with phenylene or hetero atoms and optionally contg. oxo and/or unsatd. bonds; B = H, alkyl, aralkyl, acyl; R = CO2R1, CH2OR2, CONR3R4; R1, R2 = H, alkyl; R3, R4 = H, alkyl, OH, alkylsulfonyl; X1 = single bond, phenylene, naphthylene, thiophenediyl, indolediyl, oxazolediyl; X2 = single bond, N:N, N:CH, CH:N, CH:NN, CH:NO, C:NNHCSNH, C:NNHCONH, CH:CH, CH(OH), CCl:CCl, (CH2)n, C.tplbond.C, NR5, NR5CO, NR5SO2, NR5CONR5, CONR5, SO2NR5, O, S, SO, SO2, CO, oxadiazolediyl, thiadiazolediyl, tetrazolediyl; wherein R5 = H, alkyl; X3 = alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclyl, cycloalkyl, cycloalkenyl, thiazolylidene, etc.; Z = SO2, CO; m = 0,1; wherein if the substituents are in the form of rings, they may be optionally substituted] or salts thereof or hydrates thereof are prepd. These compds. are useful as a PGD2 antagonists and thus usable in, for example, a remedy for systemic mastocytosis or systemic mast cell activation disorders, a drug for bronchoconstriction, an antiasthmatic, a drug for allergic rhinitis agent, a drug for allergic conjunctivitis, a drug for urticaria, a remedy for ischemia reperfusion disorders or an antiinflammatory agent. They are particularly useful in the treatment of nasal occlusion. Thus, a bicyclo[2.2.1]heptane deriv. (II; R = Me, R7 = H) was condensed with 2-chlorosulfonyldibenzofuran in the presence of Et3N in CH2Cl2 to give, after sapon., II .Na (R = H, R7 = Q3). I in vitro inhibited the binding of [3H]PGD2 to PGD2 receptor prepn. from human blood platelet fraction with IC50 of 0.003-8.6 .mu.M. A tablet and granule formulation contg. the title compd. (III.1/2Ca) were described.
IT 186528-86-9P 186528-87-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino(carboxyalkenyl)bicycloheptane derivs. as prostaglandin D2 antagonists for disease therapy)
RN 186528-86-9 HCAPLUS
CN 5-Heptenoic acid, 7-[3-[[[5-(phenylethynyl)-2-thienyl]sulfonyl]amino]bicyclo[2.2.1]hept-2-yl]-, methyl ester,

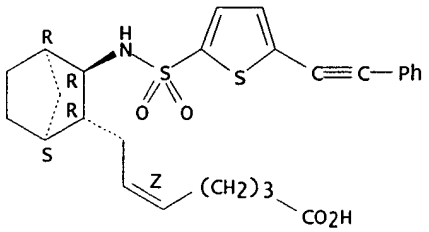
[1S-[1.alpha.,2.alpha.(Z),3.beta.,4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 186528-87-0 HCAPLUS
CN 5-Heptenoic acid, 7-[3-[[[5-(phenylethynyl)-2-thienyl]sulfonyl]amino]bicyclo[2.2.1]hept-2-yl]-, [1S-[1.alpha.,2.alpha.(Z),3.beta.,4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

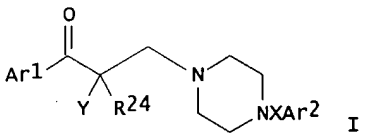


=> d bib abs hitstr l63 15

L63 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2001 ACS
AN 1996:467025 HCAPLUS
DN 125:114706
TI Preparation of substituted (1-aryl-3-piperazin-1'-yl)propanone antibiotics, antimycotics and antineoplastics
IN Debernardis, John F.; Kerkman, Daniel J.; Zinkowski, Raymond P.
PA Molecular Geriatrics Corporation, USA
SO PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9615792	A1	19960530	WO 1995-US15679	19951116
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5658909	A	19970819	US 1994-341481	19941117
	CA 2205504	AA	19960530	CA 1995-2205504	19951116
	AU 9645954	A1	19960617	AU 1996-45954	19951116
	AU 710012	B2	19990909		
	JP 10509956	T2	19980929	JP 1995-517112	19951116
	US 6075026	A	20000613	US 1997-837598	19970421 <--
PRAI	US 1994-341481	19941117			
	WO 1995-US15679	19951116			

OS MARPAT 125:114706
GI

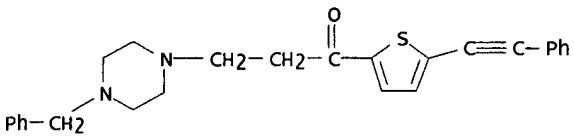


I

AB The title compds. [I; X = carbonyl, sulfonyl, (un)substituted methylene; Z = N, CH; Ar1, Ar2 = (un)substituted aryl; R24, Y = H; etc.], useful in the treatment of neoplastic diseases and bacterial or fungal infections, and for preventing or decreasing the prodn. of abnormally phosphorylated paired helical filament (PHF) epitopes assocd. with Alzheimer's disease, are prepd. Thus, p-nitroacetophenone was reacted with 1-benzylpiperazine, paraformaldehyde, and concd. HCl, producing 1-(p-nitrophenyl)-3-(4'-benzyl-1'-piperazinyl)-1-propanone dihydrochloride, m.p. 250.degree., which demonstrated a IC50 of 5.0 .mu.M for inhibition of TG3 immunoreactivity in OKA-treated MSN1a cells, vs. approx. 70 .mu.M for chlorpromazine.

IT 179335-34-3P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted (1-aryl-3-piperazin-1'-yl)propanone antibiotics, antimycotics and antineoplastics)

RN 179335-34-3 HCAPLUS
CN 1-Propanone, 1-[5-(phenylethynyl)-2-thienyl]-3-[4-(phenylmethyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



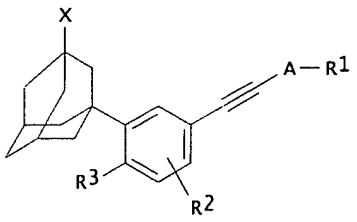
● 2 HCl

=> d bib abs hitstr 163 16

L63 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2001 ACS
AN 1995:995752 HCAPLUS
DN 124:145618
TI Preparation of [3-(1-adamantyl)phenyl]acetylene moiety-containing pharmaceutical and cosmetic compositions
IN Bernardon, Jean-Michel; Charpentier, Bruno
PA Centre International de Recherches Dermatologiques Galderma, (CIRD Galderma), Fr.
SO Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 679631	A1	19951102	EP 1995-400737	19950403
	EP 679631	B1	19980506		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	FR 2719044	A1	19951027	FR 1994-5018	19940426
	FR 2719044	B1	19960531		
	AT 165808	E	19980515	AT 1995-400737	19950403
	ES 2120145	T3	19981016	ES 1995-400737	19950403
	ZA 9502975	A	19951221	ZA 1995-2975	19950411
	AU 9516509	A1	19951116	AU 1995-16509	19950418
	AU 674814	B2	19970109		
	NO 9501544	A	19951027	NO 1995-1544	19950424
	CA 2147808	AA	19951027	CA 1995-2147808	19950425
	JP 08092136	A2	19960409	JP 1995-101513	19950425
	JP 2756424	B2	19980525		
	HU 74009	A2	19961028	HU 1995-1166	19950425 <--
	HU 217832	B	20000428		
	BR 9501613	A	19970916	BR 1995-1613	19950425
	RU 2125554	C1	19990127	RU 1995-106684	19950425
	FI 9501983	A	19951027	FI 1995-1983	19950426
	US 5574036	A	19961112	US 1995-429045	19950426
	US 5798354	A	19980825	US 1996-678416	19960702
PRAI	FR 1994-5018	19940426			
	US 1995-429045	19950426			

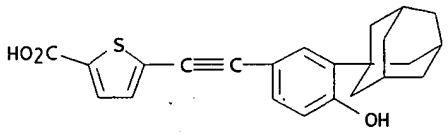
OS MARPAT 124:145618
GI



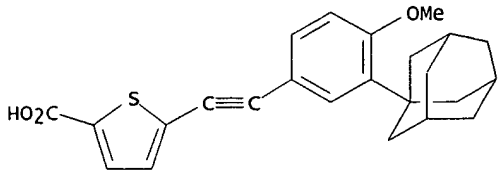
I

AB The title compds. [I; A = (un)substituted 1,4-phenylene, (un)substituted 2,6-naphthalenediyl, pyridinediyl, furandiyl, thiophenediyl, etc.; R1 = H, Me, etc.; R2 = H, halogen, (un)branched alkyl, etc.; R3 = OCH2OCH2CH2OMe, etc.; X = H, halogen] [e.g., 4-[3-(1-adamantyl)-4-methoxyphenylethynyl]benzoic acid, m.p. 307-310.degree.], useful as cardiovascular agents (no data), antirheumatics (no data), skin-care agents (no data), hair prepns. (no data), etc. (no data), are prepd. and I-contg. formulations presented.
IT 173191-01-0P 173191-02-1P 173191-03-2P
RL: NUU (Nonbiological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of [3-(1-adamantyl)phenyl]acetylene moiety-contg. pharmaceutical and cosmetic compns.)
RN 173191-01-0 HCAPLUS
CN 2-Thiophenecarboxylic acid, 5-[(4-hydroxy-3-tricyclo[3.3.1.13,7]dec-1-

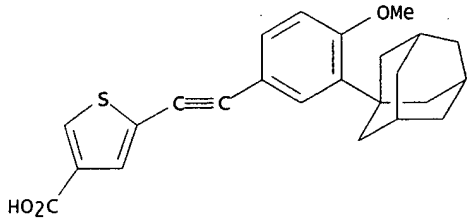
ylphenyl)ethynyl]- (9CI) (CA INDEX NAME)

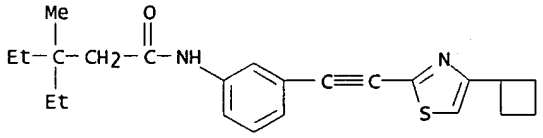


RN 173191-02-1 HCAPLUS
CN 2-Thiophenecarboxylic acid, 5-[(4-methoxy-3-tricyclo[3.3.1.13,7]dec-1-ylphenyl)ethynyl]- (9CI) (CA INDEX NAME)

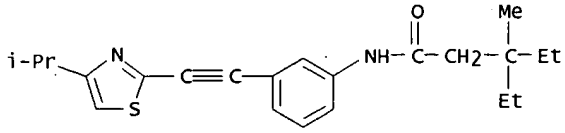


RN 173191-03-2 HCAPLUS
CN 3-Thiophenecarboxylic acid, 5-[(4-methoxy-3-tricyclo[3.3.1.13,7]dec-1-ylphenyl)ethynyl]- (9CI) (CA INDEX NAME)

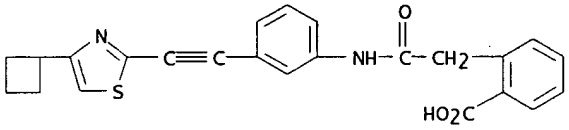




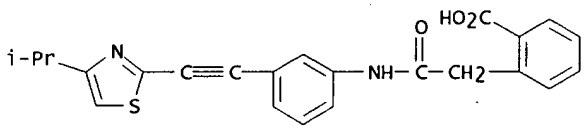
RN 184154-28-7 HCAPLUS
CN Pentanamide, 3-ethyl-3-methyl-N-[3-[[4-(1-methylethyl)-2-thiazolyl]ethynyl]phenyl]- (9CI) (CA INDEX NAME)



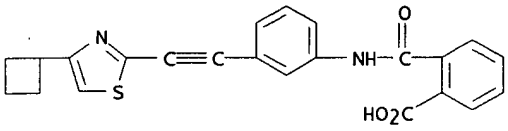
RN 184154-30-1 HCAPLUS
CN Benzoic acid, 2-[2-[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



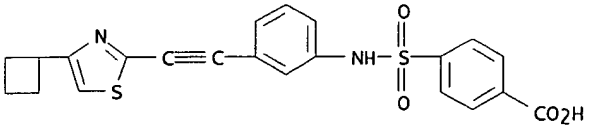
RN 184154-32-3 HCAPLUS
CN Benzoic acid, 2-[2-[[3-[[4-(1-methylethyl)-2-thiazolyl]ethynyl]phenyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



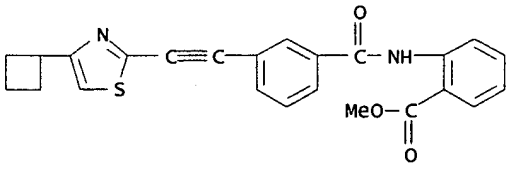
RN 184154-33-4 HCAPLUS
CN Benzoic acid, 2-[[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



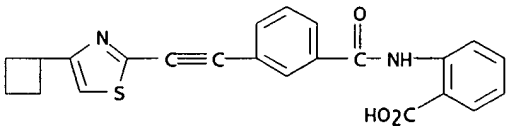
RN 184154-35-6 HCAPLUS
CN Benzoic acid, 4-[[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]phenyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)



RN 184154-52-7 HCAPLUS
CN Benzoic acid, 2-[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]benzoyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 184154-53-8 HCAPLUS
CN Benzoic acid, 2-[[3-[(4-cyclobutyl-2-thiazolyl)ethynyl]benzoyl]amino]- (9CI) (CA INDEX NAME)

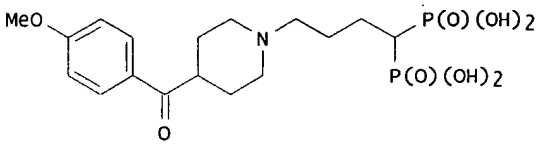


=> d bib abs hitstr l54 6

L54 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2001 ACS
AN 1994:701075 HCAPLUS
DN 121:301075
TI Preparation of phosphonic acid derivatives useful for medically treating hyperlipemia
IN Yoshida, Ichirou; Ikuta, Hironori; Fukuda, Yoshio; Eguchi, Yoshihito; Kaino, Makoto; Tagami, Katsuya; Kobayashi, Naoki; Hayashi, Kenji; Hiyoshi, Hironobu; et al.
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 363 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

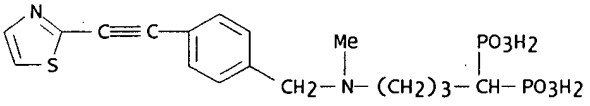
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9420508	A1	19940915	WO 1994-JP354	19940304
	W: AU, CA, CN, FI, HU, JP, KR, NO, NZ, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9461564	A1	19940926	AU 1994-61564	19940304
	EP 688325	A1	19951227	EP 1994-908498	19940304
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	HU 72307	A2	19960429	HU 1995-1944	19940304
	JP 08508245	T2	19960903	JP 1994-519819	19940304
	ZA 9401575	A	19941013	ZA 1994-1575	19940307
	US 5719303	A	19980217	US 1995-530311	19950906
PRAI	JP 1993-46389	19930308			
	WO 1994-JP354	19940304			

OS MARPAT 121:301075
GI



I

AB 533 Phosphonic acid derivs. RACRBR1P(O)(OR2)(OR3), e.g., I, or their pharmacol. acceptable salts, useful for medically treating hyperlipemia, were prepd. The compds. of the present invention act as effective squalene synthetase inhibitors (test data given).
IT 159270-75-4P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phosphonic acid derivs. useful for medically treating hyperlipemia)
RN 159270-75-4 HCAPLUS
CN Phosphonic acid, [4-[methyl[[4-(2-thiazolylethynyl)phenyl]methyl]amino]butylidene]bis-, tetrasodium salt (9CI) (CA INDEX NAME)



●4 Na